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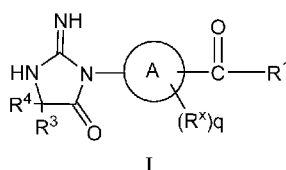
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(54) Title: HETEROCYCLIC COMPOUNDS AS HIV PROTEASE INHIBITORS



(57) Abstract: The present invention is directed to compounds of Formula I pharmaceutical compositions comprising the same, and their use in the inhibition of HIV protease, the inhibition of HIV replication, the prophylaxis of infection by HIV, the treatment of infection by HIV, and the prophylaxis, treatment, and delay in the onset or progression of AIDS.



TITLE OF THE INVENTION

HETEROCYCLIC COMPOUNDS AS HIV PROTEASE INHIBITORS

BACKGROUND OF THE INVENTION

5 A retrovirus designated human immunodeficiency virus (HIV), particularly the strains known as HIV type-1 (HIV-1) virus and type-2 (HIV-2) virus, is the etiological agent of acquired immunodeficiency syndrome (AIDS). AIDS is a disease characterized by the destruction of the immune system, particularly of CD4 T-cells, with attendant susceptibility to opportunistic infections, and its precursor AIDS-related complex ("ARC"), a syndrome
10 characterized by symptoms such as persistent generalized lymphadenopathy, fever and weight loss. This virus was previously known as LAV, HTLV-III, or ARV. A common feature of retrovirus replication is the extensive post-translational processing of precursor polypeptides by a virally encoded protease to generate mature viral proteins required for virus assembly and function. Inhibition of this processing prevents the production of normally infectious virus. For
15 example, Kohl et al., *Proc. Nat'l Acad. Sci.* 1988, 85: 4686, demonstrated that genetic inactivation of the HIV encoded protease resulted in the production of immature, non-infectious virus particles. These results indicated that inhibition of the HIV protease represents a viable method for the treatment of AIDS and the prevention or treatment of infection by HIV.

 Nucleotide sequencing of HIV shows the presence of a *pol* gene in one open
20 reading frame [Ratner et al., *Nature* 1985, 313: 277]. Amino acid sequence homology provides evidence that the *pol* sequence encodes reverse transcriptase, an endonuclease, HIV protease and *gag*, which encodes the core proteins of the virion (Toh et al., *EMBO J.* 1985, 4: 1267; Power et al., *Science* 1986, 231: 1567; Pearl et al., *Nature* 1987, 329: 351].

 Several HIV protease inhibitors are presently approved for clinical use in the
25 treatment of AIDS and HIV infection, including indinavir (see US 5413999), amprenavir (US 5585397), saquinavir (US 5196438), ritonavir (US 5484801) and nelfinavir (US 5484926). Each of these protease inhibitors is a peptide-derived peptidomimetic, competitive inhibitor of the viral protease which prevents cleavage of the HIV *gag-pol* polyprotein precursor. Tipranavir (US 5852195) is a non-peptide peptidomimetic protease inhibitor also approved for use in
30 treating HIV infection. The protease inhibitors are administered in combination with at least one and typically at least two other HIV antiviral agents, particularly nucleoside reverse transcriptase inhibitors such as zidovudine (AZT) and lamivudine (3TC) and/or non-nucleoside reverse transcriptase inhibitors such as efavirenz and nevirapine. Indinavir, for example, has been found to be highly effective in reducing HIV viral loads and increasing CD4 cell counts in
35 HIV-infected patients, when used in combination with nucleoside reverse transcriptase inhibitors. See, for example, Hammer et al., *New England J. Med.* 1997, 337: 725-733 and Gulick et al., *New England J. Med.* 1997, 337: 734-739.

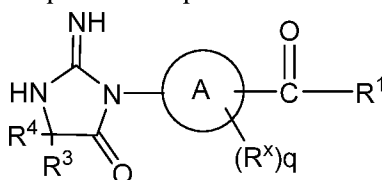
There is a continuing need for new compounds which are capable of treating infectious diseases, in particular, for inhibiting HIV protease and suitable for use in the treatment or prophylaxis of infection by HIV and/or for the treatment or prophylaxis or delay in the onset or progression of AIDS.

SUMMARY OF THE INVENTION

The present invention is directed to heterocyclic derivatives, pharmaceutical compositions comprising the same, and their use in the inhibition of HIV protease, the inhibition of HIV replication, the prophylaxis of infection by HIV, the treatment of infection by HIV, and the prophylaxis, treatment, and delay in the onset or progression of AIDS.

DETAILED DESCRIPTION OF THE INVENTION

The invention encompasses compounds of structural formula I



I

or a pharmaceutically acceptable salt thereof, wherein:

A is selected from the group consisting of $(\text{CHR}^2)_p\text{C}_{6-10}\text{aryl}$ and $(\text{CHR}^2)_p\text{C}_{4-11}\text{heteroaryl}$;

R is selected from the group consisting of hydrogen and $\text{C}_{1-6}\text{alkyl}$;

R^x is selected from the group consisting of $\text{C}_{1-6}\text{alkyl}$, $\text{C}_{1-3}\text{haloalkyl}$, halogen, $\text{SO}_2\text{C}_{1-6}\text{alkyl}$, and $\text{OC}_{1-6}\text{alkyl}$;

R^1 is selected from the group consisting of a bicyclic, monocyclic, or tricyclic $\text{C}_{4-13}\text{heterocyclyl}$, said heterocyclyl optionally substituted with 1 to 3 groups of R^a ;

R^2 is selected from the group consisting of hydrogen, $\text{C}_{1-6}\text{alkyl}$, $\text{C}_{1-3}\text{haloalkyl}$, halogen, $\text{SO}_2\text{C}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{OC}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{C}_{3-6}\text{cycloalkyl}$, $(\text{CHR})_n\text{C}_{6-10}\text{aryl}$, $(\text{CHR})_n\text{C}_{5-10}\text{heteroaryl}$, said aryl and heteroaryl optionally substituted with 1 to 3 groups of R^a ;

R^3 and R^4 are independently selected from the group consisting of $\text{C}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{C}_{1-3}\text{haloalkyl}$, $(\text{CR}_2)_n\text{C}_{3-6}\text{cycloalkyl}$, $(\text{CH}_2)_n\text{C}_{6-10}\text{aryl}$, $(\text{CH}_2)_n\text{C}_{5-10}\text{heterocyclyl}$; said alkyl, aryl, and heterocyclyl optionally substituted with 1 to 3 groups of R^d ;

R⁵ is selected from the group consisting of hydrogen, C₁₋₆alkyl, C(O)OR, C₃₋₆cycloalkyl, SO₂R, O(CH₂)_nC₆₋₁₀aryl, and (CH₂)_nC₆₋₁₀aryl;

R^a is selected from the group consisting of (CH₂)_nC₅₋₁₁heterocyclyl, C₁₋₆alkyl, C₂₋₆alkenyl, C(O)C₁₋₆alkyl, OC₁₋₆alkyl, =O, C₁₋₃haloalkyl, OC₁₋₃haloalkyl, C₃₋₆cycloalkyl, C(O)C₃₋₆cycloalkyl, halogen, CN, SC₁₋₆alkyl, SO₂C₁₋₆alkyl, (CH₂)_nC₆₋₁₂aryl, OC₆₋₁₂aryl, C(O)C₅₋₁₂heterocyclyl, C(O)OR, C(O)OC₂₋₆alkenyl, C(O)NR₂, NR₂, NHC(O)OR, -NHC₃₋₆cycloalkyl, -NR(CH₂)C₃₋₆cycloalkyl, NHC₅₋₁₀heterocyclyl, NHC₆₋₁₀aryl, (CH₂)_nNHC(O)R, said alkyl, alkenyl, aryl, and heterocyclyl optionally substituted with 1 to 3 groups of R^b;

R^b is selected from the group consisting of C₁₋₆alkyl, C₁₋₆alkylOR, OR, =O, C(O)R, SOC₁₋₆alkyl, SO₂C₁₋₆alkyl, SO₂N(R)₂, NRR⁵, C₁₋₃haloalkyl, OC₁₋₃haloalkyl, C₃₋₆cycloalkyl, halogen, (CH₂)_nCN, (CH₂)_nC₆₋₁₂aryl, and (CH₂)_nC₅₋₁₀heteroaryl, said alkyl, aryl and heteroaryl optionally substituted with 1 to 3 groups of R^c;

R^c is selected from the group consisting of C₁₋₆alkyl, C₁₋₆alkylOR, OR, and halogen;

R^d is selected from the group consisting of C₁₋₆alkyl, C₁₋₃haloalkyl, CN, C(O)NR₂, C₅₋₁₀heteroaryl, C₆₋₁₀aryl, and halogen, said heteroaryl, alkyl and aryl optionally substituted with 1 to 3 groups of halogen and CN;

n is 0, 1, 2, 3, or 4;

p is 0 or 1; and

q is 0 or 1.

An embodiment of the invention of formula I is realized when A is (CHR²)_pC₆₋₁₀aryl. An embodiment of the invention of formula I is realized when A is aryl and p=1. A subembodiment of this aspect of the invention is realized when A is an aryl selected from the group consisting of phenyl, tetrahydronaphthalenyl, dihydroindenyl, and tetrahydrobenzoannulenyl. Another subembodiment of this aspect of the invention is realized when A is phenyl and p=1. Another subembodiment of this aspect of the invention is realized when A is tetrahydronaphthalenyl and p=0. Still another subembodiment of this aspect of the invention is realized when A is dihydroindenyl and p=0. Yet another subembodiment of this aspect of the invention is realized when A is tetrahydrobenzoannulenyl and p=0.

Another embodiment of the invention of formula I is realized when A is (CHR²)_pC₅₋₁₁heteroaryl. Another embodiment of the invention of formula I is realized when A is (CHR²)_pC₅₋₁₁heteroaryl and p=1. Another embodiment of the invention of formula I is realized when A is (CHR²)_pC₉₋₁₁heteroaryl and p=0. A subembodiment of this aspect of the invention is realized when A is a heteroaryl selected from the group consisting of pyridyl, thiazolyl, thiophenyl, dihydrochromenyl, and dihydrothiochromenyl. Another subembodiment of this aspect of the invention is realized when A is pyridyl. Another subembodiment of this aspect of the invention is realized when A is thiazolyl. Still another subembodiment of this aspect of the invention is realized when A is thiophenyl. Yet another subembodiment of this aspect of the invention is realized when A is dihydrochromenyl. Yet another subembodiment of this aspect of the invention is realized when A is dihydrothiochromenyl. Another embodiment of the invention of formula I is realized when A is C₅₋₁₁heteroaryl which is pyridyl and p=1

Another embodiment of the invention of formula I is realized when R¹ is (CH₂)_nC₄₋₁₁heterocyclyl, said heterocyclyl unsubstituted or substituted with 1 to 3 groups of R^a. A subembodiment of this aspect of the invention is realized when R¹ is unsubstituted (CH₂)_nC₄₋₁₁heterocyclyl. A subembodiment of this aspect of the invention is realized when R¹ is substituted (CH₂)_nC₄₋₁₁heterocyclyl. A subembodiment of this aspect of the invention is realized when the unsubstituted or substituted R¹ is (CH₂)_nC₄₋₁₁heterocyclyl is linked to the C(O) group in formula I via nitrogen atom. Another subembodiment of this aspect of the invention is realized when the heterocyclyl is a bicyclic ring having at least one nitrogen atom. Still another subembodiment of this aspect of the invention is realized when the heterocyclyl is a bicyclic ring having two to four nitrogen atoms. Another subembodiment of this aspect of the invention is realized when the heterocyclyl is selected from the group consisting of substituted or unsubstituted dihydropyrrolopyrazinyl, dihydropyrrolopyrimidinyl, dihydrotriazolopyrazinyl, piperazinyl, piperazinonyl, piperidinyl, hexahydrooxazolopyrazinonyl, tetrahydropyrazinoindolyl, tetrahydrobenzazopyl, dihydropyrrolooxazolyl, tetrahydropyrrolopyrrolidione, pyrrolidinyl, hexahydroisoxazolyl, tetrahydropyrazolopyridyl, azetindinyl, tetrahydropyrrolotriazolooxazinyl, tetrahydropyrroloisoxazolyl, tetrahydrofuropyridinyl, dihydroisindolyl, dihydropyrrolopyrazolyl, tetrahydropyrrolothiazolyl, tetrahydroimidazopyrazinyl, dihydropyrrolopyridinyl, dihydropyrroloimidazolyl, dihydroisindolopyridinyl, dihydroisoquinolinyl, dihydronaphthyridinyl, and dihydroimidazopyrazinyl.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl is selected from unsubstituted or substituted dihydropyrrolopyrazinyl, piperazinyl, piperazinonyl, pyrrolidinyl, dihydropyrrolopyrimidinyl, dihydrotriazolopyrazinyl, and dihydroisindolyl.

Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted dihydropyrrolopyrazinyl. Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted piperazinyl. Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted piperazinonyl.

Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted pyrrolidinyl. Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted dihydropyrrolopyrimidinyl.

Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted dihydrotriazolopyrazinyl. Another subembodiment of this aspect of the invention is realized when the R¹ heterocyclyl is unsubstituted or substituted dihydroisoindolyl.

Another embodiment of the invention of formula I is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a selected from the group consisting of C₁₋₆alkyl, OC₁₋₆alkyl, C₁₋₃haloalkyl, OC₁₋₃haloalkyl, halogen, CN, SC₁₋₆alkyl, SO₂C₁₋₆alkyl, C(O)OR, C(O)NR₂, NR₂, and NHC(O)OR, said alkyl, aryl, and heterocyclyl optionally substituted with 1 to 3 groups of R^b. A subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a selected from the group consisting of CH₃, CH₂CH₃, OCH₃, CF₃, OCF₂, CH₂CF₃, fluoro, chloro, bromo, C(O)CH₃, SCH₃, SO₂CH₃, CN, COOCH₃, COOCH₂CH₃, NHC(O)OCH₃, NHC(O)CH₃, CON(CH₃)₂, CONHCH₃, CONHCH(CH₃)₂, NH₂, NHCH₃, N(CH₃)₂, and NHCH(CH₃)₂. A subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a selected from the group consisting of CH₃, OCH₃, CF₃, fluoro, chloro, SO₂CH₃, CN, COOCH₃, NHC(O)OCH₃, CON(CH₃)₂, CONHCH₃, CONHCH(CH₃)₂, N(CH₃)₂, and NHCH(CH₃)₂. Still another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a selected from the group consisting of CH₃, OCH₃, CF₃, fluoro, chloro, SO₂CH₃, CN, COOCH₃, and NHC(O)OCH₃.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a selected from the group consisting (CH₂)_nC₆₋₁₂aryl, (CH₂)_nC₅₋₁₂heterocyclyl, C(O)C₅₋₁₂heterocyclyl, -NHC₃₋₆cycloalkyl, -NR(CH₂)C₃₋₆cycloalkyl, NHC₅₋₁₀heterocyclyl, and NHC₆₋₁₀aryl, said heterocyclyl, cycloalkyl and aryl unsubstituted or substituted with 1 to 3 groups of R^b.

A further subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted (CH₂)_nC₆₋₁₂aryl or NHC₆₋₁₀aryl. A subembodiment of this aspect of the invention is realized when the aryl is unsubstituted or substituted phenyl.

A further subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted (CH₂)_nC₅₋₁₂heterocyclyl, NHC₅₋₁₀heterocyclyl, or C(O)C₅₋₁₂heterocyclyl. A subembodiment of this aspect of the invention is realized when the

5 heterocyclyl is selected from the group consisting of unsubstituted or substituted pyrazolyl, pyridinyl, indazolyl, pyrrolyl, triazolyl, indolyl, pyrimidinyl, thiophenyl, tetrahydropyrazolopyridinyl, triazolopyridinyl, dihydropyrrolopyrazolyl, dihydropyridooxazinyl, isoquinolyl, isoxazolyl, dihydropyrrolyl, benzisoxazolyl, thiomorpholinyl, oxadiazolyl, pyrrolodiny, oxazolyl, oxophenylimidazolidinyl, dihydroimidazopyridinone, furanyl,
10 dihydrobenzimidazolone, and benzoxazolone.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a selected from the group consisting of unsubstituted or substituted pyrazolyl, pyridinyl, pyrimidinyl, dihydropyrrolopyrazolyl, pyrrolodiny, dihydroimidazopyridinone, and dihydrobenzimidazolone.

15 Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted pyrazolyl.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is
20 unsubstituted or substituted pyridinyl.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted pyrimidinyl.

25 Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted dihydropyrrolopyrazolyl.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted pyrrolodiny.

30 Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted dihydroimidazopyridinone.

Another subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is
35 unsubstituted or substituted dihydrobenzimidazolone.

A further subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is unsubstituted or substituted -NHC₃₋₆cycloalkyl or -NR(CH₂)C₃₋₆cycloalkyl. A

subembodiment of this aspect of the invention is realized when the heterocyclyl of R¹ is substituted with 0 to 1, 1 to 2, or 2 to 3 groups of R^a wherein R^a is cycloalkyl selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

An embodiment of the invention of formula I is realized when R² is hydrogen.

Another embodiment of the invention of formula I is realized when R² is C₁-6alkyl or (CH₂)_nOC₁-6alkyl. A subembodiment of this aspect of the invention is realized when R² is C₁-6alkyl. Another subembodiment of this aspect of the invention is realized when R² is (CH₂)_nOC₁-6alkyl. Another subembodiment of this aspect of the invention is realized when the alkyl is selected from the group consisting of CH₃, CH₂CH₃, (CH₂)_nCH(CH₃)₂, and (CH₂)_nOCH(CH₃)₂.

Another embodiment of the invention of formula I is realized when R² is (CH₂)_nC₃-6cycloalkyl. A subembodiment of this aspect of the invention is realized when the cycloalkyl is selected from the group consisting of cyclopropyl, cyclobutyl and cyclopentyl.

Another embodiment of the invention of formula I is realized when R² is (CHR)_nC₆-10aryl. A subembodiment of this aspect of the invention is realized when the aryl is phenyl.

Another embodiment of the invention of formula I is realized when R² is (CHR)_nC₅-10heteroaryl. A subembodiment of this aspect of the invention is realized when the heteroaryl is pyrrolidinone.

An embodiment of the invention of formula I is realized when one of R³ and R⁴ is optionally substituted C₁-6alkyl, (CH₂)_nC₁-3haloalkyl, or (CR₂)_nC₃-6cycloalkyl and the other is (CH₂)_nC₆-10aryl, (CH₂)_nC₅-10heterocyclyl; said alkyl, aryl, and heterocyclyl optionally substituted with 1 to 3 groups of R^d.

Another embodiment of the invention of formula I is realized when R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranlyl, (CH₂)_ntetrahydropyranlyl, and (CH₂)_ntetrahydrofuranlyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranlyl, tetrahydropyranlyl, and tetrahydrofuranlyl optionally substituted with 1 to 3 groups of R^d. A subembodiment of this aspect of the invention is realized when R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, and phenyl, said isobutyl, isopentyl and phenyl optionally substituted with 1 to 3 groups of R^d. Another subembodiment of this aspect of the invention is realized when one of R³ and R⁴ is optionally substituted phenyl. Another subembodiment of this aspect of the invention is realized when both of R³ and R⁴ are optionally substituted phenyl. Another subembodiment of this aspect of the invention is realized when R⁴ is optionally substituted phenyl. Still another subembodiment of this aspect of the invention is realized when R⁴ is optionally substituted phenyl and R³ is selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, said isobutyl, isopentyl, cyclopropyl and phenyl optionally

substituted with 1 to 3 groups of R^d . Still another subembodiment of this aspect of the invention is realized when R^3 is optionally substituted phenyl and R^4 is selected from the group consisting of isobutyl, isopentyl, $(CH_2)_nCF_3$, $(CH_2)_ncyclopropyl$, phenyl, said isobutyl, isopentyl, cyclopropyl and phenyl optionally substituted with 1 to 3 groups of R^d .

5 Another embodiment of the invention of formula I is realized when R^b is selected from the group consisting of $(CH_2)_2CH_3$, CH_2OCH_3 , $(CH_2)_2OH$, CH_3 , $(CH_2)CH(CH_3)_2$, OCH_3 , $C(O)CH_3$, $(CH_2)_nCN$, $N(CH_3)_2$, $NHSO_2CH_3$, $SOCH_3$, $SO_2N(CH_3)_2$, and halogen. A subembodiment of this aspect of the invention is realized when R^b is selected from the group consisting of $(CH_2)_2CH_3$, CH_3 , $(CH_2)CH(CH_3)_2$, fluoro, and chloro.

10 Yet another embodiment of the invention of formula I is realized when R^b is selected from the group consisting of $(CH_2)_nC_{6-12}aryl$, and $(CH_2)_nC_{5-10}heteroaryl$, said aryl and heteroaryl optionally substituted with 1 to 3 groups of R^c . A subembodiment of this aspect the invention is realized when aryl and heteroaryl of R^b is selected from the group consisting of phenyl, piperazinyl, pyrazolyl, and triazolyl, said phenyl, piperizinyl, pyrazolyl, and triazolyl
15 optionally substituted with 1 to 3 groups of R^c . A subembodiment of this aspect the invention is realized when aryl of R^b is optionally substituted phenyl. A subembodiment of this aspect the invention is realized when aryl of R^b is optionally substituted piperazinyl. A subembodiment of this aspect the invention is realized when aryl of R^b is optionally substituted pyrazolyl. A subembodiment of this aspect the invention is realized when aryl of R^b is optionally substituted
20 triazolyl.

Another embodiment of the invention of formula I is realized when R^c is selected from CH_3 , fluoro, chloro, and OH.

Another embodiment of the invention of formula I is realized when R^d is selected the group consisting of CF_3 , fluoro, chloro, bromo, CN, $C(O)NH_2$, $C(O)N(CH_3)_2$, phenyl, pyridyl and furanyl, said phenyl, pyridyl and furanyl optionally substituted with 1 to 3 groups of halogen and CN.
25

Another embodiment of the invention of formula I is realized when R^x is selected from the group consisting of CH_3 , OCH_3 , CF_3 , SO_2CH_3 , fluoro, and chloro.

Another embodiment of the invention of formula I is realized when p is 0 which means a bond is the linking group. Another embodiment of the invention of formula I is realized when p is 1.
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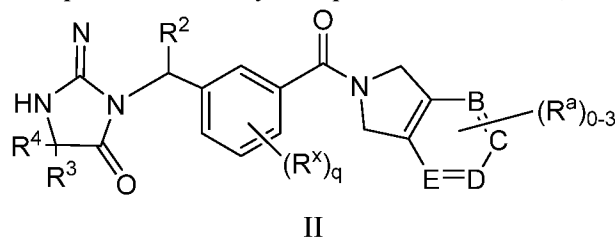
Still another embodiment of the invention of formula I is realized when q is 0 which means the R^x group is not present.

Another embodiment of the invention of formula I is realized when q is 1.

35 Yet another embodiment of the invention of formula I is realized when n is 0. Another embodiment of the invention of formula I is realized when n is 1. Another embodiment of the invention of formula I is realized when n is 2. Another embodiment of the invention of

formula I is realized when n is 3. Another embodiment of the invention of formula I is realized when n is 4.

In another embodiment of this invention are compounds of formula I having structural formula II, or the pharmaceutically acceptable salts thereof,



wherein R², R³, R⁴, R^a and R^x are as originally described and B, C, D, E, respectively, are selected from:

- a) N=C-C=N;
- b) C=N-C=N;
- c) C=C-C=C;
- d) N=C-C=C;
- e) C=C-N=C; and
- f) C=C-C=N.

An embodiment of the invention of formula II is realized when B, C, D, and E, respectively, is a) N=C-C=N.

Another embodiment of the invention of formula II is realized when B, C, D, and E, respectively is b) C=N-C=N.

Another embodiment of the invention of formula II is realized when B, C, D, and E, respectively is c) C=C-C=C.

Another embodiment of the invention of formula II is realized when B, C, D, and E, respectively is d) N=C-C=C or g) C=C-C=N.

Another embodiment of the invention of formula II is realized when R^a is 0 and therefore absent.

Another embodiment of the invention of formula II is realized when there is one R^a group, selected from the group consisting of CH₃, CH₂CH₃, OCH₃, CF₃, OCF₂, CH₂CF₃, fluoro, chloro, bromo, C(O)CH₃, SCH₃, SO₂CH₃, CN, COOCH₃, COOCH₂CH₃, NHC(O)OCH₃, NHC(O)CH₃, CON(CH₃)₂, CONHCH₃, CONHCH(CH₃)₂, NH₂, NHCH₃, N(CH₃)₂, NHCH(CH₃)₂, unsubstituted or substituted (CH₂)_nC₆₋₁₂phenyl, or NHC₆₋₁₀phenyl, and unsubstituted or substituted (CH₂)_nC₅₋₁₂heterocyclyl, NHC₅₋₁₀heterocyclyl, or C(O)C₅₋₁₂heterocyclyl, wherein the heterocyclyl is selected from the group consisting of pyrazolyl, pyridinyl, pyrimidinyl, dihydropyrrolopyrazolyl, pyrrolodiny, dihydroimidazopyridinone, and dihydrobenzimidazolone, wherein when substituted is substituted with 1 to 3 groups of R^b.

Another embodiment of the invention of formula II is realized when R² is selected from the group consisting of CH₃, CH₂CH₃, (CH₂)_nCH(CH₃)₂, (CH₂)_nOCH(CH₃)₂, (CH₂)_nC₃₋₆cycloalkyl wherein the cycloalkyl is cyclopropyl, cyclobutyl or cyclopentyl, or (CHR)_nC₆₋₁₀phenyl.

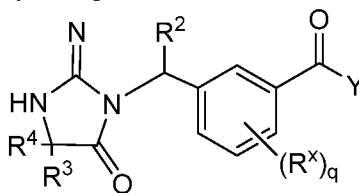
Another embodiment of the invention of formula II is realized when R² is hydrogen or C₁₋₆alkyl, R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranlyl, (CH₂)_ntetrahydropyranylyl, and (CH₂)_ntetrahydrofuranylyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranlyl, tetrahydropyranylyl, and tetrahydrofuranylyl optionally substituted with 1 to 3 groups of R^d, and q is 0. A subembodiment of this aspect of the invention of formula II is realized when B, C, D, and E, respectively, is C=C-C=C. A subembodiment of this aspect of the invention of formula II is realized when B, C, D, and E, respectively, is N=C-C=N. Still another subembodiment of this aspect of the invention of formula II is realized when one of R³ and R⁴ is optionally substituted isobutyl or isopentyl.

Another subembodiment of the invention of formula II is realized when R² is hydrogen, R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranlyl, (CH₂)_ntetrahydropyranylyl, and (CH₂)_ntetrahydrofuranylyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranlyl, tetrahydropyranylyl, and tetrahydrofuranylyl optionally substituted with 1 to 3 groups of R^d, and q is 1. A subembodiment of this aspect of the invention is realized when q is 1 and R^x is selected from the group consisting of CH₃, OCH₃, CF₃, SO₂CH₃, fluoro, and chloro. A further subembodiment of this aspect of the invention is realized when R^x is in the para position on the phenyl ring. Still another subembodiment of this aspect of the invention is realized when R^x is CF₃ in the para position of the phenyl ring. Yet another subembodiment of this aspect of the invention of formula II is realized when B, C, D, and E, respectively, is C=C-C=C. Another subembodiment of this aspect of the invention of formula II is realized when B, C, D, and E, respectively, is N=C-C=N. Still another subembodiment of this aspect of the invention of formula II is realized when one of R³ and R⁴ is optionally substituted isobutyl or isopentyl.

Another embodiment of the invention of formula II is realized when R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranlyl, (CH₂)_ntetrahydropyranylyl, and (CH₂)_ntetrahydrofuranylyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranlyl, tetrahydropyranylyl, and tetrahydrofuranylyl optionally substituted with 1 to 3 groups of R^d. Another subembodiment of this aspect of the invention is realized when one of R³ and R⁴ is optionally substituted phenyl. Another subembodiment of this aspect of the invention is realized when both of R³ and R⁴ are optionally substituted phenyl. Another subembodiment of this aspect of the invention is realized when R⁴ is optionally substituted phenyl. Still another subembodiment of this aspect of the invention is realized when R⁴ is optionally substituted

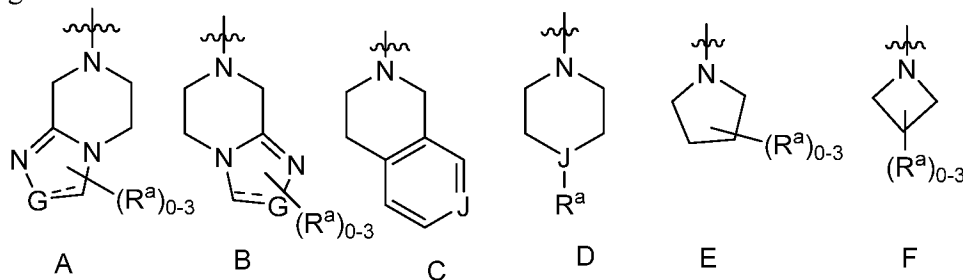
phenyl and R^3 is selected from the group consisting of isobutyl, isopentyl, $(CH_2)_nCF_3$, $(CH_2)_n$ cyclopropyl, phenyl, said isobutyl, isopentyl, cyclopropyl and phenyl optionally substituted with 1 to 3 groups of R^d . Another subembodiment of this aspect of the invention is realized when R^3 is optionally substituted phenyl. Still another subembodiment of this aspect of the invention is realized when R^3 is optionally substituted phenyl and R^4 is selected from the group consisting of isobutyl, isopentyl, $(CH_2)_nCF_3$, $(CH_2)_n$ cyclopropyl, phenyl, said isobutyl, isopentyl, cyclopropyl and phenyl optionally substituted with 1 to 3 groups of R^d .

Another embodiment of the invention of formula I is represented by structural formula III, or the pharmaceutically acceptable salts thereof,:



III

wherein R^2 , R^3 , and R^4 are as originally described, and wherein Y is selected from the group consisting of:



wherein

R^a is as originally described,

G is N or CH when --- represents a double bond and is CH_2 when --- represents a single bond;

J is N or CH ;

--- represents the point of attachment, and

--- represents a single or double bond.

An embodiment of the invention of formula III is realized when Y is A.

An embodiment of the invention of formula III is realized when Y is B.

An embodiment of the invention of formula III is realized when Y is C.

An embodiment of the invention of formula III is realized when Y is D.

An embodiment of the invention of formula III is realized when Y is E.

An embodiment of the invention of formula III is realized when Y is F.

Another embodiment of the invention of formula III is realized when R^a for A, B, E and F is 0 or not present.

Another embodiment of the invention of formula III is realized when one of R^a is present for A, B, E and F and is selected from the group consisting of CH₃, CH₂CH₃, OCH₃, CF₃, OCF₂, CH₂CF₃, fluoro, chloro, bromo, C(O)CH₃, SCH₃, SO₂CH₃, CN, COOCH₃, COOCH₂CH₃, NHC(O)OCH₃, NHC(O)CH₃, CON(CH₃)₂, CONHCH₃, CONHCH(CH₃)₂, NH₂, NHCH₃, N(CH₃)₂, NHCH(CH₃)₂, unsubstituted or substituted (CH₂)_nC₆₋₁₂phenyl, or NHC₆₋₁₀phenyl, and unsubstituted or substituted (CH₂)_nC₅₋₁₂heterocyclyl, NHC₅₋₁₀heterocyclyl, or C(O)C₅₋₁₂heterocyclyl, wherein the heterocyclyl is pyrazolyl, pyridinyl, pyrimidinyl, dihydropyrrolopyrazolyl, pyrrolodiny, dihydroimidazopyridinone, or dihydrobenzimidazolone, wherein when substituted is substituted with 1 to 3 groups of R^b.

Another embodiment of the invention of formula III is realized when R² is selected from the group consisting of CH₃, CH₂CH₃, (CH₂)_nCH(CH₃)₂, (CH₂)_nOCH(CH₃)₂, (CH₂)_nC₃₋₆cycloalkyl wherein the cycloalkyl is cyclopropyl, cyclobutyl or cyclopentyl, or (CHR)_nC₆₋₁₀phenyl.

Another embodiment of the invention of formula III is realized when R² is hydrogen, R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranyl, (CH₂)_ntetrahydropyranyl, and (CH₂)_ntetrahydrofuranyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranyl, tetrahydropyranyl, and tetrahydrofuranyl optionally substituted with 1 to 3 groups of R^d, and q is 0.

Another subembodiment of the invention of formula III is realized when R² is hydrogen, R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranyl, (CH₂)_ntetrahydropyranyl, and (CH₂)_ntetrahydrofuranyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranyl, tetrahydropyranyl, and tetrahydrofuranyl optionally substituted with 1 to 3 groups of R^d, and q is

1. A subembodiment of this aspect of the invention is realized when q is 1 and R^x is selected from the group consisting of CH₃, OCH₃, CF₃, SO₂CH₃, fluoro, and chloro. A further subembodiment of this aspect of the invention is realized when R^x is in the para position on the phenyl ring. Still another subembodiment of this aspect of the invention is realized when R^x is CF₃ in the para position of the phenyl ring.

Another embodiment of the invention of formula III is realized when R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranyl, (CH₂)_ntetrahydropyranyl, and (CH₂)_ntetrahydrofuranyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranyl, tetrahydropyranyl, and tetrahydrofuranyl optionally substituted with 1 to 3 groups of R^d.

Another subembodiment of this aspect of the invention is realized when one of R³ and R⁴ is optionally substituted phenyl. Another subembodiment of this aspect of the invention is realized when both of R³ and R⁴ are optionally substituted phenyl. Another subembodiment of this aspect of the invention is realized when R⁴ is optionally substituted phenyl. Still another

subembodiment of this aspect of the invention is realized when R⁴ is optionally substituted phenyl and R³ is selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, said isobutyl, isopentyl, cyclopropyl and phenyl optionally substituted with 1 to 3 groups of R^d. Another subembodiment of this aspect of the invention is realized when R³ is optionally substituted phenyl. Still another subembodiment of this aspect of the invention is realized when R³ is optionally substituted phenyl and R⁴ is selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, said isobutyl, isopentyl, cyclopropyl and phenyl optionally substituted with 1 to 3 groups of R^d.

All structural Formulas, embodiments and classes thereof described herein include the pharmaceutically acceptable salts of the compounds defined therein. Reference to the compounds of Formula I herein encompasses the compounds of formulas I, II, and III and all embodiments and classes thereof. Reference to the compounds of this invention as those of a specific formula or embodiment, e.g., formula I, II, and III or embodiments thereof, or any other generic structural formula or specific compound described or claimed herein, is intended to encompass the specific compound or compounds falling within the scope of the formula or embodiment, including salts thereof, particularly pharmaceutically acceptable salts, solvates (including hydrates) of such compounds and solvated salt forms thereof, where such forms are possible, unless specified otherwise.

The present invention includes each of the Examples described herein, and pharmaceutically acceptable salts thereof. The invention also encompasses pharmaceutical compositions comprising an effective amount of a compound of the invention or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

As used herein, the term "alkyl" refers to a straight or branched chain, saturated aliphatic hydrocarbon radical having a number of carbon atoms in the specified range. Thus, for example, "-C₁₋₆ alkyl" (or "-C_{1-C6} alkyl") means linear or branched chain alkyl groups, including all isomers, having the specified number of carbon atoms and includes each of the hexyl and pentyl isomers as well as each of *n*-, *iso*-, *sec*- and *tert*-butyl (butyl, *s*-butyl, *i*-butyl, *t*-butyl; Bu = butyl), *n*- and *i*-propyl (Pr = propyl), ethyl (Et) and methyl (Me). As another example, "-C₁₋₄ alkyl" refers to each of *n*-, *iso*-, *sec*- and *t*-butyl; *n*- and *iso*-propyl; ethyl and methyl. As another example, "-C₁₋₃ alkyl" refers to each of *n*-propyl, *iso*-propyl, ethyl and methyl. An alkyl group, when viewed in context within a chemical structure, may be univalent (e.g., when R^{2a} is unsubstituted -C₁₋₆alkyl), bivalent (e.g., when R^{2a} is mono-substituted -C₁₋₆alkyl), or multi-valent (e.g., when R^{2a} is -C₁₋₆alkyl having two or more substituents).

The term "halogen" (or "halo") refers to fluorine, chlorine, bromine and iodine (alternatively referred to as fluoro, chloro, bromo, and iodo). Fluoro or chloro are preferred.

"Cycloalkyl" is a cyclized alkyl ring having the indicated number of carbon atoms. Thus, for example, "-C₃₋₆ cycloalkyl" (or "-C_{3-C6} cycloalkyl") refers to each of cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

The term "haloalkyl" refers to an alkyl group as defined above in which one or more of the hydrogen atoms have been replaced (i.e., substituted) with a halogen (i.e., F, Cl, Br and/or I). Thus, for example, "-C₁₋₆ haloalkyl" (or "-C_{1-C6} haloalkyl") refers to a -C₁ to C₆ linear or branched alkyl group as defined above with one or more halogen substituents; particularly 1-6 halogen substituents; and more particularly 1-3 halogen substituents. The term "fluoroalkyl" has an analogous meaning except that the halogen substituents are restricted to fluoro. Suitable fluoroalkyls include the series -(CH₂)₀₋₄CF₃ (i.e., trifluoromethyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoro-n-propyl, etc.). A fluoroalkyl of particular interest is CF₃.

The term "C(O)" refers to carbonyl. The terms "S(O)₂" and "SO₂" each refer to sulfonyl. The term "S(O)" refers to sulfinyl.

As used herein =O includes oxo (e.g., an annular -CH- substituted with oxo is -C(O) or carbonyl).

The term "aryl," by itself or as part of another substituent, means an aromatic cyclic hydrocarbon radical. Preferred aryl groups have from six to ten carbons atoms. The term "aryl" includes multiple ring systems as well as single ring systems. Preferred aryl groups for use in the invention include phenyl and naphthyl.

The term "aryl" also includes fused cyclic hydrocarbon rings which are partially aromatic (i.e., one of the fused rings is aromatic and the other is non-aromatic). An exemplary aryl group which is partially aromatic is indanyl.

The term heterocyclyl, heterocycle or heterocyclic, as used herein, represents a stable 4- to 7-membered monocyclic, stable 8- to 11-membered bicyclic heterocyclic, or 8- to 13 tricyclic heterocyclic ring which is either saturated or unsaturated, and which consists of carbon atoms and from one to four heteroatoms selected from the group consisting of N, O, and S, and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The heterocyclic ring may be attached at any heteroatom or carbon atom which results in the creation of a stable structure. The term heterocyclyl, heterocycle or heterocyclic includes heteroaryl moieties and heterocycloalkyl moieties. Examples of such heterocyclic elements include, but are not limited to, azepinyl, benzodioxolyl, benzimidazolyl, benzisoxazolyl, benzofurazanyl, benzopyranyl, benzothiopyranyl, benzofuryl, benzothiazolyl, benzothienyl, benzotriazolyl, benzoxazolyl, chromanyl, cinnolyl, dihydrobenzofuryl, dihydroisobenzofuranyl, dihydrobenzothienyl, dihydrobenzothiopyranyl, dihydrobenzothiopyranyl sulfone, 1,3-dioxolanyl, furyl, imidazolidinyl, imidazolyl, imidazolyl, indolyl, indolyl, isochromanyl, isoindolyl, isoquinolyl, isothiazolidinyl, isothiazolyl, isothiazolidinyl, morpholyl, naphthyridinyl, oxadiazolyl, 2-oxoazepinyl, oxazolyl, 2-oxopiperazinyl, 2-oxopiperdinyl, 2-oxopyrrolidinyl, piperidyl, piperazinyl, pyridyl, pyrazinyl, pyrazolidinyl, pyrazolyl, pyrazolopyridinyl, pyridazinyl, pyrimidinyl, pyrrolidinyl, pyrrolyl, quinazolinyl, quinolyl, quinoxalyl, tetrahydrofuryl, tetrahydroisoquinolyl,

tetrahydroquinolinyl, thiamorpholinyl, thiamorpholinyl sulfoxide, thiazolyl, thiazolinyl, thienofuryl, thienothienyl, thienyl, and triazolyl.

The term "heteroaryl", as used herein except where noted, represents a stable 5- to 7-membered monocyclic- or stable 9- to 11-membered fused bicyclic heterocyclic ring system which contains an aromatic ring. Any additional ring or rings fused to the aromatic ring may be saturated, such as piperidinyl, partially saturated, or unsaturated, such as pyridinyl, and which consists of carbon atoms and from one to four heteroatoms selected from the group consisting of N, O and S, and wherein the nitrogen and sulfur heteroatoms may optionally be oxidized, and the nitrogen heteroatom may optionally be quaternized, and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The heterocyclic ring may be attached at any heteroatom or carbon atom which results in the creation of a stable structure.

When a heterocyclyl group as defined herein is substituted, the substituent may be bonded to a ring carbon atom of the heterocyclic group, or on a ring heteroatom (*i.e.*, a nitrogen, oxygen or sulfur), which has a valence which permits substitution. Preferably, the substituent is bonded to a ring carbon atom. Similarly, when a heterocyclic group is defined as a substituent herein, the point of attachment may be at a ring carbon atom of the heterocyclic group, or on a ring heteroatom (*i.e.*, a nitrogen, oxygen or sulfur), which has a valence which permits attachment. Preferably, the attachment is at a ring carbon atom.

It is understood that the specific rings listed above are not a limitation on the rings which can be used in the present invention. These rings are merely representative.

Unless expressly stated to the contrary in a particular context, any of the various cyclic rings and ring systems described herein may be attached to the rest of the compound at any ring atom (*i.e.*, any carbon atom or any heteroatom) provided that a stable compound results.

Unless expressly stated to the contrary, all ranges cited herein are inclusive. For example, a heteroaromatic ring described as containing from "1 to 3 heteroatoms" means the ring can contain 1, 2 or 3 heteroatoms. It is also understood that any range cited herein includes within its scope all of the sub-ranges within that range. Thus, for example, a heterocyclic ring described as containing from "1 to 4 heteroatoms" is intended to include as aspects thereof, heterocyclic rings containing 2 to 4 heteroatoms, 3 or 4 heteroatoms, 1 to 3 heteroatoms, 2 or 3 heteroatoms, 1 or 2 heteroatoms, 1 heteroatom, 2 heteroatoms, 3 heteroatoms, or 4 heteroatoms. As another example, a moiety described as optionally substituted with "from 1 to 3 substituents" is intended to include as aspects thereof, such moiety substituted with 1 to 3 substituents, 2 or 3 substituents, 3 substituents, 1 or 2 substituents, 2 substituents, or 1 substituent.

When any variable (e.g., R³ or R^{3a}) occurs more than one time in any constituent or in Formula I or in any other formula depicting and describing compounds of the present invention, its definition on each occurrence is independent of its definition at every other occurrence. Also, combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

Unless expressly depicted or described otherwise, variables depicted in a structural formula with a "floating" bond attached to a ring, such as R^a, are permitted to be a substituent on any available carbon or nitrogen atom in the ring to which the variable is attached. When a moiety is noted as being "optionally substituted" in formula I or any embodiment thereof, it means that formula I or the embodiment thereof encompasses compounds that are substituted with the noted substituent (or substituents) on the moiety and compounds that do not contain the noted substituent (or substituents) on the moiety (i.e., wherein the moiety is unsubstituted).

Unless expressly stated to the contrary, substitution by a named substituent is permitted on any atom in a chain or ring provided such substitution is chemically allowed and results in a stable compound. A "stable" compound is a compound which can be prepared and isolated and whose structure and properties remain or can be caused to remain essentially unchanged for a period of time sufficient to allow use of the compound for the purposes described herein (e.g., therapeutic or prophylactic administration to a subject). The compounds of the present invention are limited to stable compounds embraced by Formula I.

To the extent substituents and substituent patterns provide for the existence of tautomers (e.g., keto-enol tautomers) in the compounds of the invention, all tautomeric forms of these compounds, whether present individually or in mixtures, are within the scope of the present invention. Compounds of the present invention having a hydroxy substituent on a carbon atom of a heteroaromatic ring are understood to include compounds in which only the hydroxy is present, compounds in which only the tautomeric keto form (i.e., an oxo substituent) is present, and compounds in which the keto and enol forms are both present.

The compounds of Formula I may have one or more chiral (asymmetric) centers. The present invention encompasses all stereoisomeric forms of the compounds of Formula I. Centers of asymmetry that are present in the compounds of Formula I can all independently of one another have (*R*) or (*S*) configuration. When bonds to a chiral carbon are depicted as straight lines in the structural Formulas of the invention, or when a compound name is recited without an (*R*) or (*S*) chiral designation for a chiral carbon, it is understood that both the (*R*) and (*S*) configurations of each such chiral carbon, and hence each enantiomer or diastereomer and mixtures thereof, are embraced within the Formula or by the name. The production of specific stereoisomers or mixtures thereof may be identified in the Examples where such stereoisomers or mixtures were obtained, but this in no way limits the inclusion of all stereoisomers and mixtures thereof from being within the scope of this invention.

The invention includes all possible enantiomers and diastereomers and mixtures of two or more stereoisomers, for example mixtures of enantiomers and/or diastereomers, in all ratios. Thus, enantiomers are a subject of the invention in enantiomerically pure form, both as levorotatory and as dextrorotatory antipodes, in the form of racemates and in the form of mixtures of the two enantiomers in all ratios. In the case of a cis/trans isomerism the invention

includes both the cis form and the trans form as well as mixtures of these forms in all ratios. The preparation of individual stereoisomers can be carried out, if desired, by separation of a mixture by customary methods, for example by chromatography or crystallization, by the use of stereochemically uniform starting materials for the synthesis or by stereoselective synthesis.

5 Optionally a derivatization can be carried out before a separation of stereoisomers. The separation of a mixture of stereoisomers can be carried out at an intermediate step during the synthesis of a compound of Formula I or it can be done on a final racemic product. Absolute stereochemistry may be determined by X-ray crystallography of crystalline products or crystalline intermediates which are derivatized, if necessary, with a reagent containing a
10 stereogenic center of known configuration. Alternatively, absolute stereochemistry may be determined by Vibrational Circular Dichroism (VCD) spectroscopy analysis. The present invention includes all such isomers, as well as salts, solvates (which includes hydrates) and solvated salts of such racemates, enantiomers, diastereomers and tautomers and mixtures thereof.

In the compounds of Formula I, the atoms may exhibit their natural isotopic
15 abundances, or one or more of the atoms may be artificially enriched in a particular isotope having the same atomic number, but an atomic mass or mass number different from the atomic mass or mass number predominantly found in nature. The present invention is meant to include all suitable isotopic variations of the compounds of Formula I. For example, different isotopic forms of hydrogen (H) include protium (^1H) and deuterium (^2H). Protium is the predominant
20 hydrogen isotope found in nature. Enriching for deuterium may afford certain therapeutic advantages, such as increasing *in vivo* half-life or reducing dosage requirements, or may provide a compound useful as a standard for characterization of biological samples. Isotopically-enriched compounds within Formula I can be prepared without undue experimentation by conventional techniques well known to those skilled in the art or by processes analogous to those
25 described in the Schemes and Examples herein using appropriate isotopically-enriched reagents and/or intermediates.

The compounds can be administered in the form of pharmaceutically acceptable salts. The term "pharmaceutically acceptable salt" refers to a salt which possesses the effectiveness of the parent compound and which is not biologically or otherwise undesirable
30 (e.g., is neither toxic nor otherwise deleterious to the recipient thereof).

When the compounds of Formula I contain one or more acidic or basic groups the invention also includes the corresponding pharmaceutically acceptable salts. Thus, the compounds of Formula I which contain acidic groups can be used according to the invention as, for example but not limited to, alkali metal salts, alkaline earth metal salts or as ammonium salts.
35 Examples of such salts include but are not limited to sodium salts, potassium salts, calcium salts, magnesium salts or salts with ammonia or organic amines such as, for example, ethylamine, ethanolamine, triethanolamine or amino acids. Compounds of Formula I which contain one or more basic groups, i.e. groups which can be protonated, can be used according to the invention

in the form of their acid addition salts with inorganic or organic acids as, for example but not limited to, salts with hydrogen chloride, hydrogen bromide, phosphoric acid, sulfuric acid, nitric acid, benzenesulfonic acid, methanesulfonic acid, p-toluenesulfonic acid, naphthalenedisulfonic acids, oxalic acid, acetic acid, trifluoroacetic acid, tartaric acid, lactic acid, salicylic acid, benzoic acid, formic acid, propionic acid, pivalic acid, diethylacetic acid, malonic acid, succinic acid, pimelic acid, fumaric acid, maleic acid, malic acid, sulfaminic acid, phenylpropionic acid, gluconic acid, ascorbic acid, isonicotinic acid, citric acid, adipic acid, etc. If the compounds of Formula I simultaneously contain acidic and basic groups in the molecule the invention also includes, in addition to the salt forms mentioned, inner salts or betaines (zwitterions). Salts can be obtained from the compounds of Formula I by customary methods which are known to the person skilled in the art, for example by combination with an organic or inorganic acid or base in a solvent or dispersant, or by anion exchange or cation exchange from other salts. The present invention also includes all salts of the compounds of Formula I which, owing to low physiological compatibility, are not directly suitable for use in pharmaceuticals but which can be used, for example, as intermediates for chemical reactions or for the preparation of pharmaceutically acceptable salts.

Another embodiment of the present invention is a compound of Formula I, or a pharmaceutically acceptable salt thereof, as originally defined or as defined in any of the foregoing embodiments, aspects, classes, or subclasses, wherein the compound or its salt is in a substantially pure form. As used herein "substantially pure" means suitably at least about 60 wt.%, typically at least about 70 wt.%, preferably at least about 80 wt.%, more preferably at least about 90 wt.% (e.g., from about 90 wt.% to about 99 wt.%), even more preferably at least about 95 wt.% (e.g., from about 95 wt.% to about 99 wt.%, or from about 98 wt.% to 100 wt.%), and most preferably at least about 99 wt.% (e.g., 100 wt.%) of a product containing a compound of Formula I or its salt (e.g., the product isolated from a reaction mixture affording the compound or salt) consists of the compound or salt. The compounds of the invention have two or more asymmetric centers and can occur as mixtures of stereoisomers. It is understood that a substantially pure compound can be either a substantially pure mixture of stereoisomers or a substantially pure individual diastereomer or enantiomer. The level of purity of the compounds and salts can be determined using a standard method of analysis such as thin layer chromatography, gel electrophoresis, high performance liquid chromatography, and/or mass spectrometry. If more than one method of analysis is employed and the methods provide experimentally significant differences in the level of purity determined, then the method providing the highest level of purity governs. A compound or salt of 100% purity is one which is free of detectable impurities as determined by a standard method of analysis.

Furthermore, compounds of the present invention may exist in amorphous form and/or one or more crystalline forms, and as such all amorphous and crystalline forms and mixtures thereof of the compounds of Formula I are intended to be included within the scope of

the present invention. In addition, some of the compounds of the instant invention may form solvates with water (i.e., a hydrate) or common organic solvents. Such solvates and hydrates, particularly the pharmaceutically acceptable solvates and hydrates, of the instant compounds are likewise encompassed within the scope of this invention, along with un-solvated and anhydrous forms.

Any pharmaceutically acceptable pro-drug modification of a compound of this invention which results in conversion in vivo to a compound within the scope of this invention is also within the scope of this invention. For example, esters can optionally be made by esterification of an available carboxylic acid group or by formation of an ester on an available hydroxy group in a compound. Similarly, labile amides can be made. Pharmaceutically acceptable esters or amides of the compounds of this invention may be prepared to act as pro-drugs which can be hydrolyzed back to an acid (or -COO^- depending on the pH of the fluid or tissue where conversion takes place) or hydroxy form particularly in vivo and as such are encompassed within the scope of this invention. Examples of pharmaceutically acceptable pro-drug modifications include, but are not limited to, -C_{1-6} alkyl esters and -C_{1-6} alkyl substituted with phenyl esters.

Accordingly, the compounds within the generic structural formulas, embodiments and specific compounds described and claimed herein encompass salts, all possible stereoisomers and tautomers, physical forms (e.g., amorphous and crystalline forms), solvate and hydrate forms thereof and any combination of these forms, as well as the salts thereof, pro-drug forms thereof, and salts of pro-drug forms thereof, where such forms are possible unless specified otherwise.

The invention also encompasses methods for the treatment or prophylaxis of infection by HIV or for the treatment, prophylaxis, or delay in the onset of AIDS in a subject in need thereof, which comprises administering to the subject an effective amount of a compound of the invention or a pharmaceutically acceptable salt thereof.

The invention also encompasses a compound of the invention, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for the inhibition of HIV protease, for the treatment or prophylaxis of infection by HIV, or for the treatment, prophylaxis, or delay in the onset of AIDS in a subject in need thereof.

The invention also encompasses a pharmaceutical composition comprising an effective amount of a compound of the invention, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier and further comprising an effective amount of an anti-HIV agent selected from the group consisting of HIV antiviral agents, immunomodulators, and anti-infective agents. Within this embodiment, the anti-HIV agent is an antiviral selected from the group consisting of HIV protease inhibitors, HIV reverse transcriptase inhibitors, HIV integrase inhibitors, HIV fusion inhibitors, HIV entry inhibitors, and HIV maturation inhibitors.

Compounds of formula II and III each form a subset of the compounds included in formula I. Any description which follows that refers to a compound of Formula I also applies to a compound of formula II and III and all embodiments thereof.

Other embodiments of the present invention include the following:

5 (a) A pharmaceutical composition comprising an effective amount of a compound of formula I as defined above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

(b) A pharmaceutical composition which comprises the product prepared by combining (e.g., mixing) an effective amount of a compound of formula I as defined above, or a
10 pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

(c) The pharmaceutical composition of (a) or (b), further comprising an effective amount of an anti-HIV agent selected from the group consisting of HIV antiviral agents, immunomodulators, and anti-infective agents.

(d) The pharmaceutical composition of (c), wherein the anti-HIV agent is an
15 antiviral selected from the group consisting of HIV protease inhibitors, HIV reverse transcriptase inhibitors, HIV integrase inhibitors, HIV fusion inhibitors, HIV entry inhibitors, and HIV maturation inhibitors.

(e) The pharmaceutical composition of (d), wherein the antiviral is selected from the group consisting of HIV reverse transcriptase inhibitors and HIV integrase inhibitors.

20 (f) A combination which is (i) a compound of Formula I as defined above, or a pharmaceutically acceptable salt thereof, and (ii) an anti-HIV agent selected from the group consisting of HIV antiviral agents, immunomodulators, and anti-infective agents; wherein Compound I and the anti-HIV agent are each employed in an amount that renders the combination effective for inhibition of HIV protease, for treatment or prophylaxis of infection by
25 HIV, or for treatment, prophylaxis of, or delay in the onset or progression of AIDS.

(g) The combination of (f), wherein the anti-HIV agent is an antiviral selected from the group consisting of HIV protease inhibitors, HIV reverse transcriptase inhibitors, HIV integrase inhibitors, HIV fusion inhibitors, HIV entry inhibitors, and HIV maturation inhibitors.

30 (h) The combination of (g), wherein the antiviral is selected from the group consisting of HIV reverse transcriptase inhibitors and HIV integrase inhibitors.

(i) A method for the inhibition of HIV protease in a subject in need thereof which comprises administering to the subject an effective amount of a compound of Formula I or a pharmaceutically acceptable salt thereof.

35 (j) A method for the prophylaxis or treatment of infection by HIV (e.g., HIV-1) in a subject in need thereof which comprises administering to the subject an effective amount of a compound of Formula I or a pharmaceutically acceptable salt thereof.

(k) The method of (j), wherein the compound of Formula I is administered in combination with an effective amount of at least one other HIV antiviral selected from the group

consisting of HIV protease inhibitors, HIV reverse transcriptase inhibitors, HIV integrase inhibitors, HIV fusion inhibitors, HIV entry inhibitors, and HIV maturation inhibitors.

(l) The method of (k), wherein the at least one other HIV antiviral is selected from the group consisting of HIV reverse transcriptase inhibitors and HIV integrase inhibitors.

5 (m) A method for the prophylaxis, treatment or delay in the onset or progression of AIDS in a subject in need thereof which comprises administering to the subject an effective amount of a compound of Formula I or a pharmaceutically acceptable salt thereof.

(n) The method of (m), wherein the compound is administered in combination with an effective amount of at least one other HIV antiviral, selected from the group consisting of HIV protease inhibitors, HIV reverse transcriptase inhibitors, HIV integrase inhibitors, HIV fusion inhibitors, HIV entry inhibitors, and HIV maturation inhibitors.

10 (o) The method of (n), wherein the at least one other HIV antiviral is selected from the group consisting of HIV reverse transcriptase inhibitors and HIV integrase inhibitors.

(p) A method for the inhibition of HIV protease in a subject in need thereof which comprises administering to the subject the pharmaceutical composition of (a), (b), (c) or (d) or the combination of (e) or (f).

(q) A method for the prophylaxis or treatment of infection by HIV (e.g., HIV-1) in a subject in need thereof which comprises administering to the subject the pharmaceutical composition of (a), (b), (c), (d) or (e).

20 (r) A method for the prophylaxis, treatment, or delay in the onset or progression of AIDS in a subject in need thereof which comprises administering to the subject the pharmaceutical composition of (a), (b), (c), (d) or (e).

The present invention also includes a compound of formula I, or a pharmaceutically acceptable salt thereof, (i) for use in, (ii) for use as a medicament for, or (iii) for use in the manufacture/preparation of a medicament for: (a) therapy (e.g., of the human body), (b) medicine, (c) inhibition of HIV protease, (d) treatment or prophylaxis of infection by HIV, or (e) treatment, prophylaxis of, or delay in the onset or progression of AIDS. In these uses, the compounds of the present invention can optionally be employed in combination with one or more other anti-HIV agents selected from HIV antiviral agents, anti-infective agents, and immunomodulators.

30 Additional embodiments of the invention include the pharmaceutical compositions, combinations and methods set forth in (a)-(r) above and the uses (i)(a)-(e) through (iii)(a)-(e) set forth in the preceding paragraph, wherein the compound of the present invention employed therein is a compound of one of the embodiments, aspects, classes or subclasses described above. In all of these embodiments, the compound can optionally be used in the form of a pharmaceutically acceptable salt.

Additional embodiments of the present invention include each of the pharmaceutical compositions, combinations, methods and uses set forth in the preceding

paragraphs, wherein the compound of the present invention or its salt employed therein is substantially pure. With respect to a pharmaceutical composition comprising a compound of formula I or a pharmaceutically acceptable carrier and optionally one or more excipients, it is understood that the term "substantially pure" is in reference to a compound of formula I or its salt per se.

The methods of the present invention involve the use of compounds of the present invention in the inhibition of HIV protease (e.g., wild type HIV-1 and/or mutant strains thereof), the prophylaxis or treatment of infection by human immunodeficiency virus (HIV) and the prophylaxis, treatment or delay in the onset or progression of consequent pathological conditions such as AIDS. Prophylaxis of AIDS, treating AIDS, delaying the onset or progression of AIDS, or treating or prophylaxis of infection by HIV is defined as including, but not limited to, treatment of a wide range of states of HIV infection: AIDS, ARC (AIDS related complex), both symptomatic and asymptomatic, and actual or potential exposure to HIV. For example, the present invention can be employed to treat infection by HIV after suspected past exposure to HIV by such means as blood transfusion, exchange of body fluids, bites, accidental needle stick, or exposure to patient blood during surgery.

In general, compounds that are HIV protease inhibitors can be identified as those compounds which, when tested in the "Cell-based HIV Infection Assay using a Reporter" assay described below, have an inflection point (IP) of 10 μ M, particularly 5 μ M or less, preferably 1 μ M or less, and more preferably 0.25 μ M or less.

The term "administration" and variants thereof (e.g., "administering" a compound) in reference to a compound of formula I mean providing the compound to the individual in need of treatment or prophylaxis and includes both self-administration and administration to the patient by another person. When a compound is provided in combination with one or more other active agents (e.g., antiviral agents useful for treating or prophylaxis of HIV infection or AIDS), "administration" and its variants are each understood to include provision of the compound and other agents at the same time or at different times. When the agents of a combination are administered at the same time, they can be administered together in a single composition or they can be administered separately.

As used herein, the term "composition" is intended to encompass a product comprising the specified ingredients, as well as any product which results from combining the specified ingredients.

By "pharmaceutically acceptable" is meant that the ingredients of the pharmaceutical composition must be compatible with each other and not deleterious to the recipient thereof.

The term "subject" as used herein refers to an animal, preferably a mammal, most preferably a human, who has been the object of treatment, observation or experiment.

The term "effective amount" as used herein means that amount of active compound or pharmaceutical agent that elicits the biological or medicinal response in a tissue, system, animal or human that is being sought by a researcher, veterinarian, medical doctor or other clinician. In one embodiment, the effective amount is a "therapeutically effective amount" which is an amount effective for inhibiting HIV protease (wild type and/or mutant strains thereof), inhibiting HIV replication (either of the foregoing which may also be referred to herein as an "inhibition effective amount"), treating HIV infection, treating AIDS, delaying the onset of AIDS and/or slowing progression of AIDS. In another embodiment, the effective amount is a "prophylactically effective amount" which is an amount effective for prophylaxis of HIV infection or prophylaxis of AIDS. It is understood that an effective amount can simultaneously be both a therapeutically effective amount, e.g., for treatment HIV infection, and a prophylactically effective amount, e.g., for prevention or reduction of risk of developing AIDS. When the active compound (i.e., active ingredient) is administered as the salt, references to the amount of active ingredient are to the free form (i.e., the non-salt form) of the compound.

In the methods of the present invention (e.g., inhibiting HIV protease, treating or prophylaxis of HIV infection, inhibiting HIV replication, treating or prophylaxis of AIDS, delaying the onset of AIDS, or delaying or slowing progression of AIDS), the compounds of formula I, optionally in the form of a salt, can be administered by any means that produces contact of the active agent with the agent's site of action. They can be administered by any conventional means available for use in conjunction with pharmaceuticals, either as individual therapeutic agents or in a combination of therapeutic agents. They can be administered alone, but typically are administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice. The compounds of the invention can, for example, be administered by one or more of the following routes: orally, parenterally (including subcutaneous injections, intravenous, intramuscular, intrasternal injection or infusion techniques), by inhalation spray, or rectally, in the form of a unit dosage of a pharmaceutical composition containing an effective amount of the compound and conventional non-toxic pharmaceutically acceptable carriers, adjuvants and vehicles. Liquid preparations suitable for oral administration (e.g., suspensions, syrups, elixirs and the like) can be prepared according to techniques known in the art and can employ any of the usual media such as water, glycols, oils, alcohols and the like. Solid preparations suitable for oral administration (e.g., powders, pills, capsules and tablets) can be prepared according to techniques known in the art and can employ such solid excipients as starches, sugars, kaolin, lubricants, binders, disintegrating agents and the like. Parenteral compositions can be prepared according to techniques known in the art and typically employ sterile water as a carrier and optionally other ingredients, such as a solubility aid. Injectable solutions can be prepared according to methods known in the art wherein the carrier comprises a saline solution, a glucose solution or a solution containing a mixture of saline and glucose. Further description of methods suitable for use in preparing pharmaceutical

compositions for use in the present invention and of ingredients suitable for use in said compositions is provided in Remington's Pharmaceutical Sciences, 18th edition, edited by A. R. Gennaro, Mack Publishing Co., 1990 and in Remington - The Science and Practice of Pharmacy, 21st edition, Lippincott Williams & Wilkins, 2005.

The compounds of formula I can be administered orally in a dosage range of 0.001 to 1000 mg/kg of mammal (e.g., human) body weight per day in a single dose or in divided doses. One dosage range is 0.01 to 500 mg/kg body weight per day orally in a single dose or in divided doses. Another dosage range is 0.1 to 100 mg/kg body weight per day orally in single or divided doses. For oral administration, the compositions can be provided in the form of tablets or capsules containing 1.0 to 500 milligrams of the active ingredient, particularly 1, 5, 10, 15, 20, 25, 50, 75, 100, 150, 200, 250, 300, 400, and 500 milligrams of the active ingredient for the symptomatic adjustment of the dosage to the patient to be treated. The specific dose level and frequency of dosage for any particular patient may be varied and will depend upon a variety of factors including the activity of the specific compound employed, the metabolic stability and length of action of that compound, the age, body weight, general health, sex, diet, mode and time of administration, rate of excretion, drug combination, the severity of the particular condition, and the host undergoing therapy. In some cases, depending on the potency of the compound or the individual response, it may be necessary to deviate upwards or downwards from the given daily dose. Furthermore, the compound may be formulated for immediate or modified release such as extended or controlled release.

As noted above, the present invention is also directed to use of a compound of formula I with one or more additional anti-HIV agents. An "anti-HIV agent" is any agent which is directly or indirectly effective in the inhibition of HIV reverse transcriptase, protease, or another enzyme required for HIV replication or infection, the inhibition of HIV replication, the treatment or prophylaxis of HIV infection, and/or the treatment, prophylaxis or delay in the onset or progression of AIDS. It is understood that an anti-HIV agent is effective in treating, preventing, or delaying the onset or progression of HIV infection or AIDS and/or diseases or conditions arising therefrom or associated therewith. For example, the compounds of this invention may be effectively administered, whether at periods of pre-exposure and/or post-exposure, in combination with effective amounts of one or more anti-HIV agents selected from HIV antiviral agents, immunomodulators, anti-infectives, or vaccines useful for treating HIV infection or AIDS, such as those disclosed in Table 1 of WO 01/38332 or in the Table in WO 02/30930. Suitable HIV antivirals for use in combination with the compounds of the present invention include, for example, those listed in Table A as follows:

Table A: Antiviral Agents for Treating HIV infection or AIDS

Name	Type
abacavir, ABC, Ziagen®	nRTI
abacavir +lamivudine, Epzicom®	nRTI

Name	Type
abacavir + lamivudine + zidovudine, Trizivir®	nRTI
amprenavir, Agenerase®	PI
atazanavir, Reyataz®	PI
AZT, zidovudine, azidothymidine, Retrovir®	nRTI
capravirine	nnRTI
darunavir, Prezista®	PI
ddC, zalcitabine, dideoxycytidine, Hivid®	nRTI
ddI, didanosine, dideoxyinosine, Videx®	nRTI
ddI (enteric coated), Videx EC®	nRTI
delavirdine, DLV, Rescriptor®	nnRTI
dolutegravir, Tivicay®	InI
doravirine, MK-1439	nnRTI
efavirenz, EFV, Sustiva®, Stocrin®	nnRTI
efavirenz + emtricitabine + tenofovir DF, Atripla®	nnRTI + nRTI
EFdA (4'-ethynyl-2'-fluoro-2'-deoxyadenosine)	nRTI
Elvitegravir	InI
emtricitabine, FTC, Emtriva®	nRTI
emtricitabine + tenofovir DF, Truvada®	nRTI
emvirine, Coactinon®	nnRTI
enfuvirtide, Fuzeon®	FI
enteric coated didanosine, Videx EC®	nRTI
etravirine, TMC-125	nnRTI
fosamprenavir calcium, Lexiva®	PI
indinavir, Crixivan®	PI
lamivudine, 3TC, Epivir®	nRTI
lamivudine + zidovudine, Combivir®	nRTI
lopinavir	PI
lopinavir + ritonavir, Kaletra®	PI
maraviroc, Selzentry®	EI
nelfinavir, Viracept®	PI
nevirapine, NVP, Viramune®	nnRTI
PPL-100 (also known as PL-462) (Ambrilia)	PI
raltegravir, MK-0518, Isentress™	InI
Rilpivirine	nnRTI
ritonavir, Norvir®	PI
saquinavir, Invirase®, Fortovase®	PI

Name	Type
stavudine, d4T, didehydrodeoxythymidine, Zerit®	nRTI
tipranavir, Aptivus®	PI
vicriviroc	EI

EI = entry inhibitor; FI = fusion inhibitor; InI = integrase inhibitor; PI = protease inhibitor; nRTI = nucleoside reverse transcriptase inhibitor; nnRTI = non-nucleoside reverse transcriptase inhibitor. Some of the drugs listed in the table are used in a salt form; e.g., abacavir sulfate, delavirdine mesylate, 5 indinavir sulfate, atazanavir sulfate, nelfinavir mesylate, saquinavir mesylate.

It is understood that the scope of combinations of the compounds of this invention with anti-HIV agents is not limited to the HIV antivirals listed in Table A and/or listed in the above-referenced Tables in WO 01/38332 and WO 02/30930, but includes in principle any combination with any pharmaceutical composition useful for the treatment or prophylaxis of AIDS. The HIV antiviral agents and other agents will typically be employed in these combinations in their conventional dosage ranges and regimens as reported in the art, including, for example, the dosages described in the Physicians' Desk Reference, Thomson PDR, Thomson PDR, 57th edition (2003), the 58th edition (2004), or the 59th edition (2005) and the current 10 Physicians' Desk Reference (68th ed.). (2014), Montvale, NJ: PDR Network. The dosage ranges for a compound of the invention in these combinations are the same as those set forth above.

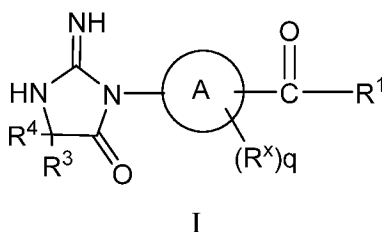
The compounds of this invention are also useful in the preparation and execution of screening assays for antiviral compounds. For example, the compounds of this invention are useful for isolating enzyme mutants, which are excellent screening tools for more powerful 20 antiviral compounds. Furthermore, the compounds of this invention are useful in establishing or determining the binding site of other antivirals to HIV protease, e.g., by competitive inhibition. Thus the compounds of this invention are commercial products to be used for these purposes.

Abbreviations and acronyms employed herein include the following: Bn = benzyl; BOC (or Boc) = t-butyloxycarbonyl; Boc₂O = di-t-butyl carbonate; BOP = benzotriazol- 25 1-yloxytris-(dimethylamino)phosphonium; BSA = bovine serum albumin; CBS = Corey, Bakshi, Shibata chiral oxazaborolidine mediated ketone reduction; Cbz = benzyloxycarbonyl; DBU = 1,8-diazabicyclo[5.4.0]undec-7-one; DCAD = di-(4-chlorobenzyl) azodicarboxylate; DCE = 1,2-dichloroethane; DCM = dichloromethane; DEAD = diethyl azodicarboxylate; DIAD = diisopropylazodicarboxylate; Dibal-H = diisobutylaluminum hydride; DMAP = 4- 30 dimethylaminopyridine; DMF = dimethylformamide; DMSO = dimethyl sulfoxide; e.g. = for example (but not limited to); EDC = 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide; Et = ethyl; EtOAc = ethyl acetate; EtOH = ethanol; G-2G = Grubbs catalyst, 2nd generation; HOAt = 1-hydroxy-7-azabenzotriazole; HPLC = high performance liquid chromatography; HSU = hydroxysuccinimide; i-PrOH = isopropanol; LAH = lithium aluminum hydride; LCMS = liquid

chromatography-mass spectroscopy; Me = methyl; MeOH = methanol; MOC = methoxycarbonyl; Ms = mesyl or methanesulfonyl; NMR = nuclear magnetic resonance; Ph = phenyl; RCM = ring closing metathesis; Piv = pivaloyl; PPTS = pyridinium p-toluene sulfonate; PyBrOP = bromo-tris-pyrrolidinophosphonium hexafluorophosphate;); rt, r.t. or RT = room temperature; SCX = strong cation exchange resin; STP = standard temperature and pressure (i.e., 25°C & 1 atmosphere); TBS = tert-butyldimethylsilyl; TBDPS = tert-butyl(diphenyl) silyl; TBDPSCl = tert-butyl(dimethyl)silyl chloride; TEA = triethylamine; TFA = trifluoroacetic acid; THF = tetrahydrofuran; TLC = thin layer chromatography; TMAF = tetramethyl ammonium fluoride; TMSCHN₂ = trimethylsilyl diazomethane; TPAP = tetrapropylammonium perruthenate; TPP = triphenylphosphine.

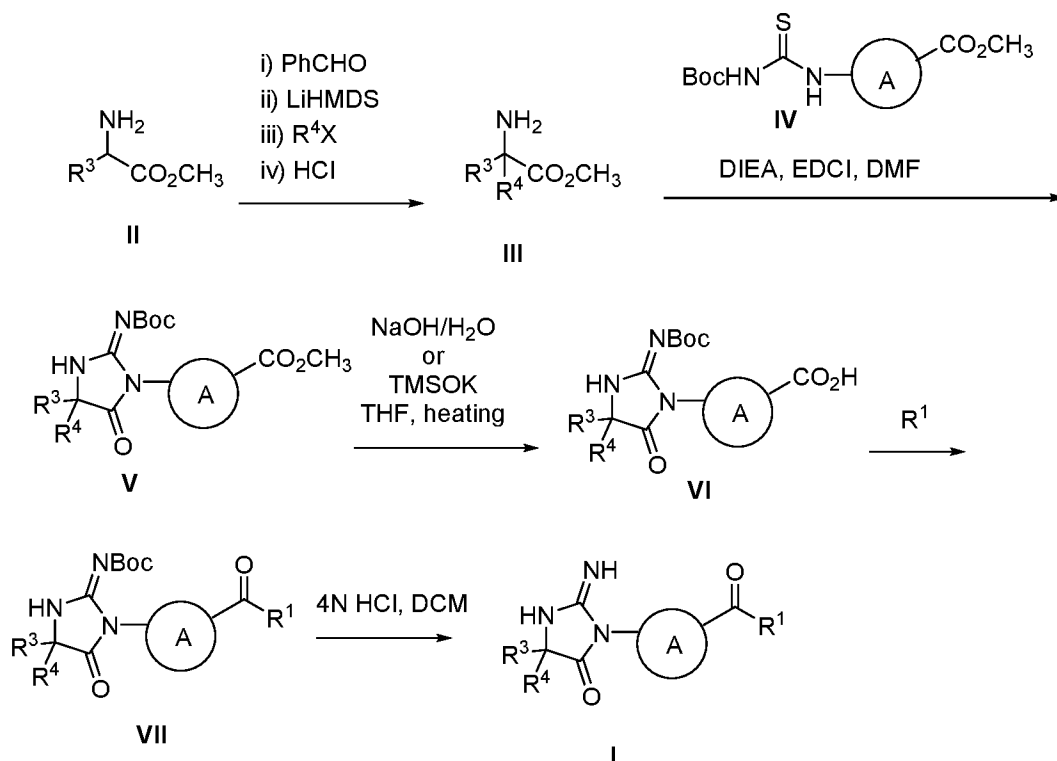
The compounds of the present invention can be readily prepared according to the following reaction schemes and examples, or modifications thereof, using readily available starting materials, reagents and conventional synthesis procedures. In these reactions, it is also possible to make use of variants which are themselves known to those of ordinary skill in this art, but are not mentioned in greater detail. Furthermore, other methods for preparing compounds of the invention will be readily apparent to the person of ordinary skill in the art in light of the following reaction schemes and examples. Unless otherwise indicated, all variables are as defined above. In the examples that follow, when a nitrogen atom is depicted without the necessary hydrogen atoms to complete the valence, it is assumed those hydrogen atoms are present unless specifically stated to the contrary.

This invention relates to the preparation and use of compounds represented by Formula I:



The compounds of formula I can be prepared using the general synthetic reaction schemes shown in Methods A through C.

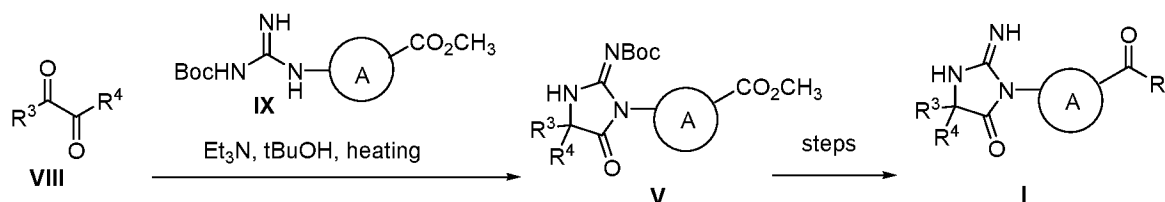
Method A



Method A provides a route to compounds **V** and then to formula **I** compounds by first elaborating the monosubstituted amino acid ester **II** to the requisite disubstituted amino ester **III**. For example **II** is reacted with benzaldehyde under dehydrating conditions to provide an intermediate imine which can be treated with a strong base such as LiHMDS or LDA and reacted with an alkylating agent such as a alkyl halide or triflate (R⁴X – X is halide or triflate) followed by subsequent hydrolysis of the imine to give di-substituted amino acid esters **III**.

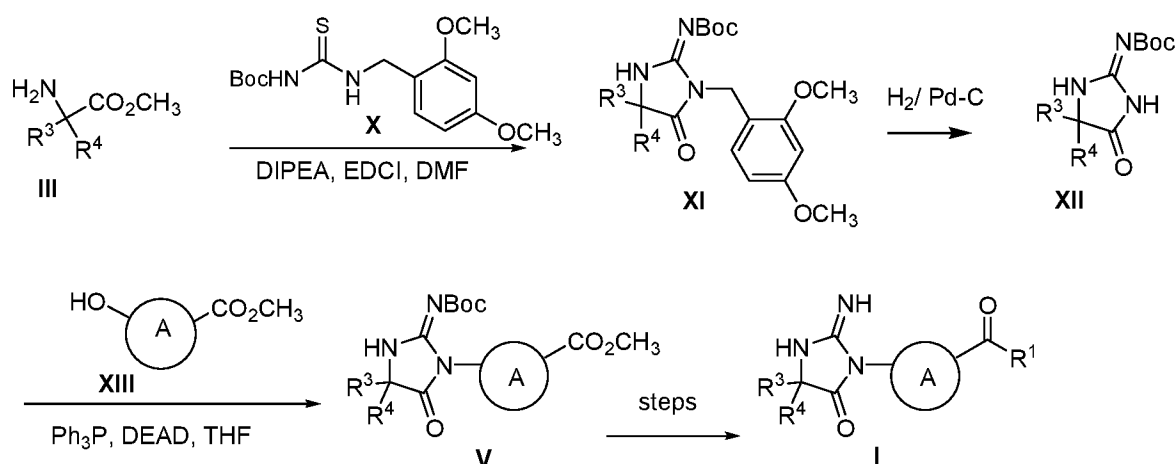
Condensation of **III** with thioureas **IV** provide compounds **V** in a similar manner as described in the literature (see McKittrick et al, Bioorganic & Medicinal Chemistry Letters (2015), 25(7), 1592-1596 and references therein) using a coupling agent such as a carbodiimide under standard peptide coupling conditions. The resultant compounds **V** are saponified to their corresponding carboxylic acids **VI**. Acids **VI** are condensed under standard peptide coupling conditions with amines represented by structure R¹ to provide amides **VII**. The resultant amides are then treated with an acid such as TFA or HCl to remove the BOC protecting group to provide compounds of formula **I**.

Method B



Alternatively, esters **V** can be prepared by condensing α -diketones **VIII** with substituted
 5 guanidines **IX** according to literature procedures. The compounds **V** are then converted to
 compounds of formula **I** according to method A.

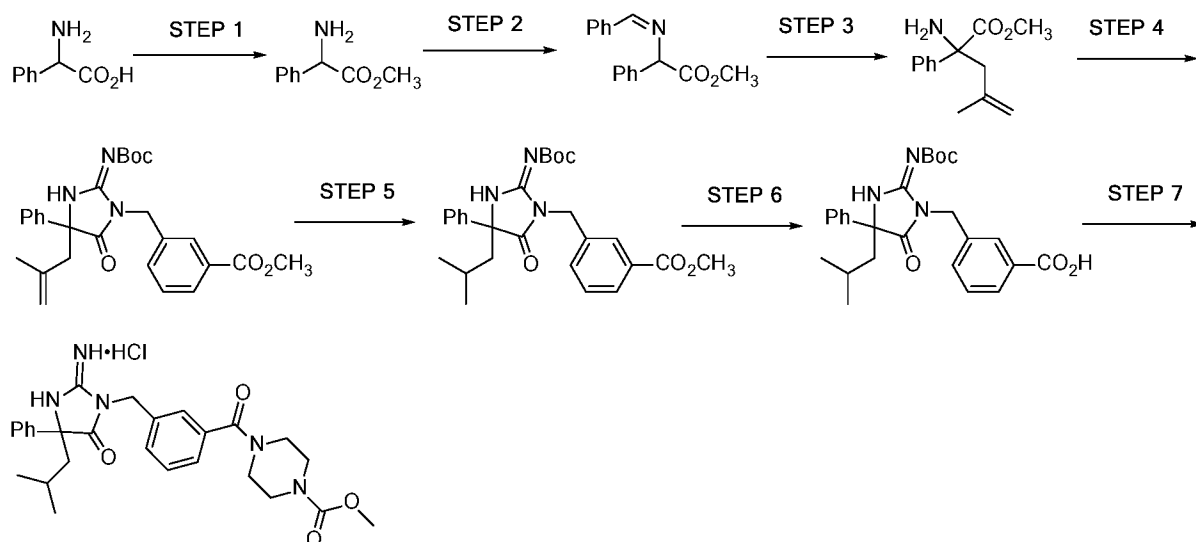
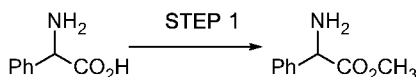
Method C



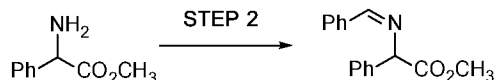
Method C provides another route to compounds **V** and then to formula **I** compounds by first
 10 condensing the disubstituted amino acid ester **III** with orthogonally protected thioureas **X**. For
 example, one embodiment of an orthogonally protected thiourea has a BOC protecting group on
 one nitrogen and a dimethoxybenzyl protecting group on the other nitrogen. The resultant
 compounds **XI** are then treated with palladium under an atmosphere of hydrogen to provide
 intermediates **XII**. Reaction of compounds **XII** with suitable alcohols **XIII** under Mitsunobu
 15 conditions provides compounds **V** and then compounds of formula **I** according to the appropriate
 steps from method A.

Detailed procedures for specific illustrative examples are shown below.

Method A, Scheme 1:

**SCHEME 1, STEP 1:****Methyl 2-amino-2-phenylacetate**

To a cooled solution of phenylglycine (40.3 g, 266.3 mmol) in MeOH (250 ml), was added thionyl chloride (29.0 mL, 399.5 mmol) dropwise and stirred for 12 h until a colorless solution was obtained. The solvents were evaporated to give a pale yellow solid, more methanol was added to dissolve the solid and the solution was evaporated to dryness. The solid was placed on a high vacuum pump for 24 h. (53.0 g). ^1H NMR (300 MHz, CD_3OD) δ 7.51 (d, J = 1.2 Hz, 5H), 5.22 (s, 1H), 3.8 (s, 3H).

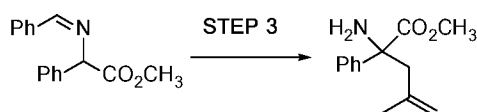
SCHEME 1, STEP 2:**Methyl 2-(benzylideneamino)-2-phenylacetate**

To a suspension of methyl 2-amino-2-phenylacetate (53.0 g, 263 mmol) in dichloromethane (250 mL) was added Et_3N (44.7 mL, 315 mmol) dropwise and stirred for 1 h. Benzaldehyde (27 mL, 263 mmol) was added and the reaction was stirred for 12 h. Water (50 mL) was added to the reaction mixture and transferred to a separatory funnel. The organic layer was washed with brine and dried over Na_2SO_4 , filtered, and concentrated to give an oil which eventually solidified on the high vacuum pump to afford methyl 2-(benzylideneamino)-2-phenylacetate (65.9 g). ^1H

NMR (300 MHz, CDCl_3) δ 10.02 (s, 1H), 7.84 – 7.79 (m, 2H) 7.53 (d, $J=1.5$ Hz) 7.5 – 7.28 (m, 8H), 5.20 (s, 1H), 3.74 (s, 3H).

SCHEME 1, STEP 3:

5 Methyl 2-amino-4-methyl-2-phenylpent-4-enoate



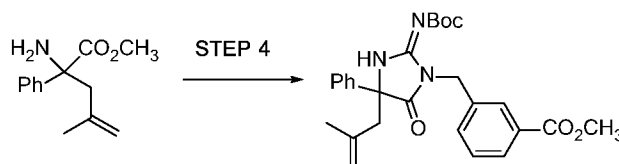
To an oven dried round bottom flask was added methyl 2-(benzylideneamino)-2-phenylacetate (5.0 g, 19.7 mmol), THF (75 mL), and 3-bromo-2-methylpropene (3.2 g, 23.9 mmol) and the mixture was cooled to -78°C . LiHMDS (45 mL, 1M THF solution, 45 mmol) was added

10 dropwise and stirred for 12 h as the reaction mixture warmed to room temperature. The mixture was cooled in an ice bath as 2N HCl (2 x 30 mL) was added then transferred to a separatory funnel. The aqueous layer was separated and basified to pH 8-10 with 2N NaOH. The mixture was transferred back to the separatory funnel and extracted with EtOAc (3 x 30 mL). Then the organic portion was dried over Na_2SO_4 , filtered, and concentrated to give an oil. The oil was

15 purified by silica gel chromatography (0-30% EtOAc/Hexanes) to give methyl 2-amino-4-methyl-2-phenylpent-4-enoate (1.45 g). ^1H NMR (300 MHz, CDCl_3) δ 7.60 - 7.51 (m, 2H), 7.37 – 7.27 (m, 3H), 4.93 (t, $J=1.6$ Hz, 1H), 4.80 (d, $J=0.7$ Hz, 1H), 3.71 (s, 3H), 3.11 (d, $J=13.7$ Hz, 1H), 2.69 (d, $J=13.3$ Hz, 1H), 2.04 (bs, 2H), 1.28 (s, 3H).

20 SCHEME 1, STEP 4:

Methyl 3-((2-((tert-butoxycarbonyl)imino)-4-(2-methylallyl)-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate



To a solution of methyl 2-amino-4-methyl-2-phenylpent-4-enoate (1.4 g, 6.61 mmol) in DMF

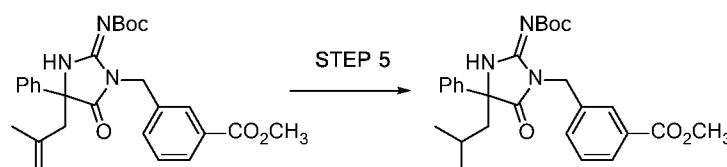
25 (10 mL) was added the thiourea (2.4 g, 7.27 mmol), EDCI (1.46 g, 7.60 mmol), and DIPEA (1.75 mL, 9.9 mmol). The mixture was heated to 60°C for 24 h. The mixture was cooled to room temperature and then diluted with EtOAc (20 mL) then water (10 mL) and transferred to a separatory funnel. The EtOAc was washed with brine (3 x 10 mL) then dried over Na_2SO_4 , filtered, and concentrated to give an oil. The oil was purified by silica gel chromatography,

30 eluting with 0-30% (3:2 mixture of CH_2Cl_2 :EtOAc)/ hexanes to give methyl 3-((2-((tert-

butoxycarbonyl)imino)-4-(2-methylallyl)-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate (0.95 g). Mass Spec. (ESI+) $m/z = 378$ [$C_{27}H_{31}N_3O_5 - C_5H_8O_2 + H$]⁺

SCHEME 1, STEP 5:

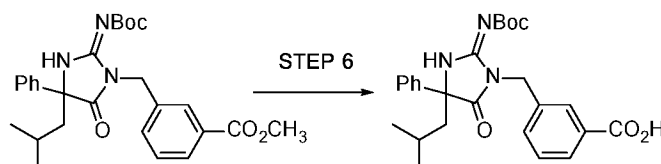
- 5 **Methyl 3-((2-((tert-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate**



- 10 To a solution of methyl 3-((2-((tert-butoxycarbonyl)imino)-4-(2-methylallyl)-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate (0.95 g, 1.99 mmol) in EtOH (20 mL) was added 10 wt. % Pd/C (0.25 g). The mixture was evacuated and purged with H₂ then stirred under an atmosphere of hydrogen. After 4 h the mixture was filtered and concentrated to dryness then subjected to the reaction conditions above by adding EtOH (20 mL) and 10 wt. % Pd/C (0.3 g)
- 15 and stirred for an additional 48 h. The mixture was filtered and concentrated to give methyl 3-((2-((tert-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate (0.58 g). Mass Spec. (ESI+) $m/z = 379$ [$C_{27}H_{33}N_3O_5 - \text{Boc group} + H$]⁺

SCHEME 1, STEP 6:

- 20 **3-((2-((tert-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoic acid**

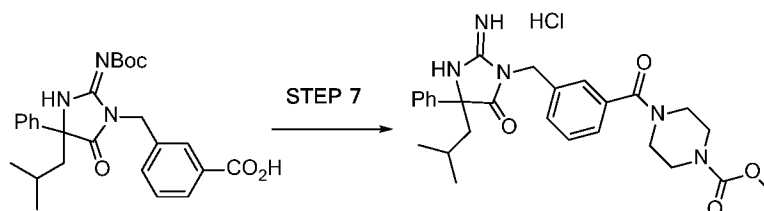


- A suspension of methyl 3-((2-((tert-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate (3.5 g, 7.4 mmol) and potassium trimethylsilanolate (2.1 g 16.2 mmol) in THF (75 mL) was heated to 75 °C for 3 h. The mixture stirred overnight at room temperature concentrated to dryness. EtOAc (50 mL) was added to the residue and 2N NaOH (30 mL). This mixture was transferred to a separatory funnel and the aqueous layer was separated, cooled in an ice bath, and the pH adjusted to to 5-6 with 2N HCl. The aqueous layer

was added back to the EtOAc layer and, separated, and the aqueous layer was extracted with EtOAc (2 x 20 mL). The combined organic layers were dried over Na₂SO₄, filtered and concentrated to give a solid. The solid was dissolved in enough CH₂Cl₂ and purified by silica gel chromatography (0–20% EtOAc/Hexanes) to give compound 3-((2-((*tert*-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoic acid (2.9 g).
 Mass Spec. (ESI+) m/z = 366 [C₂₆H₃₁N₃O₅ – C₅H₈O₂ + H]⁺

SCHEME 1, STEP 7:

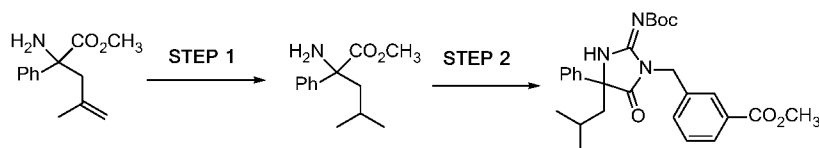
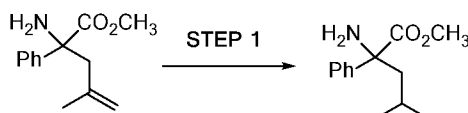
Methyl 4-(3-((2-imino-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoyl)piperazine-1-carboxylate hydrochloride



HCl (1.5 mL, 4N in dioxane, 2.03 mmol) was added to a solution of 3-((2-((*tert*-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoic acid (60 mg, 0.10 mmol) in CH₂Cl₂ and stirred overnight at room temperature. The reaction mixture was concentrated and the residue was purified by silica gel chromatography (0 – 5 % MeOH/CH₂Cl₂) to give methyl 4-(3-((2-imino-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoyl)piperazine-1-carboxylate as the HCl salt. The residue was dissolved in acetonitrile (6 mL) and added 0.4N HCl (2 mL) solution, freeze dried, and lyophilized to furnish compound methyl 4-(3-((2-imino-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoyl)piperazine-1-carboxylate hydrochloride (32 mg). Mass Spec. (ESI+) m/z = 492 [C₂₇H₃₃N₅O₄ + H]⁺, 500 MHz ¹H NMR Spectrum (DMSO-*d*₆) δ 11.0 (s, 1H), 9.9 (b, 2H), 7.5 – 7.34 (m, 8H), 7.23 (s, 1H), 4.96 (d, *J* = 3.6, 2H), 3.63 (s, 3H), 3.30 – 3.16 (m, 8H), 2.15 (d, *J* = 6.3 Hz, 1H), 2.08 (d, *J* = 5.6 Hz, 1H), 1.54 (t, *J* = 6.4 Hz, 1H), 0.78 (d, *J* = 6.5 Hz, 3H), 0.72 (d, *J* = 6.6 Hz, 3H).

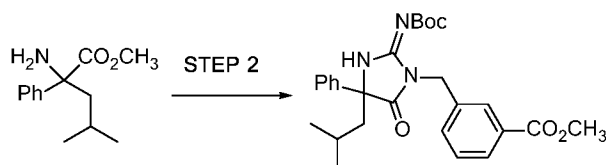
A variation using this modified sequence of Method A was also utilized as illustrated in Scheme 2 which in some cases gave improved results.

Method A, Scheme 2

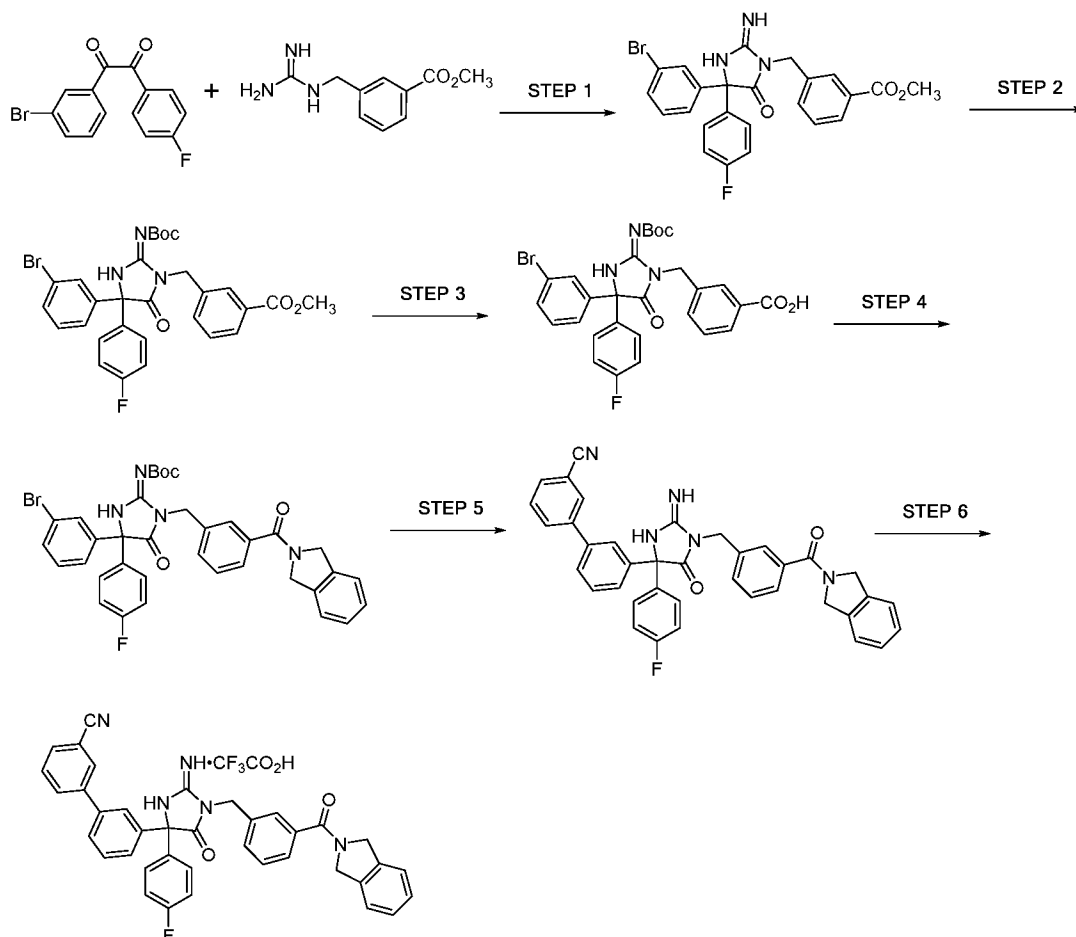
**SCHEME 2, STEP 1:****Methyl 2-amino-4-methyl-2-phenylpentanoate**

5

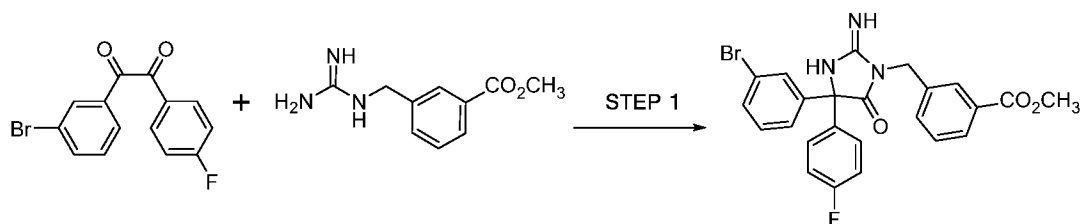
To a solution methyl 2-amino-4-methyl-2-phenylpent-4-enoate (2.8 g, 12.77 mmol) in EtOH (100 mL) was added 10 wt. % Pd/C (0.7 g). The mixture was evacuated, then purged under nitrogen, then switched to hydrogen. After 17 h, the reaction mixture was purged with nitrogen, filtered, and concentrated to give compound methyl 2-amino-4-methyl-2-phenylpentanoate (2.8 g). ¹H NMR (300 MHz, CDCl₃) δ 7.55 - 7.50 (m, 2H), 7.36 - 7.22 (m, 3H), 3.69 (s, 3H), 2.15 - 2.00 (m, 1H), 1.98-1.94 (m, 1H), 1.84 - 1.71 (m, 1H), 0.90 (d, *J* = 6.7 Hz, 3H) 0.84 (d, *J* = 6.6 Hz, 3H).

SCHEME 2, STEP 2:**Methyl 3-((2-((tert-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate**

To a solution of methyl 2-amino-4-methyl-2-phenylpentanoate (4.3 g, 19.4 mmol) in DMF (75 mL) was added methyl 3-((3-(tert-butoxycarbonyl)thioureido)methyl)benzoate (6.9 g, 21.4 mmol), EDCI (4.3 g, 22.4 mmol) and DIPEA (5.0 mL, 29.2 mmol). The mixture was heated to 65 °C for 24 h. Diluted the mixture with EtOAc (50 mL), added H₂O (30 mL) and transferred to a separatory funnel. The EtOAc layer was washed with brine (2 x 30 mL), then dried over Na₂SO₄, filtered and concentrated to give an oil. The oil was purified by silica gel chromatography, eluting with 0-20% (3:2 mixture of CH₂Cl₂:EtOAc) in Hexanes to give methyl 3-((2-((tert-butoxycarbonyl)imino)-4-isobutyl-5-oxo-4-phenylimidazolidin-1-yl)methyl)benzoate (6.8 g). Mass Spec. (ESI⁺) *m/z* = 380 [C₂₇H₃₃N₃O₅ - C₅H₈O₂ + H]⁺

Method B, Scheme 3**SCHEME 3, STEP 1:**

- 5 **Methyl 3-((4-(3-bromophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl)methyl)benzoate**

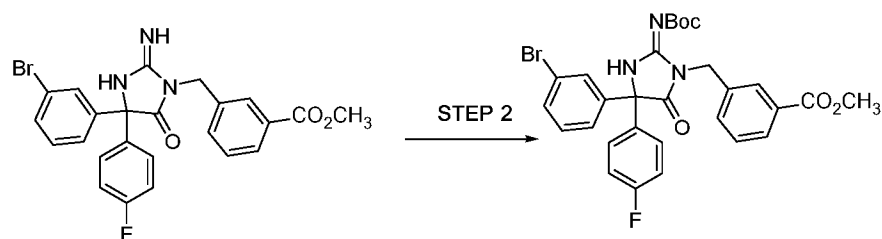


A mixture of 1-(3-bromophenyl)-2-(4-fluorophenyl)ethane-1,2-dione (300 mg, 0.97 mmol), methyl 3-((4-(3-bromophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl)methyl)benzoate (304 mg, 1.46 mmol), and Et₃N (0.54 mL, 3.90 mmol) in anhydrous MeOH (8 mL) was heated to refluxing temperature for 20 h under nitrogen. After this period the reaction mixture was cooled to room temperature and the precipitate was filtered off. The filtrate was concentrated under reduced pressure and the crude mixture was purified by

silica gel chromatography eluting with 0 to 5% MeOH in DCM to furnish methyl 3-((4-(3-bromophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl)methyl)benzoate (240 mg). Mass Spec. (APCI+) m/z =496 (M+H).

5 SCHEME 3, STEP 2:

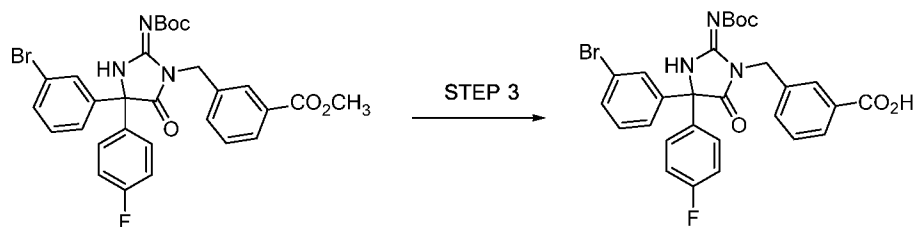
Methyl 3-((4-(3-bromophenyl)-2-(*tert*-butoxycarbonylimino)-4-(4-fluorophenyl)-5-oxoimidazolidin-1-yl)methyl)benzoate



- 10 To a solution of methyl 3-((4-(3-bromophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl)methyl)benzoate (233 mg, 0.46 mmol) in DCM (4 mL) at 0 °C, was added (Boc)₂O (0.12 mL, 0.51 mmol) and Et₃N (0.13 mL, 0.93 mmol) under nitrogen. The reaction mixture was allowed to warm up to room temperature and stirred for 16 h. After this period solvent was evaporated and residue was re-dissolved in DCM (20 mL). The organic layer was washed with
- 15 water (5 mL) and brine (5 mL), dried over Na₂SO₄, filtered and concentrated to dryness. The crude mixture was purified by silica gel chromatography eluting with 5 to 10% EtOAc in Hexanes to afford methyl 3-((4-(3-bromophenyl)-2-(*tert*-butoxycarbonylimino)-4-(4-fluorophenyl)-5-oxoimidazolidin-1-yl)methyl)benzoate (260 mg). ¹H NMR (400 MHz, CDCl₃) δ 9.20 (s, 1H), 8.30-8.05 (m, 1H), 7.99-7.94 (m, 1H), 7.57-7.53 (m, 1H), 7.52-7.47 (m, 1H),
- 20 7.43-7.36 (m, 2H), 7.30-7.19 (m, 4H), 4.91 (s, 2H), 1.54 (s, 9H).

SCHEME 3, STEP 3:

3-((4-(3-bromophenyl)-2-(*tert*-butoxycarbonylimino)-4-(4-fluorophenyl)-5-oxoimidazolidin-1-yl)methyl)benzoic acid

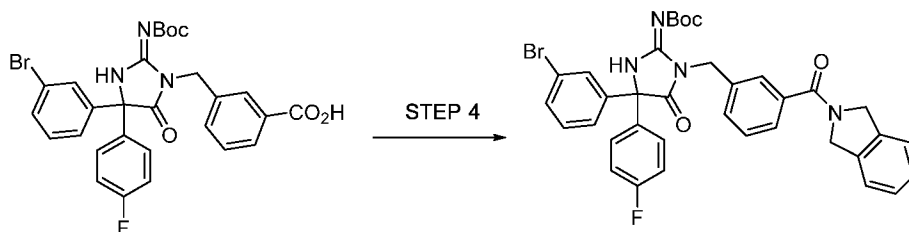


25

To a solution of methyl 3-((4-(3-bromophenyl)-2-(*tert*-butoxycarbonylimino)-4-(4-fluorophenyl)-5-oxoimidazolidin-1-yl)methyl)benzoate (255 mg, 0.42 mmol) in THF (3 mL), was added KOTMS (110 mg, 0.85 mmol) and the reaction mixture was heated at 45 °C for 1.5 h under nitrogen. After this period solvent was evaporated, water added and the mixture was basified to pH 10 with aq NaOH (6N, 2 mL). This basic aqueous solution was then acidified to pH 4 by drop-wise addition of aq HCl (6N) at 0 °C. The acidic mixture was further acidified to pH 2 by drop-wise addition of aq HCl (6N) resulting in the formation of a thick precipitate which was filtered off quickly. The filtered cake was washed with water (2 × 3 mL) and then dried on the lyophilizer to furnish 3-((4-(3-bromophenyl)-2-(*tert*-butoxycarbonylimino)-4-(4-fluorophenyl)-5-oxoimidazolidin-1-yl)methyl)benzoic acid (220 mg). ¹H NMR (300 MHz, DMSO-*d*₆) δ 10.35 (s, 1H), 7.88-7.81 (m, 1H), 7.78-7.73 (m, 1H), 7.64-7.57 (m, 1H), 7.54-7.43 (m, 3H), 7.43-7.32 (m, 4H), 7.32-7.20 (m, 2H), 4.83 (s, 2H), 1.44 (s, 9H).

SCHEME 3, STEP 4:

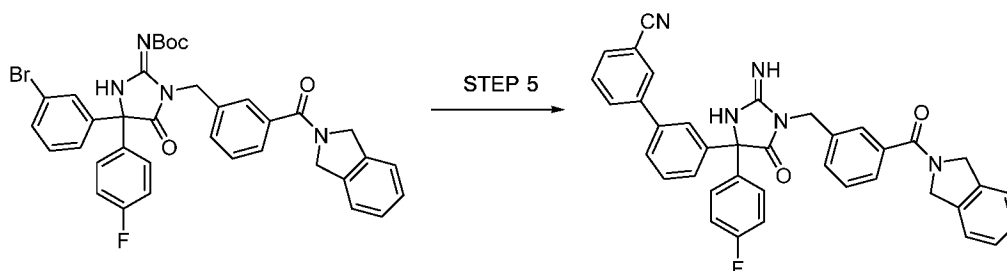
***tert*-Butyl 4-(3-bromophenyl)-4-(4-fluorophenyl)-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-2-ylidenecarbamate**



To a mixture of 3-((4-(3-bromophenyl)-2-(*tert*-butoxycarbonylimino)-4-(4-fluorophenyl)-5-oxoimidazolidin-1-yl)methyl)benzoic acid (215 mg, 0.36 mmol), isoindoline (88 mg, 0.73 mmol), and HATU (210 mg, 0.55 mmol) in anhydrous DMF (3 mL) was added DIPEA (0.2 mL, 1.10 mmol, 3 eq) and the reaction mixture was heated at 45 °C for 16 h under nitrogen. After this period DMF evaporated, added water, then extracted with DCM (3 × 5 mL). Combined organic layer was washed with brine (5 mL), dried over Na₂SO₄, filtered, and concentrated to dryness. The crude mixture was purified by silica gel chromatography eluting with 0 to 1% MeOH in DCM to furnish *tert*-butyl 4-(3-bromophenyl)-4-(4-fluorophenyl)-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-2-ylidenecarbamate (220 mg). MS (ESI+) *m/z* 685 [(M+H)+2].

SCHEME 3, STEP 5:

3'-(4-(4-fluorophenyl)-2-imino-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-4-yl)biphenyl-3-carbonitrile

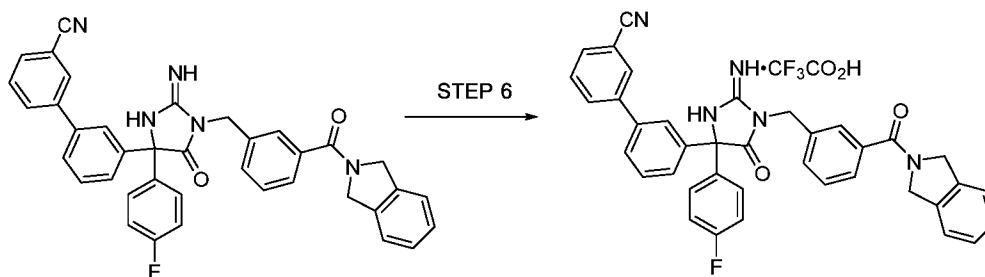


- 5 A mixture of *tert*-butyl 4-(3-bromophenyl)-4-(4-fluorophenyl)-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-2-ylidenecarbamate (215 mg, 0.31 mmol), (3-cyanophenyl)boronic acid (92.4 mg, 0.62 mmol) and K₂CO₃ (174 mg in 0.63 mL H₂O, 2M, 1.25 mmol) in 1,4-dioxane (5 mL) was bubbled with nitrogen for 10 min. To this mixture was added Pd(PPh₃)₄ (36.3 mg, 0.03 mmol) and the reaction mixture was refluxed for 12 h. After this period
10 the reaction mixture was cooled to room temperature, the solid was filtered off. The filter cake was washed with DCM (5 mL) and the combined filtrate was evaporated to dryness. The crude mixture was purified by silica gel chromatography eluting with 0 to 5% MeOH in DCM to furnish 3'-(4-(4-fluorophenyl)-2-imino-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-4-yl)biphenyl-3-carbonitrile (83 mg). Mass Spec. (ESI+) *m/z* =606 (M+H).

15

SCHEME 3, STEP 6:

TFA salt of 3'-(4-(4-fluorophenyl)-2-imino-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-4-yl)biphenyl-3-carbonitrile

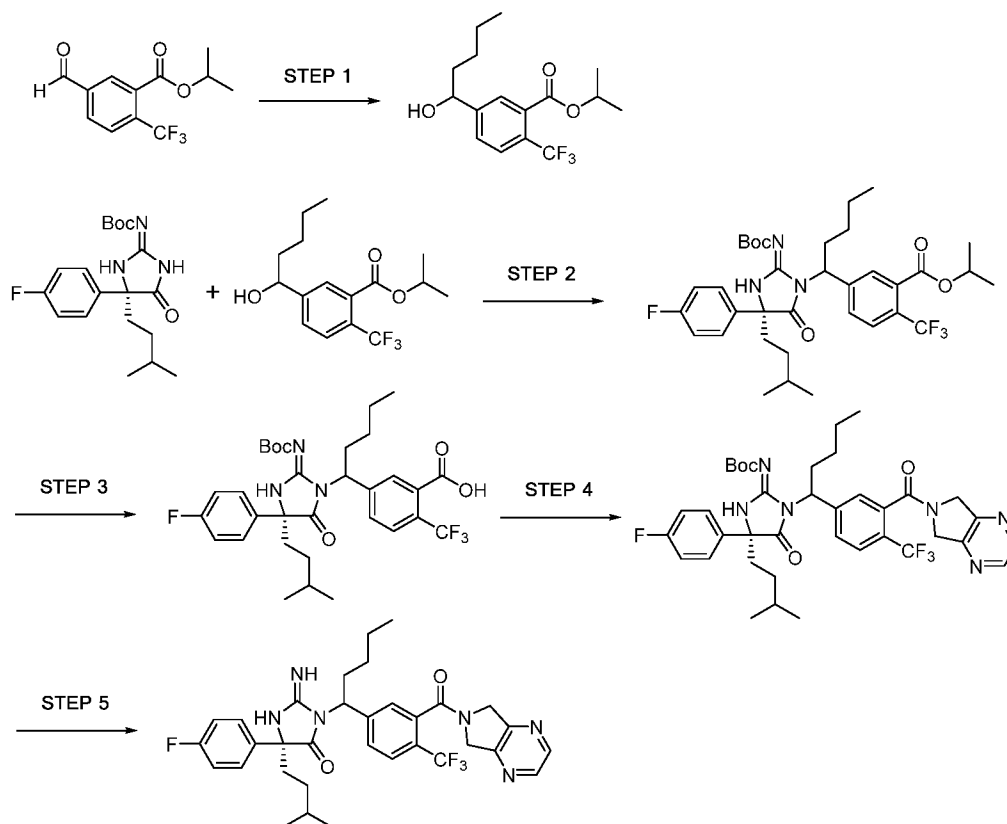


- 20 To a solution of 3'-(4-(4-fluorophenyl)-2-imino-1-(3-(isoindoline-2-carbonyl)benzyl)-5-oxoimidazolidin-4-yl)biphenyl-3-carbonitrile (83 mg, 0.13 mmol) in anhydrous DCM (1 mL), was added TFA (0.05 mL, 0.68 mmol) at 0 °C and then the reaction mixture was warmed up to room temperature and stirred for 15 min. After this period, DCM was evaporated to dryness. The crude mixture was dissolved in a 1:2 mixture of water:CH₃CN (0.5 mL:1 mL) and was
25 lyophilized to furnish the TFA salt of 3'-(4-(4-fluorophenyl)-2-imino-1-(3-(isoindoline-2-

carbonyl)benzyl)-5-oxoimidazolidin-4-yl)biphenyl-3-carbonitrile (90 mg). ^1H NMR (300 MHz, $\text{DMSO}-d_6$) δ 12.20–11.60 (bs, 1H), 10.20–9.60 (bs, 2H), 8.08–8.00 (m, 1H), 7.93–7.82 (m, 2H), 7.80–7.64 (m, 3H), 7.62–7.10 (m, 15H), 5.06 (s, 2H), 4.80 (s, 2H), 4.52 (s, 2H). Mass Spec. (APCI+) m/z = 606 (M+H).

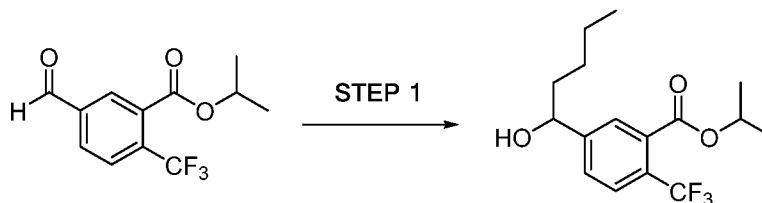
5

Method C, Scheme 4



SCHEME 4, STEP 1:

Isopropyl 5-(1-hydroxypentyl)-2-(trifluoromethyl)benzoate



10

A solution of isopropyl 5-formyl-2-(trifluoromethyl)benzoate (197 mg, 0.759 mmol) in THF (10 mL) was cooled to 0 °C and treated with *n*-BuMgCl (0.5 mL, 2.0 M in Et_2O). The reaction mixture was stirred at 0 °C for 1 h. Then the reaction mixture was quenched by addition of sat $\text{NH}_4\text{Cl}_{(\text{aq})}$ (2 mL). The reaction mixture was diluted with EtOAc (80 mL), H_2O (20 mL), and sat $\text{NH}_4\text{Cl}_{(\text{aq})}$ (20 mL). The layers were separated, and the organic layer was washed with brine (1 x

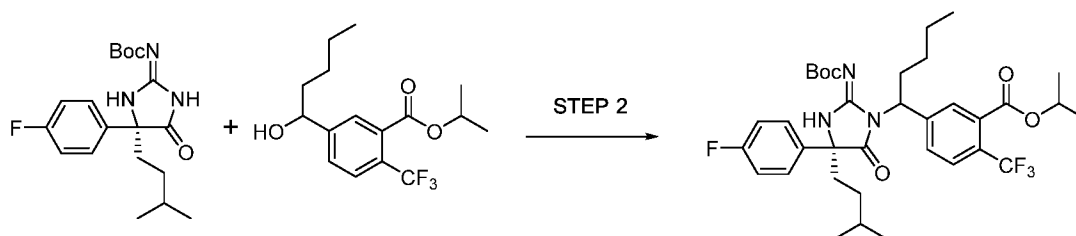
15

20 mL), dried over Na₂SO₄, filtered, and concentrated. The crude product was purified by column chromatography using EtOAc and hexanes as eluents to yield isopropyl 5-(1-hydroxypentyl)-2-(trifluoromethyl)benzoate (95 mg) as a solid. Mass Spec. (ESI+) m/z = 319.2 (M + H⁺).

5

SCHEME 4, STEP 2:

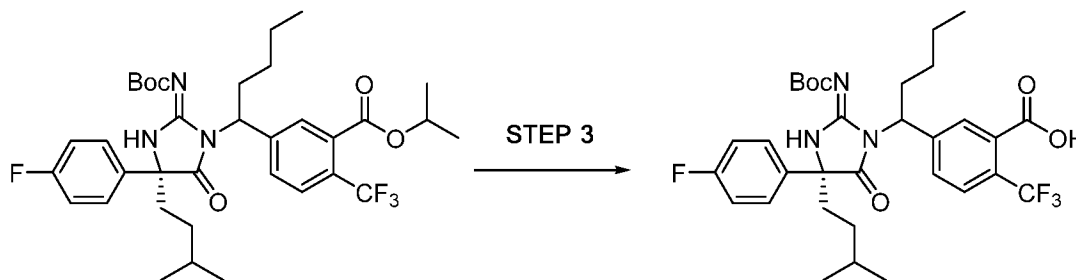
Isopropyl 5-(1-((*R*)-2-((*tert*-butoxycarbonyl)imino)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-1-yl)pentyl)-2-(trifluoromethyl)benzoate.



- 10 To a solution of isopropyl 5-(1-hydroxypentyl)-2-(trifluoromethyl)benzoate (95 mg, 0.3 mmol) and *tert*-butyl (*R*)-4-(4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-2-ylidene)carbamate (91 mg, 0.25 mmol) in THF (1 mL) were added *n*-Bu₃P (0.1 mL, 0.40 mmol) and diethyl azodicarboxylate (0.078 mL, 0.50 mmol) at room temperature. The reaction mixture was stirred at room temperature under nitrogen for 12 h. The reaction mixture was concentrated down and
- 15 purified by column chromatography using EtOAc and hexanes as eluents to yield isopropyl 5-(1-((*R*)-2-((*tert*-butoxycarbonyl)imino)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-1-yl)pentyl)-2-(trifluoromethyl)benzoate (67 mg) as a oil. Mass Spec. (ESI+) m/z = 664.4 (M + H⁺).

SCHEME 4, STEP 3:

- 20 **5-(1-((*R*)-2-((*tert*-butoxycarbonyl)imino)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-1-yl)pentyl)-2-(trifluoromethyl)benzoic acid**

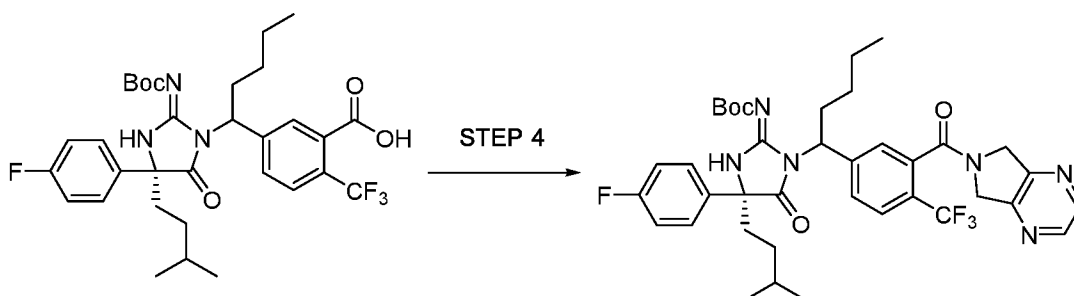


- To a solution of isopropyl 5-(1-((*R*)-2-((*tert*-butoxycarbonyl)imino)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-1-yl)pentyl)-2-(trifluoromethyl)benzoate (67 mg, 0.10 mmol) in
- 25 THF (0.5 mL) and MeOH (0.5 mL) was added a solution of LiOH (0.3 mL, 4N in H₂O). The reaction mixture was stirred at 50 °C. After 22 h, the reaction mixture was cooled to room

temperature, diluted with H₂O (10 mL) and acidified to ~pH 2 by addition of 1N HCl_(aq). The reaction mixture was extracted with CH₂Cl₂ (2 x 30 mL) and EtOAc (2 x 30 mL). All the organic extracts were combined, dried over Na₂SO₄, filtered, and concentrated to yield 5-(1-((*R*)-2-((*tert*-butoxycarbonyl)imino)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-1-yl)pentyl)-2-(trifluoromethyl)benzoic acid (63 mg) as a solid. Mass Spec. (ESI+) m/z = 622.2 (M + H⁺).

SCHEME 4, STEP 4:

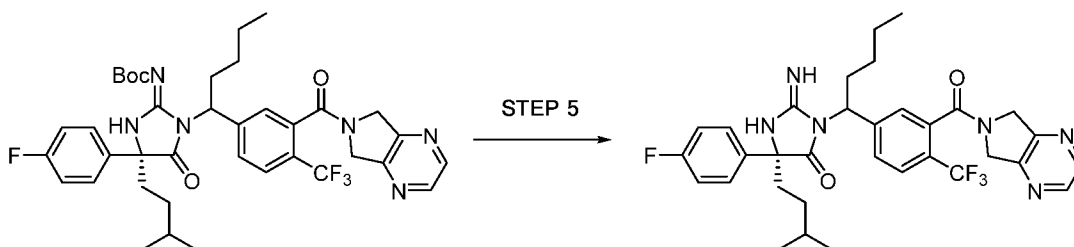
***tert*-butyl ((4*R*)-1-(1-(3-(6,7-dihydro-5H-pyrrolo[3,4-*b*]pyrazine-6-carbonyl)-4-(trifluoromethyl)phenyl)pentyl)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-2-ylidene)carbamate.**



To a solution of 5-(1-((*R*)-2-((*tert*-butoxycarbonyl)imino)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-1-yl)pentyl)-2-(trifluoromethyl)benzoic acid (63 mg, 0.10 mmol) and hydrochloric salt of 6,7-dihydro-5H-pyrrolo[3,4-*b*]pyrazine (28 mg, 0.12 mmol) in DMF (2 mL) were added catalytic amount of DMAP, diisopropylethylamine (0.089 mL, 0.51 mmol), and HATU (46 mg, 0.12 mmol). The reaction mixture was stirred at room temperature for 20 h. Then, the reaction mixture was diluted with EtOAc (50 mL), washed with saturated NaHCO_{3(aq)} (2 x 50 mL), water (4 x 50 mL), brine (1 x 50 mL), dried over Na₂SO₄, filtered, and concentrated. The crude product was purified by column chromatography using EtOAc and hexanes as eluents to yield amide *tert*-butyl ((4*R*)-1-(1-(3-(6,7-dihydro-5H-pyrrolo[3,4-*b*]pyrazine-6-carbonyl)-4-(trifluoromethyl)phenyl)pentyl)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-2-ylidene)carbamate as a solid. Mass Spec. (ESI+) m/z = 725.4 (M + H⁺).

SCHEME 4, STEP 5 :

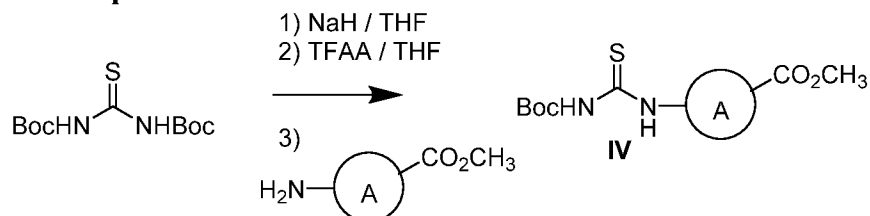
(5*R*)-3-(1-(3-(6,7-dihydro-5H-pyrrolo[3,4-*b*]pyrazine-6-carbonyl)-4-(trifluoromethyl)phenyl)pentyl)-5-(4-fluorophenyl)-2-imino-5-isopentylimidazolidin-4-one



To a solution of *tert*-butyl ((4*R*)-1-(1-(3-(6,7-dihydro-5H-pyrrolo[3,4-b]pyrazine-6-carbonyl)-4-(trifluoromethyl)phenyl)pentyl)-4-(4-fluorophenyl)-4-isopentyl-5-oxoimidazolidin-2-ylidene)carbamate (40 mg, 0.056 mmol) in CH₂Cl₂ (2 mL) was added trifluoroacetic acid (0.6 mL, TFA). The reaction mixture was stirred at room temperature under nitrogen for 2 h. Then, the reaction mixture was transferred into a separatory funnel containing sat NaHCO₃ (30 mL). The pH of the resulting mixture was adjusted to 9 by addition of 1 N NaOH_(aq) and extracted with CH₂Cl₂ (3 x 50 mL). The organic extracts were combined, dried over Na₂SO₄, filtered, and concentrated. The crude products were purified by chiral semi-preparative HPLC using iPrOH and hexanes as eluents to give (5*R*)-3-(1-(3-(6,7-dihydro-5H-pyrrolo[3,4-b]pyrazine-6-carbonyl)-4-(trifluoromethyl)phenyl)pentyl)-5-(4-fluorophenyl)-2-imino-5-isopentylimidazolidin-4-one (8 mg) as a solid. Mass Spec. (ESI+) *m/z* = 625.4 (M + H⁺).

Preparation of Intermediates

Preparation of Compounds IV.

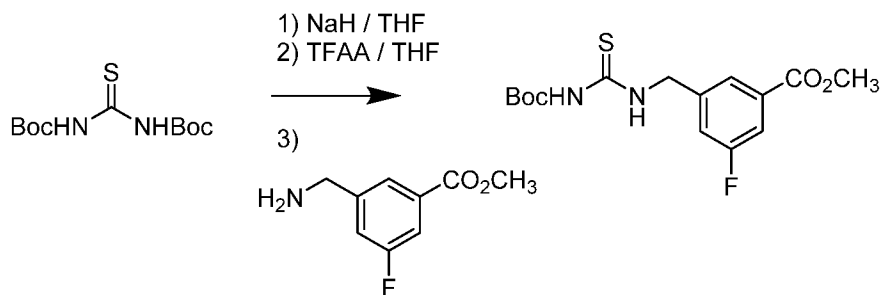


Compounds **IV** were generally prepared in a similar method described in the literature (reference: *Synthesis* **2010**, 6, 991). A solution of *N,N*-bisboc-thiourea was treated with sodium hydride followed by treatment with TFAA. After which a solution of requisite amine was added to the reaction mixture to afford compound **IV**.

An example of the preparation of compound **IV** is shown below in Scheme 5

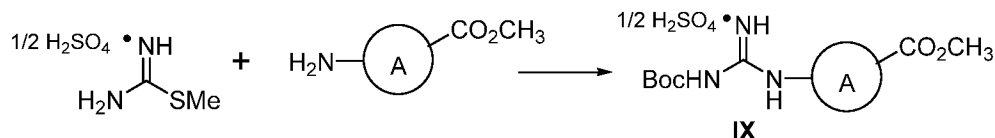
SCHEME 5:

Methyl 3-((3-(*tert*-butoxycarbonyl)thioureido)methyl)-5-fluorobenzoate



To an ice cold solution of *N,N*-bisboc-thiourea (335.8 g, 1.21 mol) in THF (4.5 L) was added NaH (35 g) in a 12 L 3-neck RBF. After 1 hr at this temperature TFAA was added over 30 min, keeping the temperature close to 3°C. After stirring for an additional 1 hr a solution of methyl 3-(aminomethyl)-5-fluorobenzoate (133.7 g, 0.72 mol) in THF (0.3 L) was added over 30 min, keeping the temperature at 3°C. After stirring for 90 minutes the reaction mixture was poured into 18 L cold water. Then brine (2L) was added to this solution and the resulting solution was extracted with 8L EtOAc and, subsequently, 4L EtOAc. The combined organic layer was dried over MgSO₄, filtered, and concentrated to dryness. The residue was further azeotroped with hexane 4L, and solidified upon cooling. The solid was filtered, and washed with cold pentane. The solid residue was triturated with 40/60 isopropanol:pentane, chilled and filtered. The solid was further washed with 40/60 isopropanol:pentane (cold) 3 x 200 ml, filtered and dried under vacuum to give the desired product as a solid (230 g).

15 Preparation of Compounds IX.

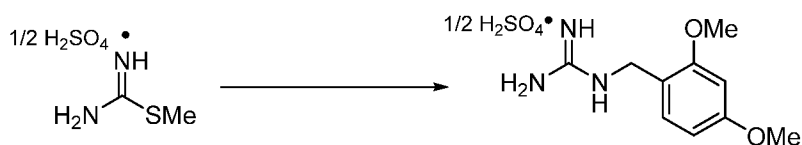


Compounds **IX** were generally prepared in the following manner. A solution of S-methylisothioureia sulfate and requisite amine were heated in water to afford compounds **IX**.

An example of the preparation of compound **IX** is shown below in Scheme 5

SCHEME 6:

1-(2,4-dimethoxybenzyl)guanidine

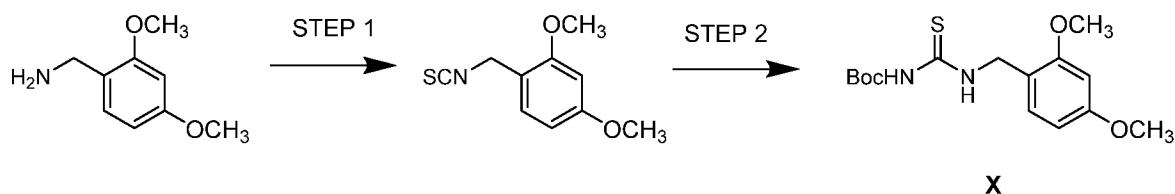


The mixture of S-methylisothiurea sulfate (6.95g, 50 mmol) and 2,4-dimethoxybenzylamine (8.36g, 50 mmol) in 10 mL water was heated at 105 °C for 2 h. After this period the reaction mixture was cooled to room temperature, filtered, and rinsed with water. The filter cake was suspended in 40 mL water and was heated to boiling. The suspension was cooled to room temperature, filtered, rinsed with water and a 9:1 mixture of Et₂O and *i*PrOH. The crystalline product was dried *in vacuo* to provide 10.2 g of 1-(2,4-dimethoxybenzyl)guanidine.

Preparation of Compound X.

An example of the preparation of compound **X** is shown below in Scheme 7.

SCHEME 7: Compound X



SCHEME 7, STEP 1

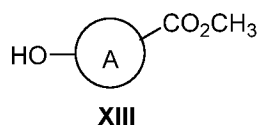
1-(Isothiocyanatomethyl)-2,4-dimethoxybenzene

To a solution of 2,4-dimethoxybenzylamine (6.6 mL, 43.5 mmol) in DCM (85 mL) was added saturated aqueous sodium bicarbonate solution (85 mL) and the mixture was stirred vigorously at RT for 15 min. Stirring was stopped then thiophosgene (6.6 mL, 87 mmol) was added via syringe to the bottom layer. The mixture was stirred at RT for 90 min then the aqueous layer was separated and the organic layer was washed with brine, dried over Na₂SO₄ and concentrated *in vacuo* twice from DCM to give 9.1 g of 1-(isothiocyantomethyl)-2,4-dimethoxybenzene as an oil.

SCHEME 7, STEP 2

Compound X

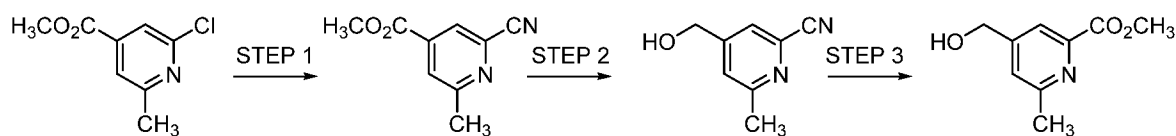
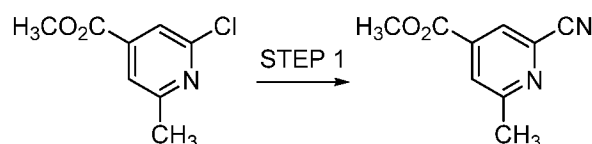
To a suspension of 60% sodium hydride in hexanes (3.4 g, 85 mmol) in anhydrous THF (100 mL) at 0 °C was added *tert*-butylcarbamate (7.4 g, 63 mmol) and the mixture was stirred for 15 min. A solution of 1-(isothiocyantomethyl)-2,4-dimethoxybenzene (9.1 g, 43.5 mmol) in anhydrous THF (50 mL) was then added over 15 min and the reaction was allowed to warm up to RT and stirred overnight. The final mixture was quenched with water and 10% aqueous phosphoric acid until neutral pH, extracted with EtOAc, dried over Na₂SO₄ and concentrated *in vacuo*. The residue was purified by chromatography over silica gel (eluting with hexanes/EtOAc 100:0 to 80:20) to afford 10.61 g of compound **X** as a solid.

Preparation of Compounds XIII.

Precursors include, but are not limited to, requisite aldehydes, carboxylic esters, or carboxylic acids which may be treated with reducing reagents to afford the corresponding alcohols. Alternatively, requisite aldehydes may be treated with organometallic reagents to afford the corresponding secondary alcohols. Examples of the preparation of compounds **XIII** are shown below in Schemes 8 and 9.

SCHEME 8:**Methyl 5-(hydroxymethyl)thiophene-3-carboxylate**

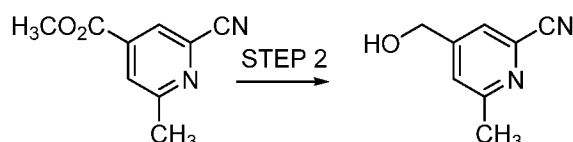
To a solution of methyl 5-formylthiophene-3-carboxylate (1.01 g, 5.93 mmol) in MeOH (60 mL) held at 0 °C was added sodium borohydride (0.45 g, 11.9 mmol). After the bubbling ceased, the reaction was allowed to warm to room temperature and stirred an additional 30 min. The mixture was extracted with EtOAc (200 mL) and brine (200 mL). The organic portion was collected, dried over sodium sulfate, filtered, and concentrated in vacuo to afford methyl 5-(hydroxymethyl)thiophene-3-carboxylate. (0.89 g) ¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, 1H), 7.40 (m, 1H), 4.82 (s, 2H), 3.85 (s, 3H).

SCHEME 9:**Methyl 4-(hydroxymethyl)-6-methylpicolinate****SCHEME 9, STEP 1:****Methyl 2-cyano-6-methylpicolinate**

To a degassed solution of methyl 2-chloro-6-methylisonicotinate (2.03g, 10.9 mmol) in DMF (20 mL) was added tetrakis(triphenylphosphine)palladium(0) (1.18 g, 1.02 mmol) and zinc cyanide (1.14g, 9.71 mmol). This mixture was heated to 80 °C while stirring for 18 h under an atmosphere of nitrogen. The mixture was cooled to room temperature, then extracted with EtOAc (100 mL) and sat'd NH₄OH (aq) solution (2 x 100 mL) then brine (2 x 100 mL). The combined organic extracts were dried over sodium sulfate, filtered, and concentrated *in vacuo*. The resultant residue was purified by silica gel chromatography eluting with 0 to 30% EtOAc in Hexanes to afford methyl 2-cyano-6-methylisonicotinate (1.29 g). Mass Spec. (ESI+) *m/z* = 177.2 (M + H⁺).

SCHEME 9, STEP 2:

4-(hydroxymethyl)-6-methylpicolinonitrile



A solution of methyl 2-cyano-6-methylisonicotinate (602 mg, 3.42 mmol) in THF (5 mL) was cooled to 0 °C while stirring. To this cooled solution was added sodium borohydride (388 mg, 10.25 mmol). Then, to this solution was added MeOH (12 mL) and continue to stir at 0 °C for 90 min. The solution was allowed to warm to room temperature and stirred an additional 15 min. The reaction mixture was quenched with 1 N HCl (aq) solution to adjust the pH to ~7. The mixture was extracted with EtOAc (50 mL) and brine (50 mL) and the combined organic portions collected, dried over sodium sulfate, filtered, and concentrated *in vacuo* to afford 4-(hydroxymethyl)-6-methylpicolinonitrile (0.50 g). ¹H NMR (400 MHz, CDCl₃) δ 7.52 (s, 1H), 7.38 (s, 1H), 4.75 (s, 2H), 2.56 (s, 3H).

SCHEME 9, STEP 3:

Methyl 4-(hydroxymethyl)-6-methylpicolinate



A solution of 4-(hydroxymethyl)-6-methylpicolinonitrile (0.50 g, 3.4 mmol) in water (3 mL) and conc. sulfuric acid (2 mL) was heated to 135 °C while stirring for 18 h. After this time the reaction was cooled to 95 °C and MeOH (8 mL) was added to the mixture and was allowed to stir at 95 °C for an additional 1 h. The reaction was allowed to cool to room temperature. Then

the contents of the reaction mixture added directly over ice. Water (100 mL) and EtOAc (100 mL) was added to this mixture. Solid sodium bicarbonate was added to the solution to adjust to pH ~8. The aqueous layer was extracted with EtOAc (2 x 100 mL). The combined organic portions were washed with brine (100 mL), dried over sodium sulfate, and concentrated to afford methyl 4-(hydroxymethyl)-6-methylpicolinate (170.9 mg). ¹H NMR (400 MHz, CDCl₃) δ 7.90 (s, 1H), 7.36 (s, 1H), 4.76 (s, 2H), 3.97 (s, 3H), 2.63 (s, 3H). Mass Spec. (ESI+) *m/z* = 182.2 (M + H⁺).

The compounds shown in Table 1 were made by following procedures analogous to the schemes and Examples herein.

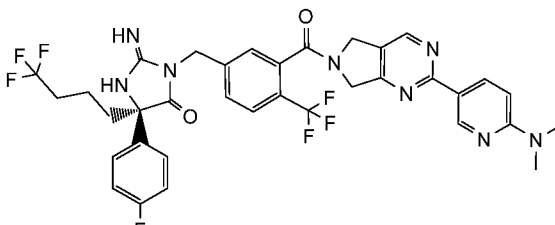
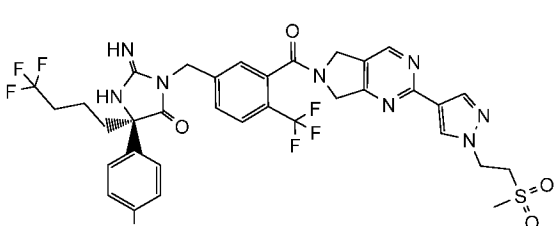
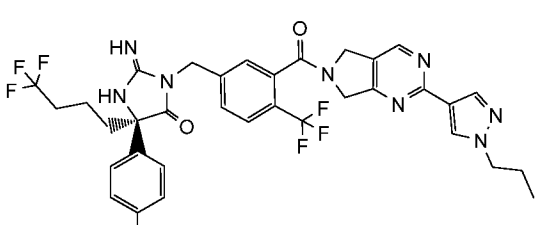
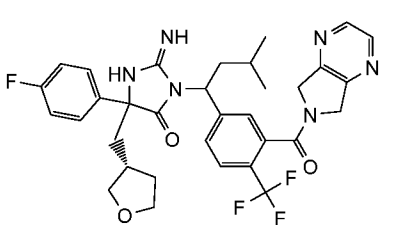
TABLE 1

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
1		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one	639.3
2		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-4-phenylbutyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	687.3
3		(5R)-5-(2-cyclopropylethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-phenylpropyl}-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one	671.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		iminoimidazolidin-4-one	
4		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-phenyl]-2-phenylethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-imidazolidin-4-one	659.3
5		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-phenyl]-3-methylbutyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	607.3
6		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-phenyl]-2-phenylethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	659.3
7		(5R)-5-(2-cyclopropylethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-(2-oxopyrrolidin-1-yl)ethyl}-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one	664.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
8		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-(1-methylethoxy)ethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	641.3
9		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-4-methylpentyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	621.3
10		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one	639.3
11		(5R)-3-{2-cyclopentyl-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	651.3
12		(5R)-5-(4-fluorophenyl)-3-[3-({2-[1-(2-hydroxyethyl)-1H-pyrazol-4-yl]}-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)-4-(trifluoromethyl)phenyl]-2-imino-5-(3-methylbutyl)imidazolidin-4-one	719.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		yl} carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	
13		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	609.2
14		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl)carbonyl]-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)methyl)imidazolidin-4-one	653.3
15		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1-methyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	689.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
16		(5R)-3-[3-({2-[6-(dimethylamino)pyridin-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	729.3
17		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-{1-[2-(methylsulfonyl)ethyl]-1H-pyrazol-4-yl}-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	781.2
18		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1-propyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	717.3
19		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl)carbonyl]-4-(trifluoromethyl)phenyl}-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-yl]imidazolidin-4-one	639.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
20		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[1-(2-methoxyethyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	733.2
21		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(methylsulfinyl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	747.2
22		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(1H-indazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	725.2
23		(5R)-2-imino-5-(3-methylbutyl)-3-{4-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-]}}]}}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	692.3

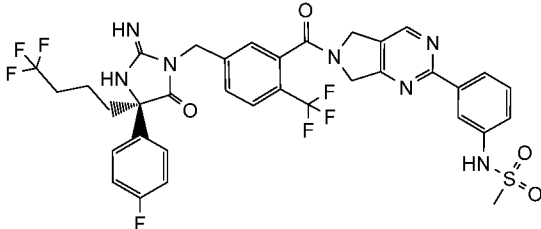
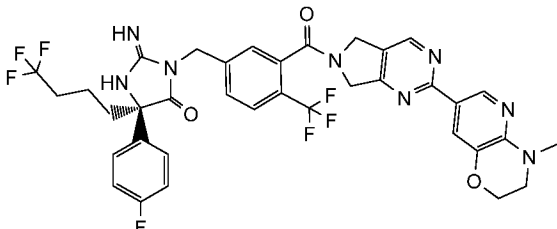
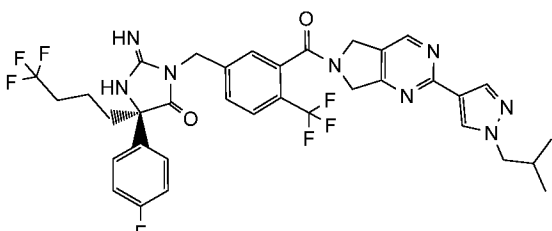
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		a[pyrazin-7(8H)-yl]carbonyl}phenyl]pentyl}-5-phenylimidazolidin-4-one	
24		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one}carbonyl)-4-(trifluoromethyl)benzyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	763.2
25		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[5-(4-methylpiperazin-1-yl)pyridin-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	784.3
26		5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(3-fluorophenyl)-2-iminoimidazolidin-4-one	567.2
27		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-methyl-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	689.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		(4,4,4-trifluorobutyl)imidazolidin-4-one	
28		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	729.3
29		(5S)-5-(4-fluorophenyl)-2-imino-3-{3-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]butyl}-5-[(3R)-tetrahydrofuran-3-ylmethyl]-imidazolidin-4-one	710.3
30		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	551.2
31		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-phenyl]-3-methylbutyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	607.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		phenylimidazolidin-4-one	
32		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-[1,2,4]triazolo[1,5-a]pyridin-6-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	726.2
33		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[1-(2-morpholin-4-ylethyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	788.3
34		(5R)-3-[3-{[2-(5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	715.2
35		(5R)-3-{3-[(2-chloro-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	643.1

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		(4,4,4-trifluorobutyl)-imidazolidin-4-one	
36		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[(3R)-tetrahydrofuran-3-ylamino]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	694.2
37		(5R)-5-(2-cyclopropylethyl)-5-(4-fluorophenyl)-2-imino-3-{3-phenyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]propyl}imidazolidin-4-one	742.3
38		(5R)-5-(2-cyclopropylethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-(1-methylethoxy)ethyl}-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one	639.3
39		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1H-pyrrolo[2,3-b]pyridin-5-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	725.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		trifluorobutyl)imidazolidin-4-one	
40		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(1H-pyrazol-5-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	751.2
41		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(1-methyl-1H-indol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	738.2
42		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-methyl-1-[4-(trifluoromethyl)-3-({3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl}carbonyl}phenyl]butyl}-5-[(3R)-tetrahydrofuran-3-ylmethyl]-imidazolidin-4-one	710.3
43		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(1-methyl-1H-indazol-5-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	739.2

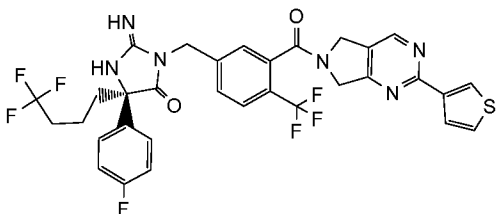
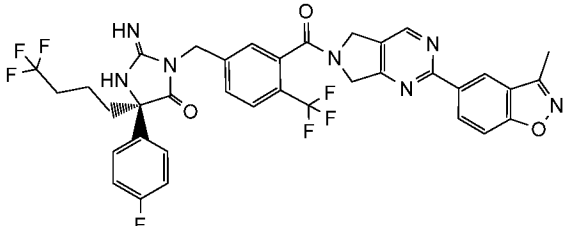
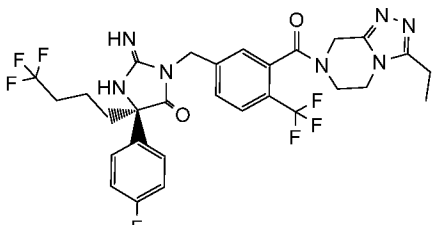
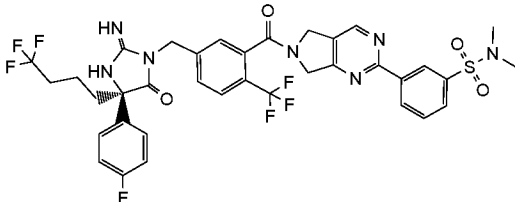
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	
44		N-[3-(6-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)phenyl]methanesulfonamide	778.2
45		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(4-methyl-3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	757.2
46		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-(2-[1-(2-methylpropyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	731.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
47		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyridin-3-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	686.2
48		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	767.2
49		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyrimidin-5-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	687.2
50		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[3-(methylsulfanyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	658.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
51		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[(1-methylethyl)amino]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	666.2
52		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(2-methoxypyridin-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	716.2
53		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyridin-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	686.2
54		(5R)-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{2-phenyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]ethyl}imidazolidin-4-one	730.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
55		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-isoquinolin-6-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	736.2
56		(5R)-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{4-phenyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl]-phenyl]butyl}imidazolidin-4-one	758.3
57		(5R)-3-{3-[(2-amino-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	624.2
58		6-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)-phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	634.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
59		(5R)-3-[3-{[2-(3-acetylphenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	727.2
60		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-methylisoxazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	690.2
61		[5-(6-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)pyridin-2-yl]acetonitrile	725.2
62		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-pyrrolidin-1-ylphenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	754.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		trifluorobutyl)imidazolidin-4-one	
63		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-thiophen-3-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	691.2
64		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-methyl-1,2-benzisoxazol-5-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	740.2
65		(5R)-3-{3-[(3-ethyl-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	640.2
66		3-(6-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-	792.2

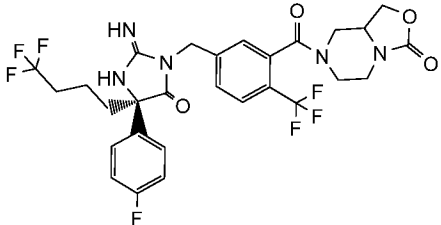
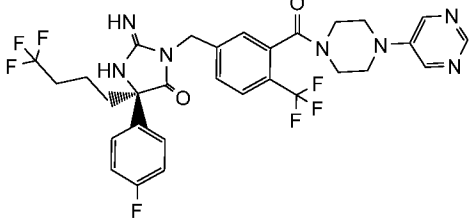
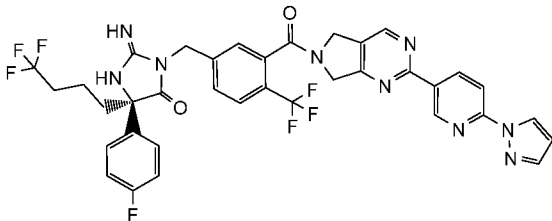
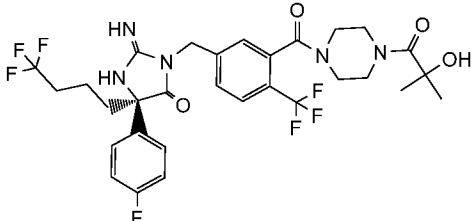
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		yl)-N,N-dimethylbenzenesulfonamide	
67		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(thiomorpholin-4-ylcarbonyl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	814.2
68		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[2-(methylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	638.2
69		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[2-(1H-pyrazol-1-yl)pyrimidin-5-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	753.2
70		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-benzyl]-2-imino-5-phenyl-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one	565.2

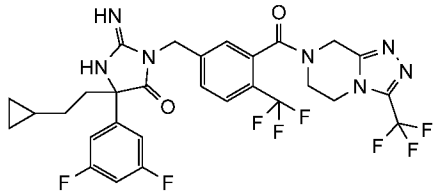
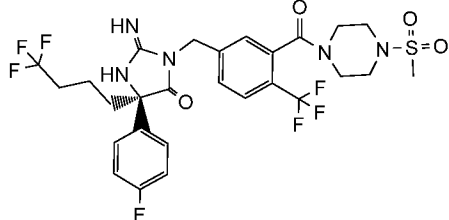
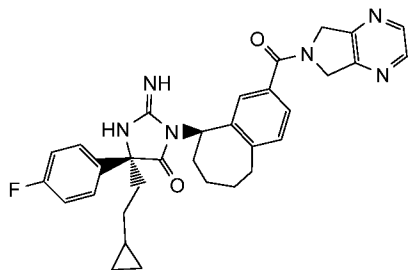
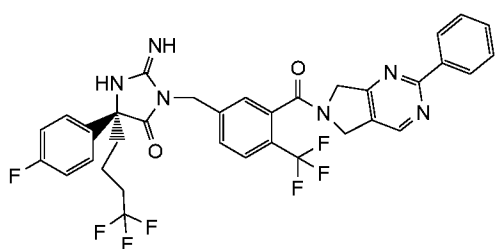
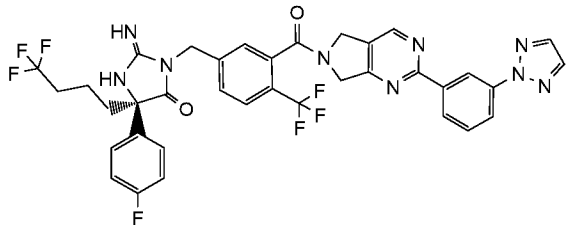
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
71		(5R)-3-[3-{[2-(cyclopentylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	692.3
72		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1-phenyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	751.2
73		(5R)-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)-3-[4-(trifluoromethyl)-3-{[2-(trifluoromethyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-benzyl]imidazolidin-4-one	677.2
74		(5R)-3-[3-{[2-[(cyclopropylmethyl)amino]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	678.2

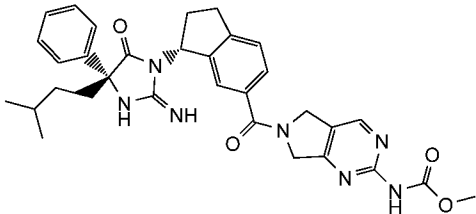
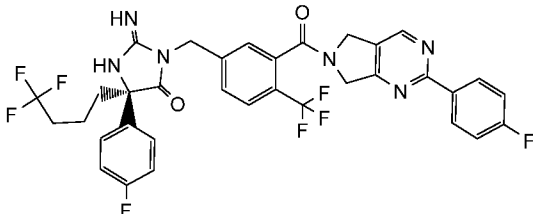
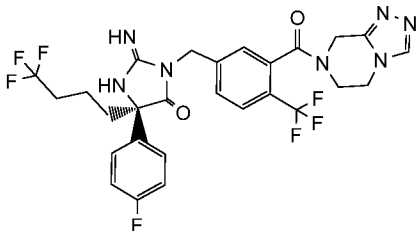
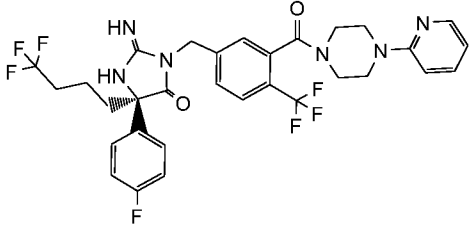
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
75		5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-benzyl]-2-imino-5-[3-(trifluoromethyl)phenyl]-imidazolidin-4-one	617.2
76		5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-benzyl]-2-imino-5-(4-pyridin-3-ylphenyl)imidazolidin-4-one	626.2
77		(6S)-6-(cyclopropylmethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methyl-butyl}-2-imino-6-phenyltetra-hydropyrimidin-4(1H)-one	605.3
78		5-(2-cyclopropylethyl)-5-(3-fluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo-[4,3-a]pyrazin-7(8H)-yl]carbonyl}-benzyl]imidazolidin-4-one	638.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
79		3-(6-{{5-{{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)benzonitrile	710.2
80		(5R)-3-[3-{{2-(dimethylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	652.2
81		methyl [6-({(8R)-8-[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]-5,6,7,8-tetrahydronaphthalen-2-yl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl]carbamate	596.3
82		5-(2-cyclopropylethyl)-5-(3,5-difluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-{{3-(trifluoromethyl)-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl}carbonyl}}-benzyl]imidazolidin-4-one	656.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
83		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-morpholin-4-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	694.2
84		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[4-(4-methyl-1,2,5-oxadiazol-3-yl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	656.2
85		(5R)-3-[3-{[2-(cyclobutylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	678.2
86		(5R)-5-(4-fluorophenyl)-3-[3-{[2-(3-fluorophenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)-benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	703.2

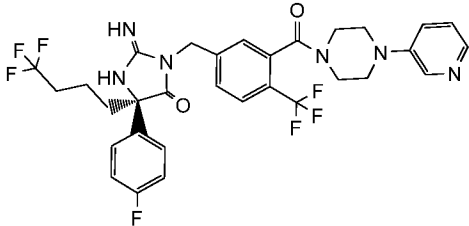
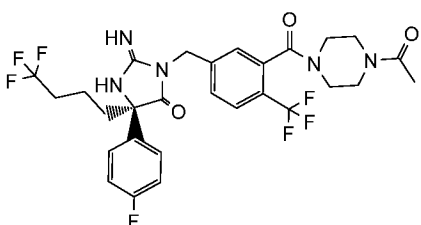
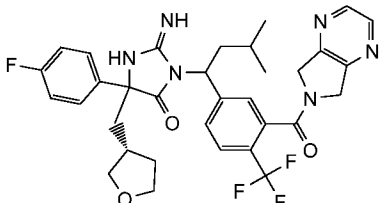
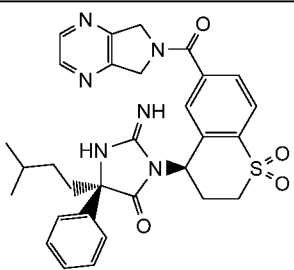
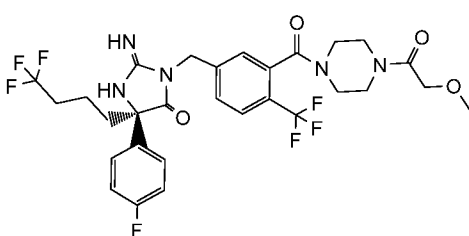
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
87		7-{{5-{{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}hexahydro[1,3]oxazolo[3,4-a]pyrazin-3-one	630.2
88		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-pyrimidin-5-yl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	652.2
89		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[6-(1H-pyrazol-1-yl)pyridin-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	752.2
90		(5R)-5-(4-fluorophenyl)-3-[3-{[4-(2-hydroxy-2-methylpropanoyl)-piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	660.2

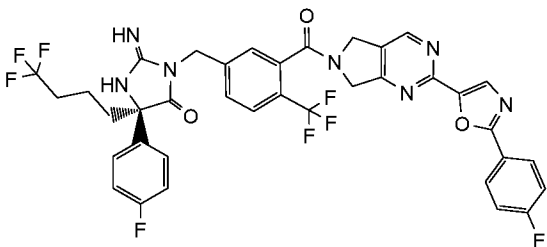
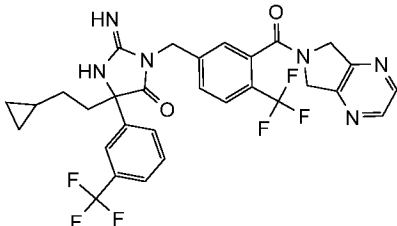
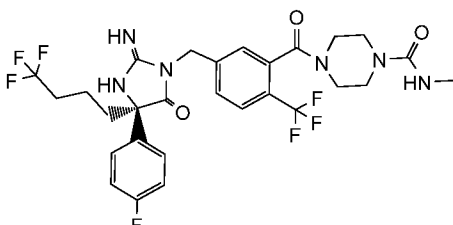
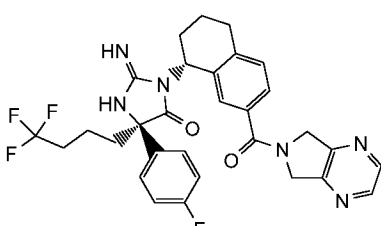
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
91		5-(2-cyclopropylethyl)-5-(3,5-difluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-{[3-(trifluoro-methyl)-5,6-dihydro[1,2,4]triazolo-[4,3-a]pyrazin-7(8H)-yl]carbonyl}-benzyl]imidazolidin-4-one	656.2
92		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[4-(methylsulfonyl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	652.2
93		(5R)-5-(2-cyclopropylethyl)-3-[(5R)-3-(5,7-dihydro-6H-pyrrolo-[3,4-b]pyrazin-6-ylcarbonyl)-6,7,8,9-tetrahydro-5H-benzo-[7]annulen-5-yl]-5-(4-fluoro-phenyl)-2-iminoimidazolidin-4-one	553.3
94		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-phenyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	685.2
95		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-(2-{[3-(2H-1,2,3-triazol-2-yl)phenyl]-5,7-dihydro-6H-pyrrolo-[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-	752.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		(4,4,4-trifluorobutyl)imidazolidin-4-one	
96		methyl [6-((3R)-3-((4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl)-2,3-dihydro-1H-inden-5-yl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl]carbamate	582.3
97		(5R)-5-(4-fluorophenyl)-3-[3-((2-(4-fluorophenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	703.2
98		(5R)-3-[3-(5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	612.2
99		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-((4-pyridin-2-yl)piperazin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	651.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
100		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one	639.3
101		(5R)-3-{cyclopentyl[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-phenyl]methyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	637.3
102		prop-2-en-1-yl 4-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)-phenyl]carbonyl}piperazine-1-carboxylate	658.2
103		(5R)-5-(2-cyclopropylethyl)-5-(3-fluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-{[3-(trifluoro-methyl)-5,6-dihydro[1,2,4]triazolo-[4,3-a]pyrazin-7(8H)-yl]carbonyl}-benzyl]imidazolidin-4-one	638.2

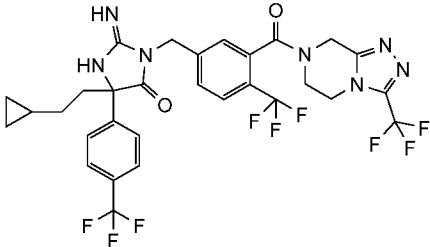
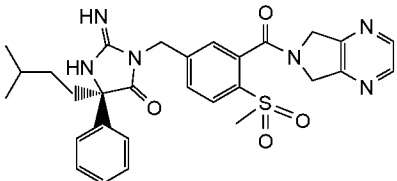
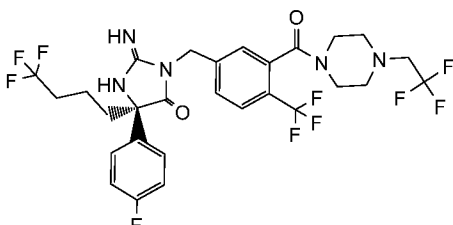
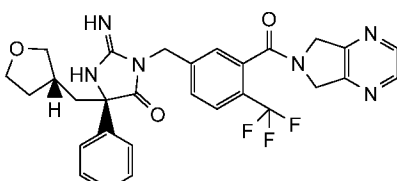
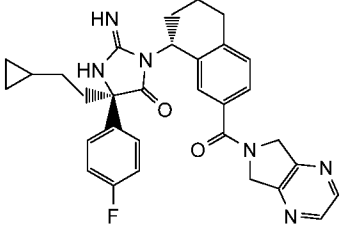
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
104		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-methylphenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	699.2
105		2-imino-5-phenyl-5-[(3R)-tetrahydrofuran-3-ylmethyl]-3-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}-benzyl]imidazolidin-4-one	636.2
106		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyrrolidin-1-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	678.2
107		(5R)-5-(2-cyclopropylethyl)-3-[(4R)-6-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-3,4-dihydro-2H-chromen-4-yl]-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one	541.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
108		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-pyridin-3-yl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	651.2
109		(5R)-3-{3-[(4-acetylpiperazin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	616.2
110		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl)carbonyl]-4-(trifluoromethyl)phenyl}-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one	639.3
111		(5R)-3-[(4R)-6-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl)carbonyl]-1,1-dioxido-3,4-dihydro-2H-thiochromen-4-yl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	573.2
112		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{4-(methoxyacetyl)piperazin-1-yl}carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	646.2

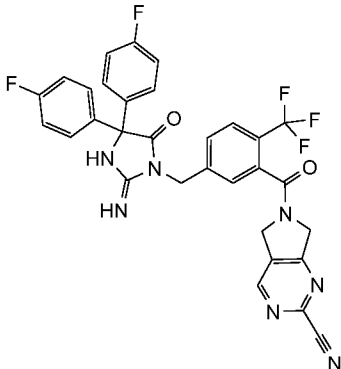
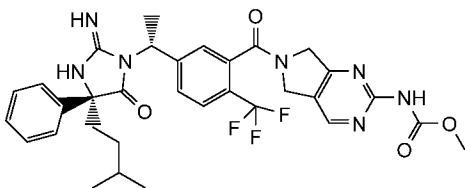
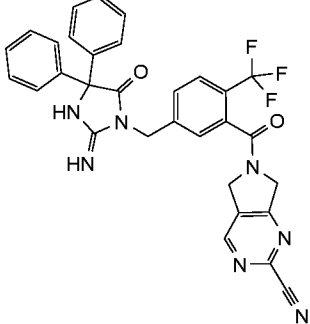
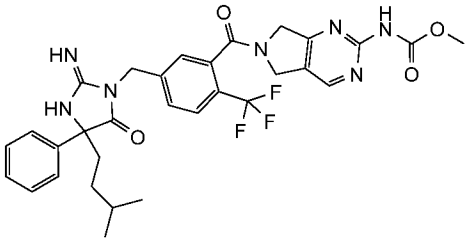
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
113		(5R)-5-(4-fluorophenyl)-3-[3-({2-[2-(4-fluorophenyl)-1,3-oxazol-5-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	770.2
114		5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-[3-(trifluoromethyl)phenyl]imidazolidin-4-one	617.2
115		4-{[5-{{(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl} methyl}-2-(trifluoromethyl)phenyl] carbonyl}-N-methylpiperazine-1-carboxamide	631.2
116		(5R)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	581.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
117		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[4-(2H-1,2,3-triazol-2-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)-benzyl]-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	752.2
118		(5R)-3-{2-cyclopentyl-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-4-(trifluoromethyl)-phenyl]ethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-imidazolidin-4-one	651.3
119		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-phenylpiperazin-1-yl) carbonyl]-4-(trifluoromethyl)-benzyl}-5-(4,4,4-trifluorobutyl)-imidazolidin-4-one	650.2
120		(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-4-(trifluoromethyl)-phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]-imidazolidin-4-one	639.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
121		(5R)-3-[3-{[2-(3,5-difluorophenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	721.2
122		(5R)-3-{3-[(2-cyclopropyl-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	652.2
123		(5R)-5-(4-fluorophenyl)-2-imino-3-{3-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]butyl}-5-[(3R)-tetrahydrofuran-3-ylmethyl]-imidazolidin-4-one	710.3
124		(5R)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	523.3

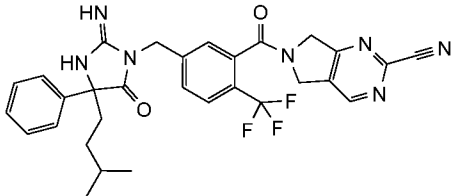
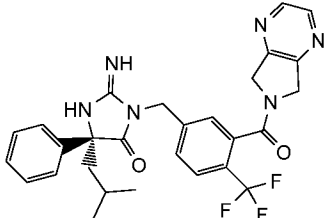
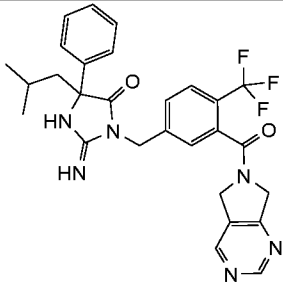
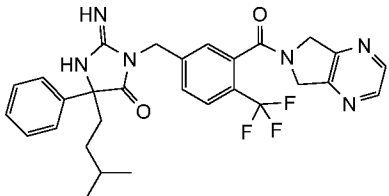
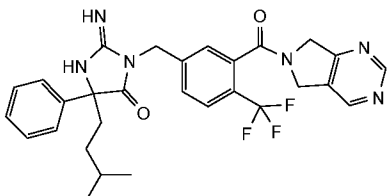
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
125		5-(2-cyclopropylethyl)-2-imino-5-[4-(trifluoromethyl)phenyl]-3-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one	688.2
126		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(methylsulfonyl)-benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	561.2
127		(5R)-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)-3-[3-{[4-(2,2,2-trifluoroethyl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)-benzyl]imidazolidin-4-one	656.2
128		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-benzyl]-2-imino-5-phenyl-5-[(3R)-tetrahydrofuran-3-ylmethyl]-imidazolidin-4-one	565.2
129		(5R)-5-(2-cyclopropylethyl)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-5-	539.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		(4-fluorophenyl)-2-iminoimidazolidin-4-one	
130		(5R)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one	555.3
131		5-(2-cyclopropylethyl)-2-imino-5-[4-(trifluoromethyl)phenyl]-3-[4-(trifluoromethyl)-3-[[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one	688.2
132		(5R)-5-(4-fluorophenyl)-2-imino-3-[3-(3,4,10,10a-tetrahydropyrazino-[1,2-a]indol-2(1H)-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	662.2
133		(5R)-3-[3-(2-[1-(3-chlorophenyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)-benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one	785.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		trifluorobutyl)imidazolidin-4-one	
134		6-([5-([4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl)-2-(trifluoromethyl)phenyl]carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	618.2
135		methyl (6-([5-((1R)-1-[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl)-2-(trifluoromethyl)phenyl]carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)carbamate	638.3
136		6-([5-([2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl]methyl)-2-(trifluoromethyl)phenyl]carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	582.2
137		methyl (6-([5-([2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl)-2-(trifluoromethyl)phenyl]carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)carbamate	624.3

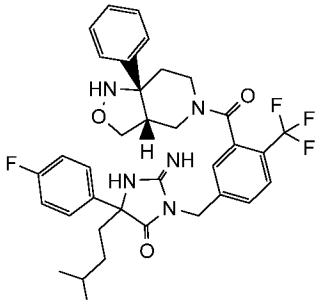
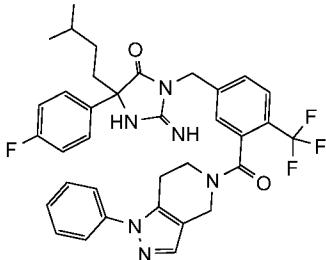
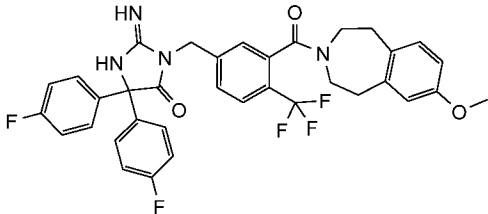
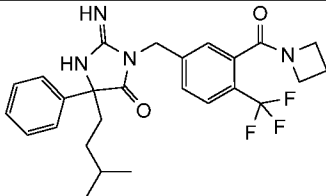
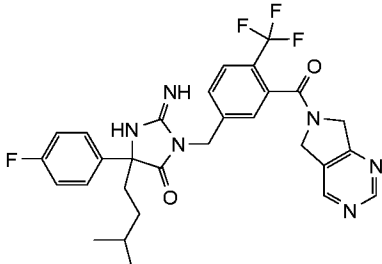
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
138		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	593.2
139		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	593.2
140		6-{[5-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	562.2
141		(5R)-3-{(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	565.3
142		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	537.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
143		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	557.2
144		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	569.2
145		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	557.2
146		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	569.2
147		(5R)-3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	551.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
148		6-{{5-{{2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl}methyl}-2-(trifluoromethyl)phenyl}carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	576.2
149		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)-benzyl]-2-imino-5-(2-methylpropyl)-5-phenyl-imidazolidin-4-one	537.2
150		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	537.2
151		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	551.2
152		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	551.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
153		4-({5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}-carbonyl)-1-methylpiperazin-2-one	550.2
154		2-imino-3-{3-[(7-methoxy-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	607.3
155		1-(1-{[5-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}piperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one	647.3
156		4-{[5-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-1-methylpiperazin-2-one	530.2
157		4-{[5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-1-methylpiperazin-2-one	586.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
158		5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{3-[(2-phenyl-4,6-dihydro-5H-pyrrolo[3,4-d][1,3]oxazol-5-yl)carbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one	634.2
159		(3aR,6aR)-5-{[5-{[4-(4-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-3a-phenyltetrahydropyrrolo[3,4-c]pyrrole-1,3(2H,3aH)-dione	664.3
160		4-({5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}-carbonyl)piperazin-2-one	536.2
161		methyl 4-({5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}-carbonyl)piperazine-1-carboxylate	580.2
162		3-{3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	537.2

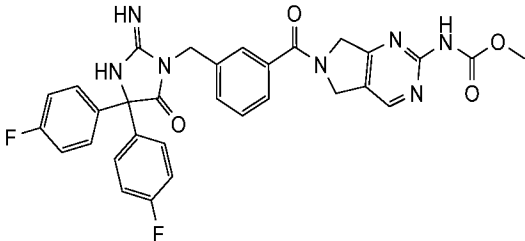
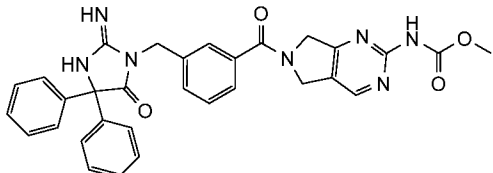
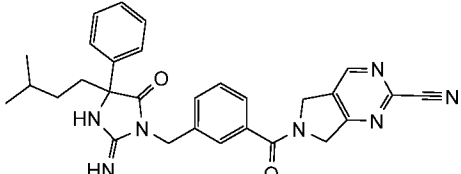
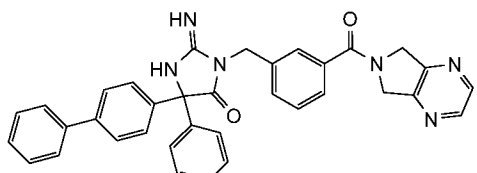
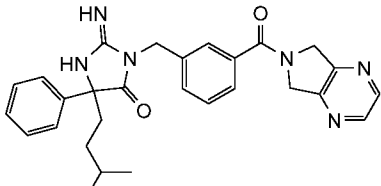
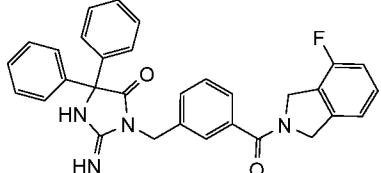
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
163		5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-[3-{{(3aS,7aR)-7a-phenylhexahydroisoxazolo[4,3-c]pyridin-5(3H)-yl}carbonyl}-4-(trifluoromethyl)benzyl]imidazolidin-4-one	652.3
164		5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{3-[(1-phenyl-1,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl)carbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one	647.3
165		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(7-methoxy-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)carbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one	649.2
166		3-[3-(azetidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	487.2
167		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	569.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
168		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	569.2
169		5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{3-[(5aR,8aS)-5a,6,8,8a-tetrahydro-4H,7H-pyrrolo[3,4-b][1,2,3]triazolo[1,5-d][1,4]oxazin-7-ylcarbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one	614.2
170		2-imino-5-(3-methylbutyl)-5-phenyl-3-[3-(pyrrolidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]imidazolidin-4-one	501.2
171		2-imino-5,5-diphenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-ylcarbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one	600.2
172		3-{3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	579.2

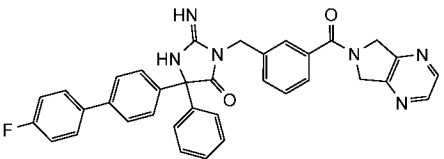
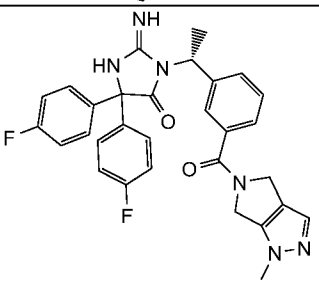
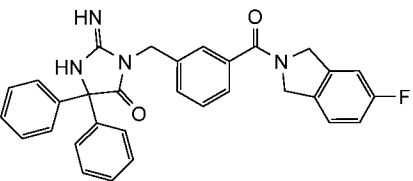
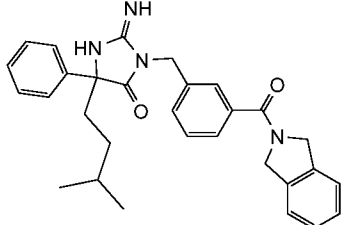
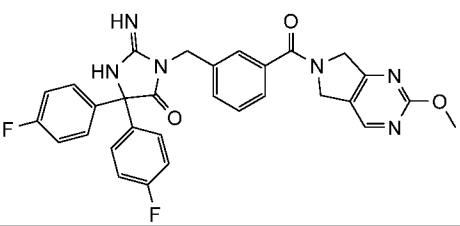
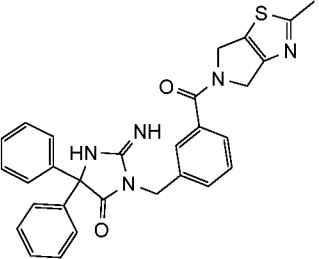
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
173		3-[3-(azetidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	529.2
174		(5S)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	537.2
175		5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-[3-[(3aS,6aR)-3-(phenylamino)-3a,4,6,6a-tetrahydro-5H-pyrrolo[3,4-d]isoxazol-5-yl]carbonyl]-4-(trifluoromethyl)benzyl]imidazolidin-4-one	651.3
176		3-{3-[(3,3-dimethylpyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	529.3
177		3-{3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	523.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
178		N-[(5-{[5-{[4-(4-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-4,5,6,7-tetrahydrofuro[3,2-c]pyridin-2-yl)methyl]acetamide	642.3
179		3-[3-(azetidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	473.2
180		5,5-bis(4-fluorophenyl)-2-imino-3-[3-(pyrrolidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]imidazolidin-4-one	543.2
181		3-{3-[(3,3-dimethylpyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	571.2
182		6-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	550.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
183		methyl {6-[(3-[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate	556.3
184		2-imino-5,5-diphenyl-3-(3-{[3-(trifluoromethyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl]carbonyl}benzyl)imidazolidin-4-one	545.2
185		3-((1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl)-2-imino-5,5-diphenylimidazolidin-4-one	503.2
186		3-((1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl)-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	539.2
187		3-((1R)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl)-2-imino-5,5-diphenylimidazolidin-4-one	501.2
188		methyl {6-[(3-[[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-	542.3

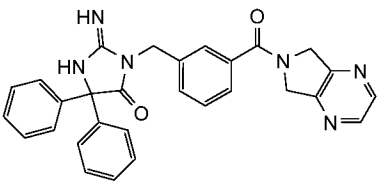
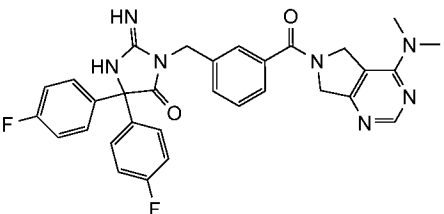
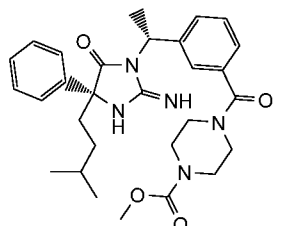
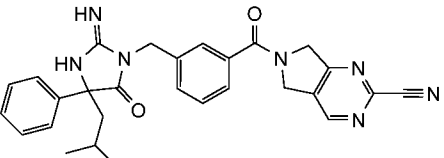
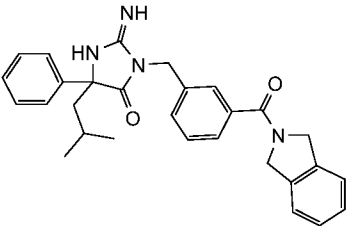
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		d]pyrimidin-2-yl} carbamate	
189		methyl {6-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate	598.2
190		methyl [6-(3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate	562.2
191		6-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	508.2
192		5-biphenyl-4-yl-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-phenylimidazolidin-4-one	565.2
193		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	483.3
194		3-{3-[(4-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	505.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
195		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	523.2
196		3-{3-[(4-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	541.2
197		3-{3-[(5,6-difluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	559.2
198		3-{(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	539.2
199		6-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	514.2
200		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	525.2

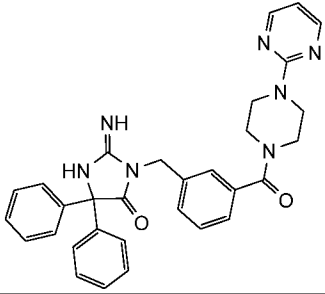
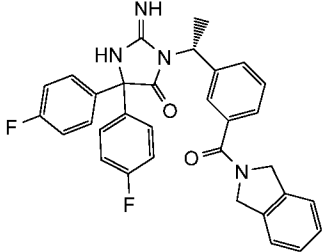
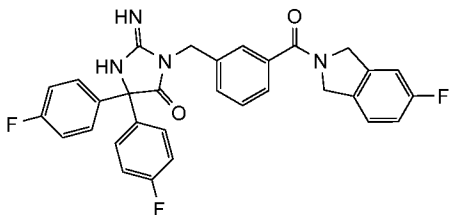
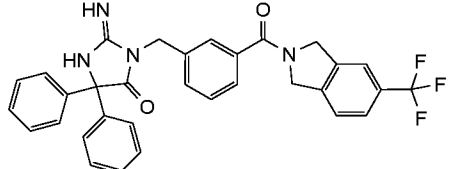
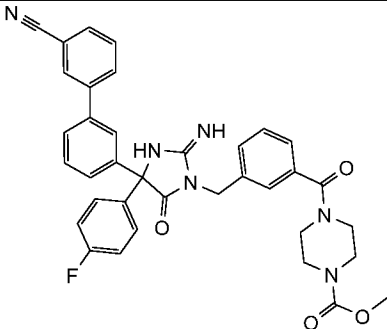
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
201		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(4'-fluorobiphenyl-4-yl)-2-imino-5-phenylimidazolidin-4-one	583.2
202		5,5-bis(4-fluorophenyl)-2-imino-3-[(1R)-1-{3-[(1-methyl-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl)carbonyl]phenyl}-ethyl]imidazolidin-4-one	541.2
203		3-{3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	505.2
204		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	481.3
205		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(2-methoxy-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}imidazolidin-4-one	555.2
206		5-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-2-methyl-3,4,5,6-tetrahydropyrrolo[3,4-d][1,3]thiazol-1-ium	509.2

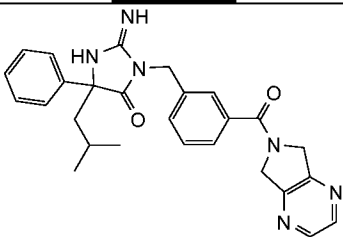
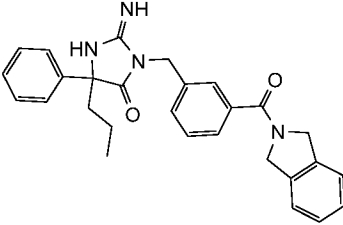
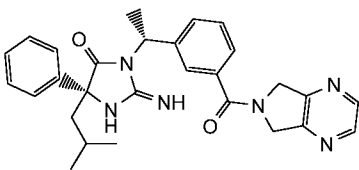
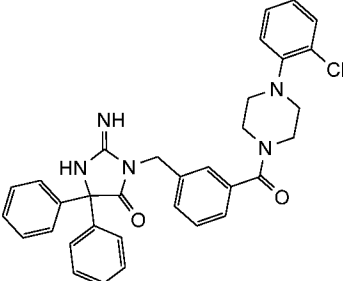
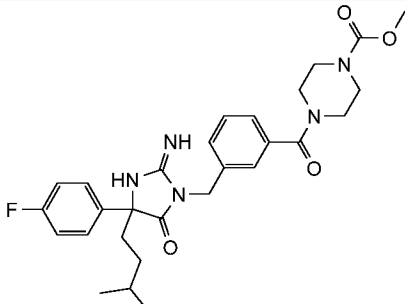
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
207		(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-5-fluorobenzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	501.2
208		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	483.3
209		ethyl 3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylate	597.2
210		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(2-methylpropyl)imidazolidin-4-one	487.2
211		5,5-bis(4-fluorophenyl)-2-imino-3-(3-{[2-(trifluoromethyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}benzyl)imidazolidin-4-one	593.2
212		5-(3-bromophenyl)-5-(4-fluorophenyl)-2-imino-3-(3-{[3-(trifluoromethyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-]carbonyl}benzyl)imidazolidin-4-one	641.1

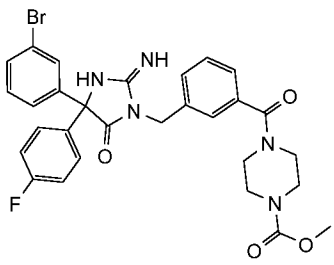
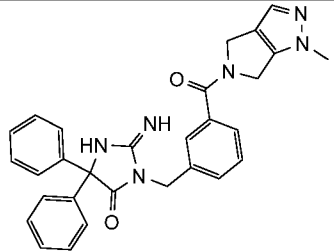
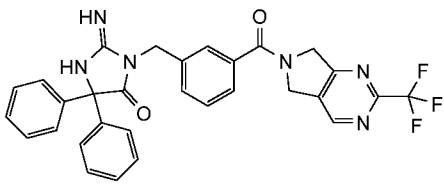
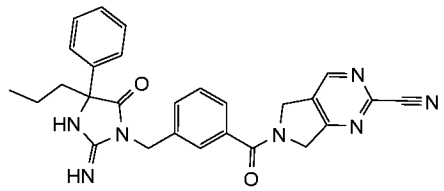
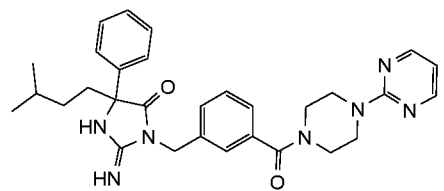
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		yl]carbonyl}benzyl)imidazolidin-4-one	
213		5-(4-bromophenyl)-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-phenylimidazolidin-4-one	565.1
214		2-imino-3-{3-[(6-methyl-1,3-dihydro-2H-pyrrolo[3,4-c]pyridin-2-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one	502.2
215		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	525.2
216		3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	497.3
217		3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	497.3
218		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	482.3

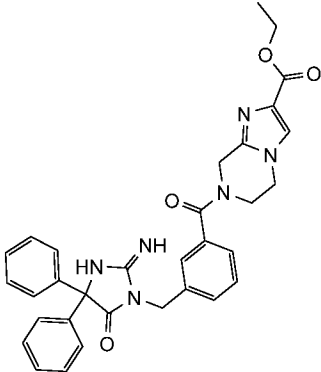
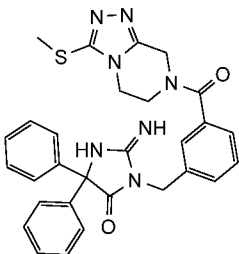
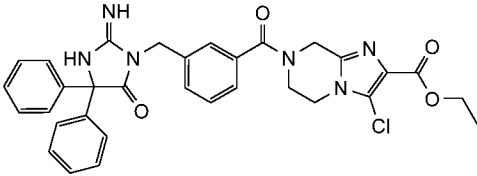
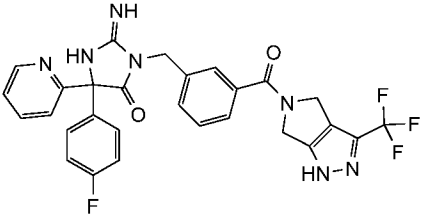
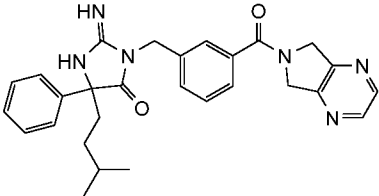
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
219		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	489.2
220		3-(3-{[4-(dimethylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}benzyl)-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	568.2
221		methyl 4-[(3-{(1R)-1-[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)-carbonyl]piperazine-1-carboxylate	520.3
222		6-[(3-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	494.2
223		3-{[5-(1,3-dihydro-2H-isindol-2-ylcarbonyl)cyclohexa-1,5-dien-1-yl]methyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	469.3

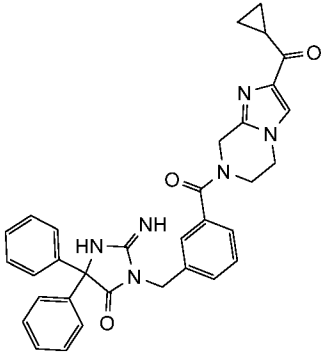
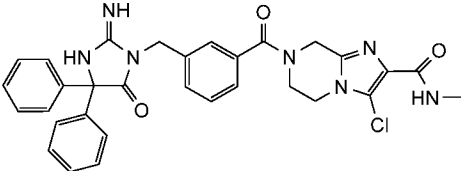
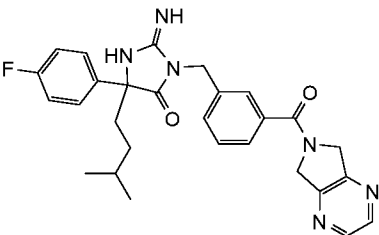
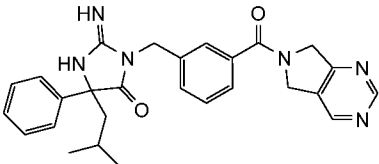
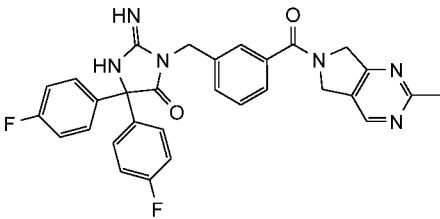
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
224		3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-diphenylimidazolidin-4-one	501.2
225		3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]propyl}-2-imino-5,5-diphenylimidazolidin-4-one	515.2
226		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(6-methyl-1,3-dihydro-2H-pyrrolo[3,4-c]pyridin-2-yl)carbonyl]benzyl}imidazolidin-4-one	538.2
227		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	483.3
228		3-((1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl)-2-imino-5,5-diphenylimidazolidin-4-one	503.2
229		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	499.3

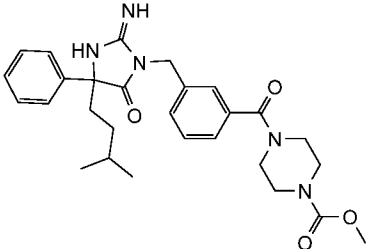
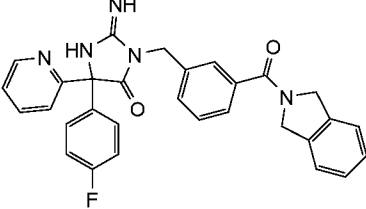
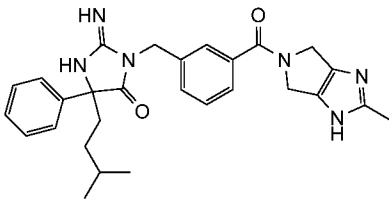
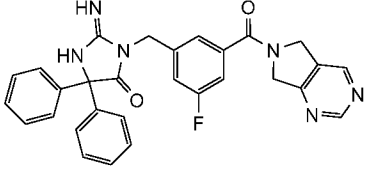
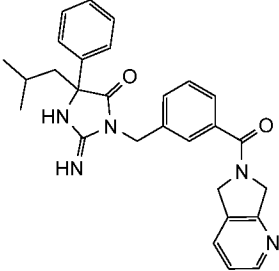
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass</u> <u>[M+H][±]</u>
230		2-imino-5,5-diphenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one	532.2
231		3-{(1R)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	537.2
232		3-{3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	541.2
233		2-imino-5,5-diphenyl-3-(3-{[5-(trifluoromethyl)-1,3-dihydro-2H-isoindol-2-yl]carbonyl}benzyl)-imidazolidin-4-one	555.2
234		methyl 4-[(3-{[4-(3'-cyanobiphenyl-3-yl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)-carbonyl]piperazine-1-carboxylate	631.2

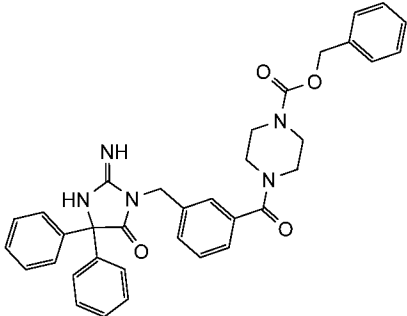
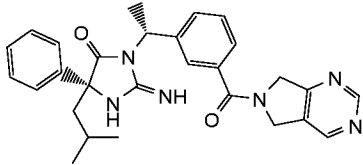
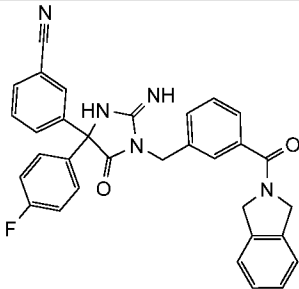
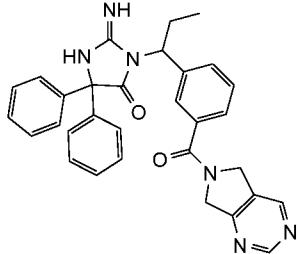
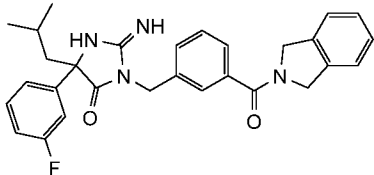
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
235		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	469.2
236		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-phenyl-5-propylimidazolidin-4-one	453.2
237		3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	483.3
238		3-[(3-[(4-(2-chlorophenyl)piperazin-1-yl)carbonyl]benzyl)-2-imino-5,5-diphenylimidazolidin-4-one	564.2
239		methyl 4-[(3-[(4-(4-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl)methyl]phenyl)carbonyl]piperazine-1-carboxylate	524.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
240		methyl 4-[(3-{[4-(3-bromophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate	608.1
241		2-imino-3-{3-[(1-methyl-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one	491.2
242		2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}benzyl)imidazolidin-4-one	557.2
243		6-({3-[(2-imino-5-oxo-4-phenyl-4-propylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	480.2
244		2-imino-5-(3-methylbutyl)-5-phenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one	526.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
245		ethyl 7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylate	563.2
246		2-imino-3-(3-{[3-(methylsulfanyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-5,5-diphenylimidazolidin-4-one	538.2
247		ethyl 3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylate	597.2
248		5-(4-fluorophenyl)-2-imino-5-pyridin-2-yl-3-(3-{[3-(trifluoromethyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl]carbonyl}benzyl)imidazolidin-4-one	564.2
249		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	483.3

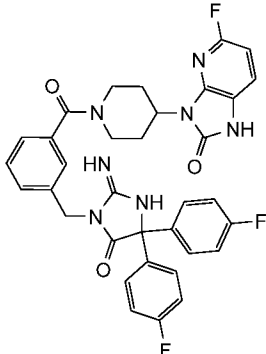
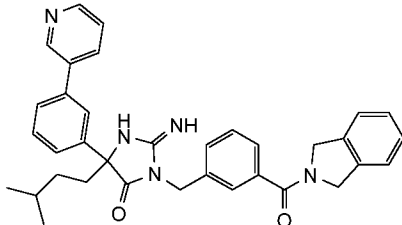
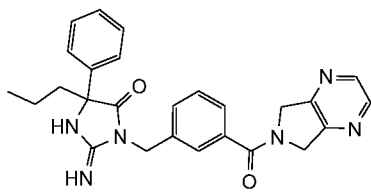
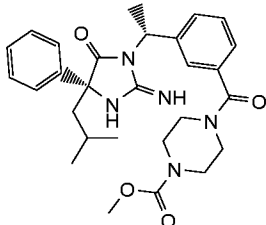
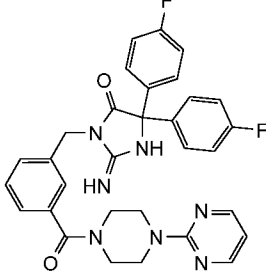
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
250		3-(3-{[2-(cyclopropylcarbonyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one	559.2
251		3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N-methyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxamide	582.2
252		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	501.2
253		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	469.2
254		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(2-methyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}imidazolidin-4-one	539.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
255		methyl 4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate	506.3
256		3-[3-(1,3-dihydro-2H-isindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-pyridin-2-ylimidazolidin-4-one	506.2
257		2-imino-5-(3-methylbutyl)-3-{3-[(2-methyl-4,6-dihydropyrrolo[3,4-d]imidazol-5(1H)-yl)carbonyl]benzyl}-5-phenylimidazolidin-4-one	485.3
258		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-5-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	507.2
259		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	468.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass</u> <u>[M+H][±]</u>
260		benzyl 4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazine-1-carboxylate	588.3
261		3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	483.3
262		3-{1-[3-(1,3-dihydro-2H-isoinдол-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}benzonitrile	530.2
263		3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]propyl}-2-imino-5,5-diphenylimidazolidin-4-one	517.2
264		3-[3-(1,3-dihydro-2H-isoinдол-2-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(2-methylpropyl)imidazolidin-4-one	485.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
265		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	501.2
266		3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-diphenylimidazolidin-4-one	503.2
267		5,5-bis(4-fluorophenyl)-3-(3-{[4-(furan-2-ylcarbonyl)piperazin-1-yl]carbonyl}benzyl)-2-iminoimidazolidin-4-one	584.2
268		3-(3-{[4-(furan-2-ylcarbonyl)piperazin-1-yl]carbonyl}benzyl)-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	528.3
269		3-{3-[(7-fluoro-3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	519.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
270		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one	499.3
271		3-{3-[(5,6-dichloro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	555.1
272		4-[(3-{(1R)-1-[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)carbonyl]-1-methylpiperazin-2-one	490.3
273		5-biphenyl-4-yl-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-phenylimidazolidin-4-one	563.2
274		2-imino-3-{3-[(2-methyl-4,6-dihydropyrrolo[3,4-d]imidazol-5(1H)-yl)carbonyl]benzyl}-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	471.3
275		5,5-bis(4-fluorophenyl)-2-imino-3-(3-{[2-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one	596.2

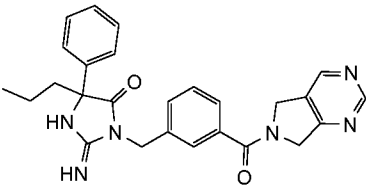
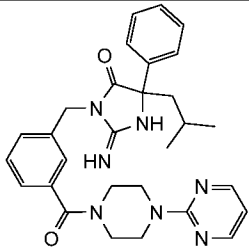
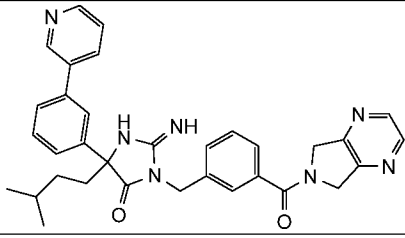
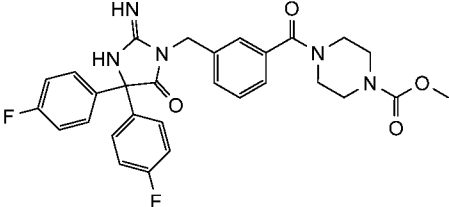
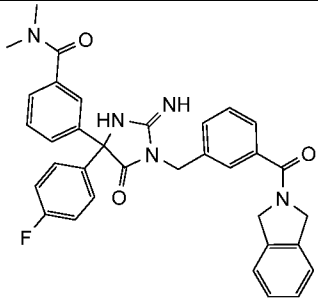
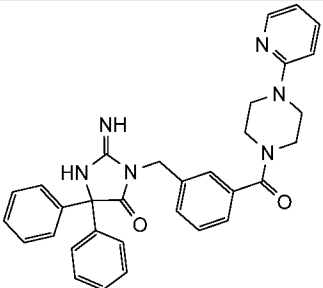
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
276		3-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-5-fluoro-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one	640.2
277		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one	558.3
278		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-phenyl-5-propylimidazolidin-4-one	455.2
279		methyl 4-[(3-{(1R)-1-[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)carbonyl]piperazine-1-carboxylate	506.3
280		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzylimidazolidin-4-one	568.2

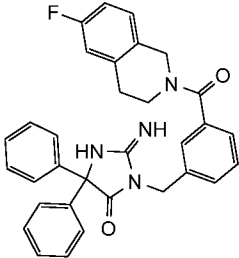
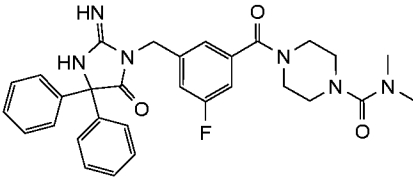
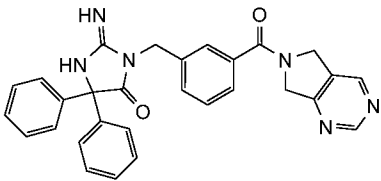
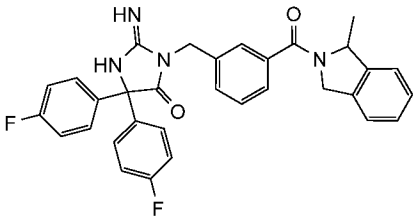
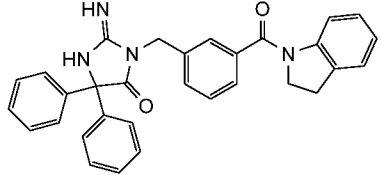
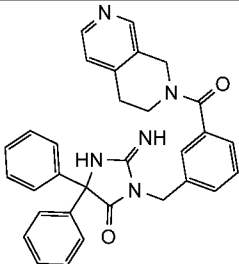
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
281		3-{3-[(5-chloro-3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	535.2
282		2-imino-3-(3-{[5-methyl-3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-5,5-diphenylimidazolidin-4-one	574.2
283		4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-1-methylpiperazin-2-one	476.3
284		3-[3-(1,3-dihydro-2H-isoin-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one	582.2
285		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one	567.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
286		3-{3-[(5,6-dichloro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	591.1
287		methyl 2-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-2,3-dihydro-1H-isoindole-1-carboxylate	545.2
288		methyl 4-[(3-{[4-(4-fluorophenyl)-2-imino-4-(2-methylpropyl)-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate	510.3
289		5-(3-bromophenyl)-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one	583.1
290		2-imino-3-{3-[(2-methyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one	503.2
291		2-imino-5,5-diphenyl-3-(3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one	560.2

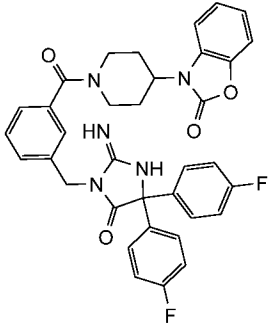
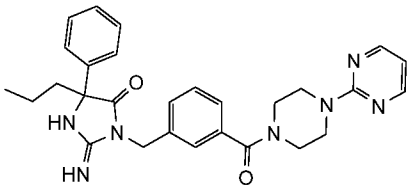
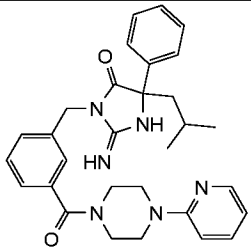
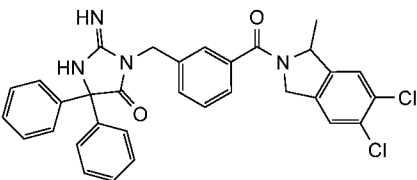
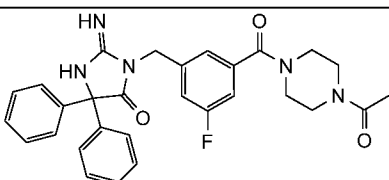
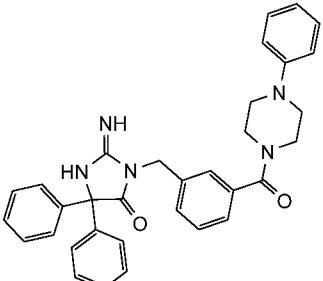
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
292		3'-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}biphenyl-3-carbonitrile	606.2
293		4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N-(1-methylethyl)piperazine-1-carboxamide	557.3
294		3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}benzamide	548.2
295		3-[3-(7,8-dihydropyrido[3,4-b]pyrazin-6(5H)-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	503.2
296		3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)-5-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	505.2

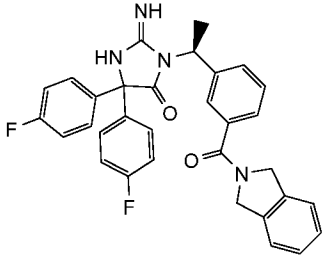
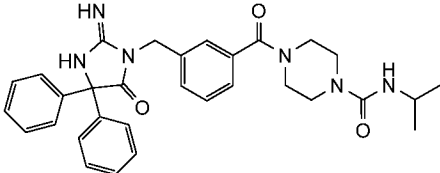
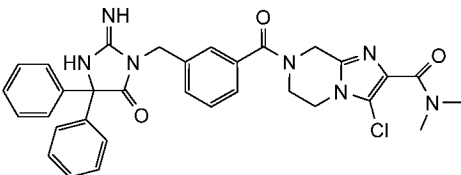
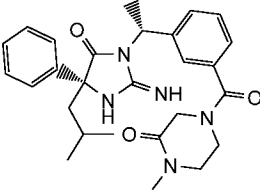
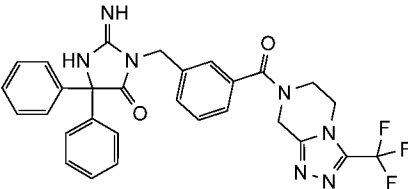
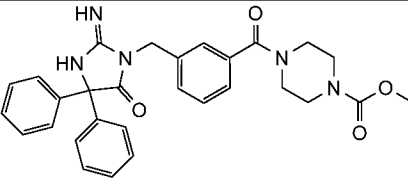
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass</u> <u>[M+H][±]</u>
297		3-(3-{[3-ethenyl-2-(trifluoromethyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one	585.2
298		methyl 4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazine-1-carboxylate	530.2
299		2-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethyl-2,3-dihydro-1H-isoindole-1-carboxamide	558.3
300		3-(3-{[5,5-dimethyl-3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one	588.2
301		2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one	560.2

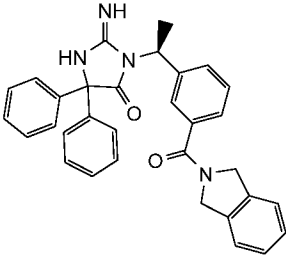
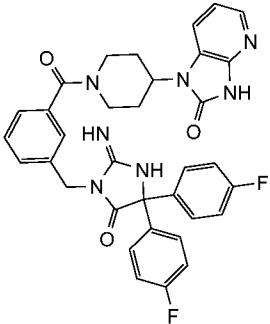
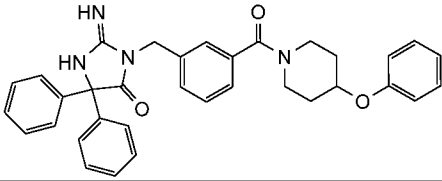
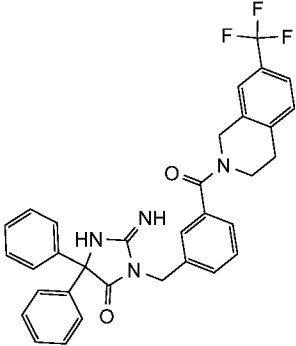
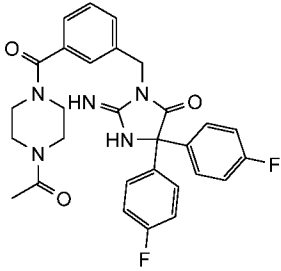
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
302		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-phenyl-5-propylimidazolidin-4-one	455.2
303		2-imino-5-(2-methylpropyl)-5-phenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one	512.3
304		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one	560.3
305		methyl 4-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate	548.2
306		3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}-N,N-dimethylbenzamide	576.2
307		2-imino-5,5-diphenyl-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl}benzyl}-imidazolidin-4-one	531.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
308		3-{3-[(6-fluoro-3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	519.2
309		4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethylpiperazine-1-carboxamide	543.3
310		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	489.2
311		5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(1-methyl-1,3-dihydro-2H-isoinдол-2-yl)carbonyl]benzyl}imidazolidin-4-one	537.2
312		3-[3-(2,3-dihydro-1H-indol-1-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	487.2
313		3-[3-(3,4-dihydro-2,7-naphthyridin-2(1H)-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	502.2

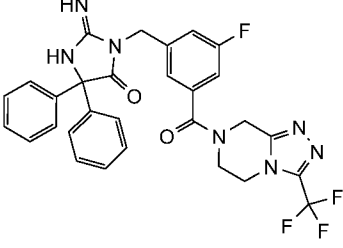
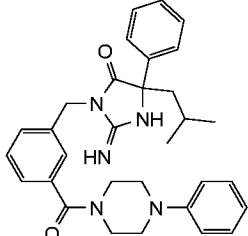
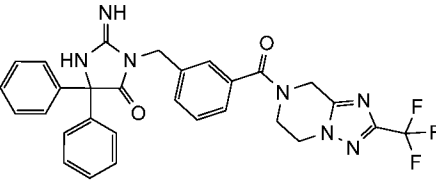
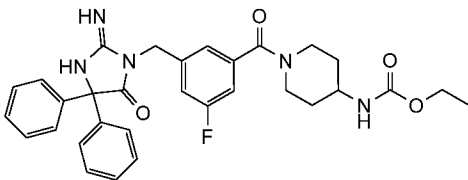
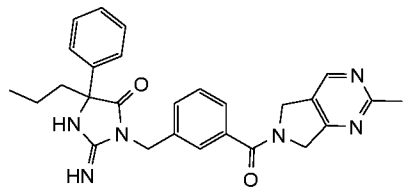
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
314		1-{1-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one	579.3
315		3-(3-{[4-(2-chlorophenyl)piperazin-1-yl]carbonyl}benzyl)-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	544.2
316		1-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-5-fluoro-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one	640.2
317		5,5-bis(4-fluorophenyl)-2-imino-3-(3-{[5-(trifluoromethyl)-1,3-dihydro-2H-isoindol-2-yl]carbonyl}benzyl)-imidazolidin-4-one	591.2
318		3-[3-(3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	481.3

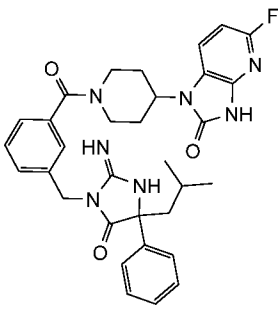
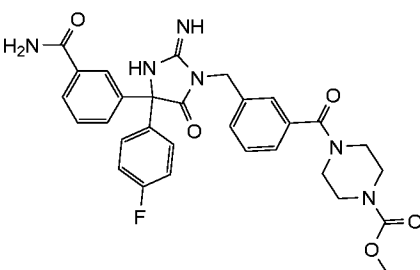
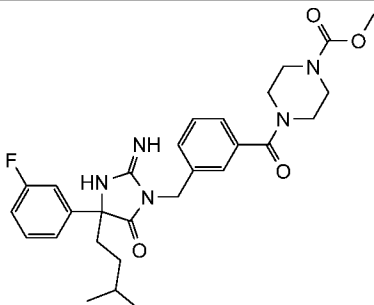
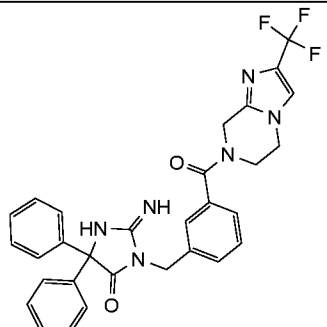
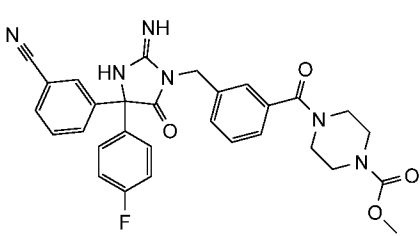
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
319		3-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-benzoxazol-2(3H)-one	622.2
320		2-imino-5-phenyl-5-propyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one	498.3
321		2-imino-5-(2-methylpropyl)-5-phenyl-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one	511.3
322		3-{3-[(5,6-dichloro-1-methyl-1,3-dihydro-2H-isindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	569.2
323		3-{3-[(4-acetylpiperazin-1-yl)carbonyl]-5-fluorobenzyl}-2-imino-5,5-diphenylimidazolidin-4-one	514.2
324		2-imino-5,5-diphenyl-3-{3-[(4-phenylpiperazin-1-yl)carbonyl]benzyl}imidazolidin-4-one	530.3

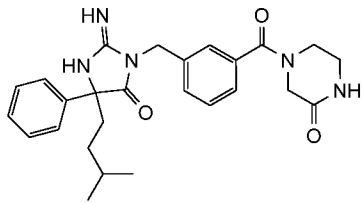
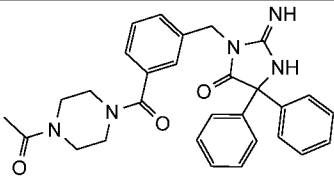
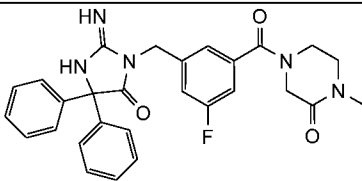
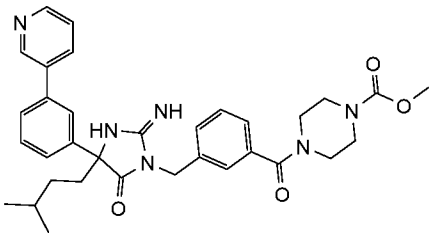
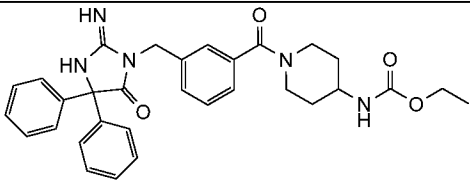
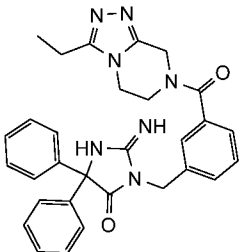
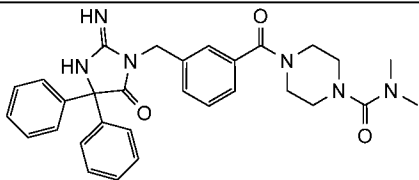
Compound No.	Structure	IUPAC Name	Exact Mass [M+H][±]
325		3-({(1S)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	537.2
326		4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N-(1-methylethyl)piperazine-1-carboxamide	539.3
327		3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxamide	596.2
328		4-[(3-({(1R)-1-[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)carbonyl]-1-methylpiperazin-2-one	476.3
329		2-imino-5,5-diphenyl-3-(3-({3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl}carbonyl}benzyl)imidazolidin-4-one	560.2
330		methyl 4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazine-1-carboxylate	512.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
331		3-{{(1S)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-diphenylimidazolidin-4-one	501.2
332		1-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one	622.2
333		2-imino-3-{3-[(4-phenoxy)piperidin-1-yl]carbonyl}benzyl-5,5-diphenylimidazolidin-4-one	545.3
334		2-imino-5,5-diphenyl-3-(3-{[7-(trifluoromethyl)-3,4-dihydroisoquinolin-2(1H)-yl]carbonyl}benzyl)imidazolidin-4-one	569.2
335		3-{3-[(4-acetylpiperazin-1-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	532.2

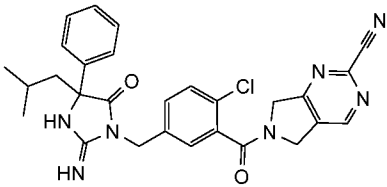
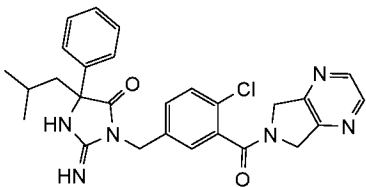
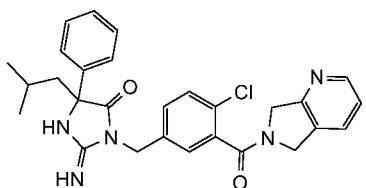
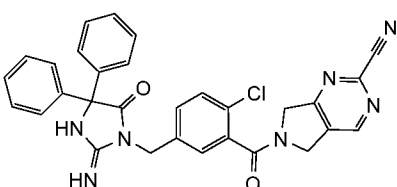
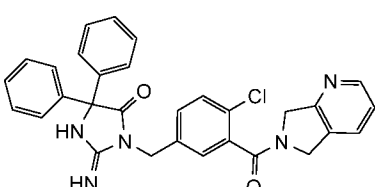
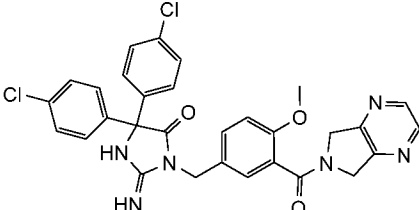
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
336		2-imino-5,5-diphenyl-3-(3-([6-(trifluoromethyl)-3,4-dihydroisoquinolin-2(1H)-yl]carbonyl)benzyl)imidazolidin-4-one	569.2
337		3-{3-[(3-benzyl-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	581.3
338		1-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one	621.2
339		3-[3-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	491.2
340		2-imino-3-{3-[(3-methoxy-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one	522.2

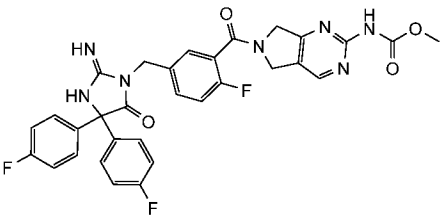
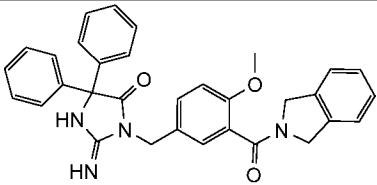
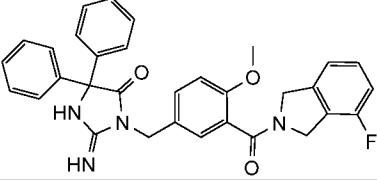
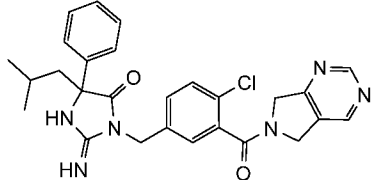
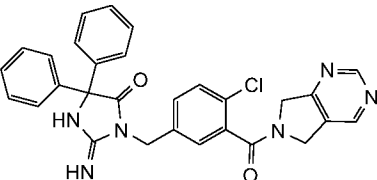
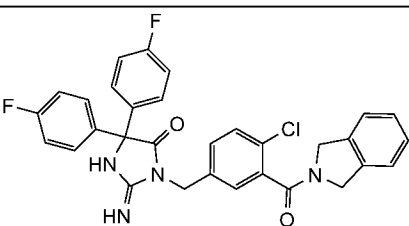
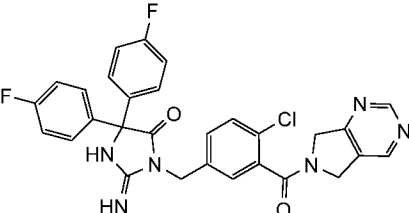
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
341		3-(3-fluoro-5-{{3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl}carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one	578.2
342		2-imino-5-(2-methylpropyl)-5-phenyl-3-{3-[(4-phenylpiperazin-1-yl)carbonyl]benzyl}imidazolidin-4-one	510.3
343		2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one	560.2
344		ethyl [1-(3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl)carbonyl]piperidin-4-yl]carbamate	558.3
345		2-imino-3-{3-[(2-methyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}-5-phenyl-5-propylimidazolidin-4-one	469.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
346		5-fluoro-1-{1-[(3-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)-carbonyl]piperidin-4-yl}-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one	584.3
347		methyl 4-[(3-{[4-(3-carbamoylphenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)-carbonyl]piperazine-1-carboxylate	573.2
348		methyl 4-[(3-{[4-(3-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl]methyl}phenyl)-carbonyl]piperazine-1-carboxylate	524.3
349		2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]carbonyl}-benzyl)imidazolidin-4-one	559.2
350		methyl 4-[(3-{[4-(3-cyanophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate	555.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
351		4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}-phenyl)carbonyl]piperazin-2-one	462.3
352		3-{3-[(4-acetylpiperazin-1-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	496.2
353		4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-1-methylpiperazin-2-one	500.2
354		methyl 4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-(3-pyridin-3-ylphenyl)imidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate	583.3
355		ethyl [1-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperidin-4-yl]carbamate	540.3
356		3-{3-[(3-ethyl-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one	520.2
357		4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethylpiperazine-1-	525.3

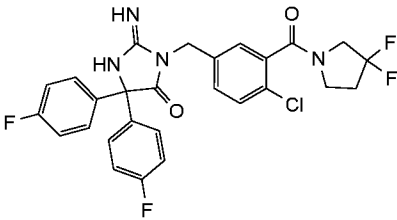
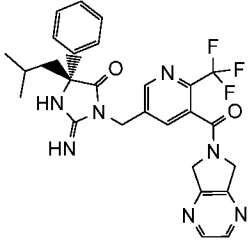
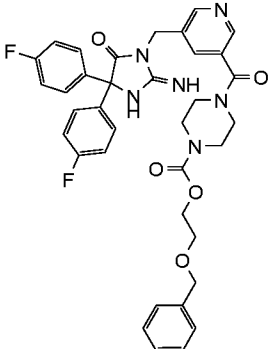
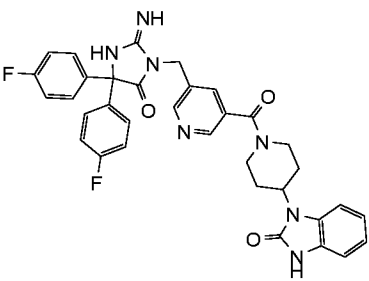
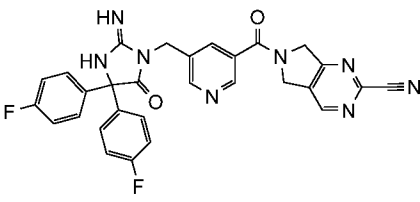
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		carboxamide	
358		4-((3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl} carbonyl)-1-methylpiperazin-2-one	482.2
359		3-(3-{[4-(4-fluorophenyl)piperazin-1-yl]carbonyl} benzyl)-2-imino-5,5-diphenylimidazolidin-4-one	548.2
360		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one	559.3
361		N-tert-butyl-7-((3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl} carbonyl)-5,6,7,8-tetrahydro[1,2,4]triazolo[4,3-a]pyrazine-3-carboxamide	591.3
362		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	523.2
363		6-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-chlorophenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	584.1

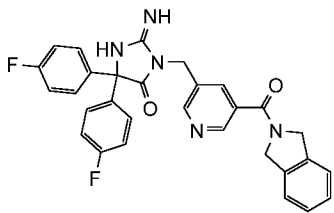
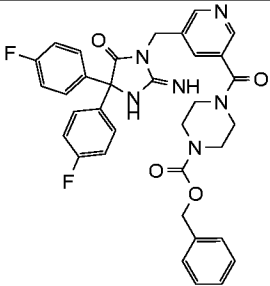
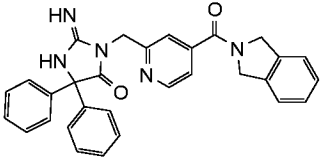
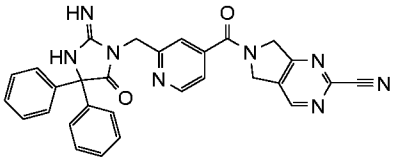
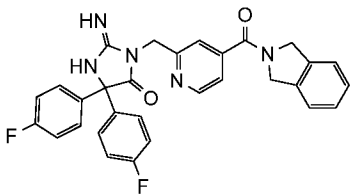
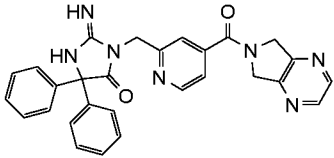
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
364		6-[(2-chloro-5-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	528.2
365		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	503.2
366		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	502.2
367		6-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	548.2
368		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	522.2
369		5,5-bis(4-chlorophenyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-methoxybenzyl]-2-iminoimidazolidin-4-one	587.1

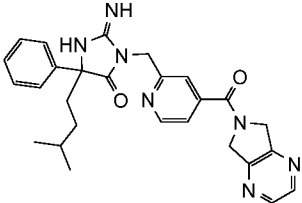
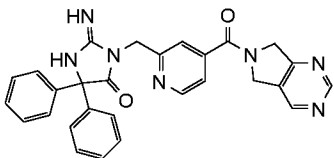
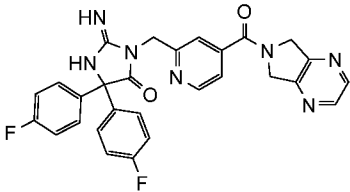
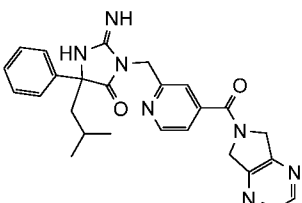
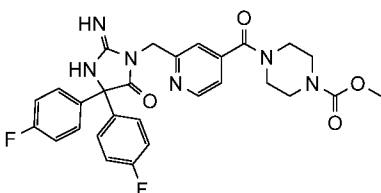
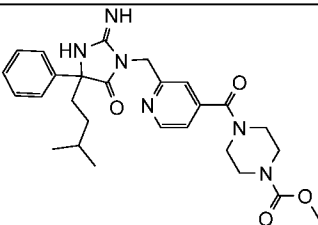
<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
370		methyl {6-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-fluorophenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate	616.2
371		3-[3-(1,3-dihydro-2H-isoin-2-yl)carbonyl]-4-methoxybenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	517.2
372		3-{3-[(4-fluoro-1,3-dihydro-2H-isoin-2-yl)carbonyl]-4-methoxybenzyl}-2-imino-5,5-diphenylimidazolidin-4-one	535.2
373		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	503.2
374		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one	523.2
375		3-[4-chloro-3-(1,3-dihydro-2H-isoin-2-yl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	557.2
376		3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	559.1

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
377		3-{4-chloro-3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	575.1
378		4-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-1-methylpiperazin-2-one	516.2
379		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	507.2
380		4-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazin-2-one	502.2
381		methyl 4-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-chlorophenyl)carbonyl]piperazine-1-carboxylate	582.2
382		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-fluorobenzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	543.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
383		1-[1-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperidin-4-yl]-1,3-dihydro-2H-benzimidazol-2-one	619.2
384		6-({2-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	532.2
385		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	507.2
386		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)-4-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	506.2
387		3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-methoxybenzyl]-2-imino-5,5-diphenylimidazolidin-4-one	519.2
388		5,5-bis(4-chlorophenyl)-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)-4-methoxybenzyl]-2-iminoimidazolidin-4-one	585.1

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
389		3-{4-chloro-3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	545.1
390		(5R)-3-{[5-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl)carbonyl]-6-(trifluoromethyl)pyridin-3-yl)methyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	538.2
391		2-(benzyloxy)ethyl 4-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]piperazine-1-carboxylate	669.3
392		1-{1-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one	622.2
393		6-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	551.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
394		3-({[5-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)pyridin-3-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	524.2
395		benzyl 4-[(5-({[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]piperazine-1-carboxylate	625.2
396		3-({[4-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one	488.2
397		6-({2-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]pyridin-4-yl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile	515.2
398		3-({[4-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)pyridin-2-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	524.2
399		3-({[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one	490.2

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
400		3-({[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one	484.2
401		3-({[4-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one	490.2
402		3-({[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	526.2
403		3-({[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one	470.2
404		methyl 4-[(2-({[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-4-yl)carbonyl]piperazine-1-carboxylate	549.2
405		methyl 4-[(2-({[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}pyridin-4-yl)carbonyl]piperazine-1-	507.3

<u>Compound No.</u>	<u>Structure</u>	<u>IUPAC Name</u>	<u>Exact Mass [M+H][±]</u>
		carboxylate	
406		3-([2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-6-methylpyridin-4-yl]methyl)-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one	540.2
407		3-([4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)thiophen-2-yl]methyl)-2-imino-5,5-diphenylimidazolidin-4-one	495.2
408		3-([4-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)thiophen-2-yl]methyl)-2-imino-5,5-diphenylimidazolidin-4-one	495.2

Assay for Inhibition of Microbial Expressed HIV Protease

Inhibition of Escherichia coli expressed wild-type HIV-1 protease protein was carried out with a peptide substrate [Val-Ser-Gln-Asn-(βnaphthyl)Ala-Pro-Ile-Val]. The inhibitor compound was preincubated with HIV-1 protease enzyme in assay buffer (50 mM sodium acetate, pH 5.5, 100 mM NaCl, and 0.1% BSA) for 30 minutes at room temperature. Peptide substrate was added to 400 μM in a total volume of 20 μL containing 20 pM HIV-1 protease (final) after which the reaction was incubated for 1 hour at 30°C. The reaction was quenched by the addition of formic acid and HIV protease inhibitor indinavir to 0.012% and 150 nM final concentrations, respectively. Product formation was determined after separation of product and substrate on a ZORBAX Eclipse XDB-C18 column (Aligent Technologies, Santa Clara, CA, USA) connected to an API 4000™ mass spectrometer (AB Sciex, Pte. Ltd., Concord Ontario, Canada) with multiple reaction monitoring (transitions were 644.5/428.9 and 615.4/422.2 (M1/M3) for product and indinavir respectively). The extent of inhibition of the reaction was determined from the peak area of the products. Analysis of the products, independently synthesized, provided quantitation standards and confirmation of the product composition. Representative compounds

of the present invention exhibit inhibition of HIV-1 protease in this assay. IC_{50} 's refer to the 50% inhibition of the cleavage of a peptide substrate by hiv protease.

Table 2 shows data obtained from the above described assays for the Compounds herein. Data shown in the table reflects the mean of at least two independent experiments.

5

TABLE 2

Cmpd Number	HIV Pr Enzyme HIV1 PR IC_{50} nM	Cmpd Number	HIV Pr Enzyme HIV1 PR IC_{50} nM	Cmpd Number	HIV Pr Enzyme HIV1 PR IC_{50} nM
1	0.05	83	13.91	165	34.15
2	0.14	84	14.14	166	34.87
3	0.17	85	14.41	167	36.91
4	0.20	86	14.47	168	38.56
5	0.26	87	14.8	169	38.61
6	0.26	88	15.21	170	40.26
7	0.39	89	15.7	171	53.03
8	0.52	90	15.84	172	53.29
9	0.60	91	16.34	173	53.30
10	0.68	92	17.31	174	54.93
11	1.17	93	18.48	175	59.75
12	1.32	94	19.16	176	63.38
13	1.54	95	19.44	177	70.29
14	1.77	96	20.36	178	71.60
15	1.95	97	20.54	179	78.60
16	1.98	98	20.71	180	78.79
17	1.99	99	21.42	181	89.75
18	2.00	100	21.74	182	1.70
19	2.13	101	23.18	183	2.26
20	2.30	102	23.22	184	2.74
21	2.31	103	23.8	185	40.47
22	2.32	104	24.2	186	3.24
23	2.38	105	24.66	187	3.67
24	2.41	106	25.4	188	3.78
25	2.59	107	25.95	189	3.83
26	2.85	108	26.13	190	3.86
27	2.88	109	26.48	191	4.17
28	3.04	110	26.85	192	44.23

Cmpd Number	HIV Pr Enzyme HIV1 PR IC ₅₀ nM	Cmpd Number	HIV Pr Enzyme HIV1 PR IC ₅₀ nM	Cmpd Number	HIV Pr Enzyme HIV1 PR IC ₅₀ nM
29	3.07	111	28.28	193	4.89
30	3.08	112	30.67	194	35.65
31	3.13	113	31.87	195	56.91
32	3.21	114	34.52	196	31.64
33	3.26	115	36.47	197	5.25
34	3.36	116	37.77	198	5.36
35	3.42	117	38.54	199	5.59
36	3.51	118	38.91	200	14.22
37	3.89	119	42.06	201	6.32
38	4.03	120	42.16	202	6.54
39	4.10	121	44.27	203	6.71
40	4.13	122	48.15	204	7.38
41	4.23	123	55.68	205	7.67
42	4.26	124	57.00	206	8.20
43	4.47	125	57.19	207	8.35
44	4.55	126	60.18	208	35.21
45	4.60	127	65.05	209	8.83
46	4.82	128	67.86	210	9.12
47	4.91	129	70.75	211	29.15
48	5.26	130	80.46	212	9.49
49	5.43	131	84.87	213	9.77
50	5.49	132	86.64	214	9.81
51	5.51	133	86.78	215	22.96
52	5.58	134	1.08	216	10.15
53	5.63	135	1.28	217	10.31
54	5.65	136	1.81	218	10.52
55	5.99	137	1.88	219	10.97
56	6.19	138	2.78	220	10.98
57	6.24	139	2.93	221	11.05
58	6.43	140	2.93	222	11.06
59	6.45	141	3.04	223	11.36
60	6.55	142	3.23	224	11.85
61	6.60	143	3.43	225	11.89
62	6.86	144	3.73	226	12.39

Cmpd Number	HIV Pr Enzyme HIV1 PR IC ₅₀ nM	Cmpd Number	HIV Pr Enzyme HIV1 PR IC ₅₀ nM	Cmpd Number	HIV Pr Enzyme HIV1 PR IC ₅₀ nM
63	6.94	145	4.03	227	12.59
64	7.09	146	4.07	228	13.10
65	7.39	147	4.75	229	13.11
66	7.73	148	5.21	230	13.13
67	7.87	149	5.24	231	13.27
68	8.30	150	5.49	232	13.51
69	8.80	151	6.62	233	13.95
70	8.89	152	7.80	234	14.19
71	9.19	153	10.06	235	14.42
72	9.20	154	10.20	236	14.43
73	9.29	155	11.33	237	14.46
74	9.60	156	13.51	238	14.98
75	10.22	157	14.13	239	15.10
76	10.37	158	15.77	240	15.17
77	10.51	159	15.92	241	15.63
78	10.52	160	20.24	242	16.61
79	10.81	161	25.16	243	16.75
80	11.48	162	32.25	244	16.76
81	12.05	163	33.31	245	17.10
82	13.10	164	34.15	246	17.46

247	17.93	305	64.12	363	1.66
248	18.05	306	47.37	364	4.17
249	18.15	307	47.66	365	4.87
250	18.85	308	49.00	366	5.08
251	19.18	309	122.10	367	5.13
252	19.82	310	51.71	368	5.42
253	20.07	311	51.79	369	31.44
254	20.23	312	52.08	370	5.81
255	20.89	313	52.10	371	29.54
256	21.12	314	52.14	372	6.91
257	21.19	315	52.33	373	6.93
258	22.12	316	52.85	374	7.02
259	22.55	317	54.85	375	7.56

260	23.17	318	56.17	376	9.60
261	23.52	319	56.19	377	10.01
262	24.45	320	56.70	378	10.64
263	24.69	321	56.76	379	10.73
264	24.78	322	56.92	380	11.18
265	24.82	323	57.00	381	12.39
266	26.09	324	57.66	382	13.14
267	26.30	325	58.53	383	14.74
268	26.77	326	59.38	384	21.57
269	26.89	327	64.24	385	25.78
270	27.00	328	64.79	386	28.19
271	27.93	329	66.93	387	32.23
272	28.31	330	66.96	388	54.28
273	28.49	331	67.65	389	98.50
274	28.77	332	67.95	390	8.45
275	28.87	333	69.63	391	13.61
276	29.59	334	69.99	392	61.68
277	29.75	335	70.48	393	67.14
278	182.10	336	70.99	394	93.11
279	30.55	337	71.98	395	97.42
280	31.04	338	73.49	396	16.65
281	31.08	339	75.75	397	18.09
282	31.44	340	76.37	398	19.15
283	31.75	341	77.52	399	20.39
284	32.72	342	78.82	400	25.63
285	33.28	343	79.79	401	31.40
286	33.69	344	81.37	402	34.22
287	33.95	345	81.92	403	54.29
288	35.27	346	82.48	404	58.64
289	36.13	347	83.28	405	81.10
290	36.28	348	84.10	406	10.56
291	37.86	349	84.19	407	73.79
292	39.03	350	85.31	408	80.49
293	39.16	351	86.68		
294	39.83	352	86.94		
295	40.07	353	88.76		
296	40.28	354	89.14		

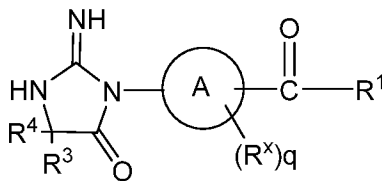
297	43.47	355	89.79
298	43.52	356	91.19
299	43.94	357	91.22
300	43.99	358	93.64
301	45.16	359	93.93
302	45.40	360	95.14
303	45.87	361	96.08
304	45.93	362	1.34

While the foregoing specification teaches the principles of the present invention, with examples provided for the purpose of illustration, the practice of the invention encompasses all of the usual variations, adaptations and/or modifications that come within the scope of the following claims.

5

WHAT IS CLAIMED IS:

1. A compound of structural Formula I:



or a pharmaceutically acceptable salt thereof, wherein:

A is selected from the group consisting of $(\text{CHR}^2)_p\text{C}_{6-10}\text{aryl}$ and $(\text{CHR}^2)_p\text{C}_{4-11}\text{heteroaryl}$;

R is selected from the group consisting of hydrogen and $\text{C}_{1-6}\text{alkyl}$;

R^x is selected from the group consisting of $\text{C}_{1-6}\text{alkyl}$, $\text{C}_{1-3}\text{haloalkyl}$, halogen, $\text{SO}_2\text{C}_{1-6}\text{alkyl}$, and $\text{OC}_{1-6}\text{alkyl}$;

R^1 is selected from the group consisting of a bicyclic, monocyclic, or tricyclic $\text{C}_{4-13}\text{heterocyclyl}$, said heterocyclyl optionally substituted with 1 to 3 groups of R^a ;

R^2 is selected from the group consisting of hydrogen, $\text{C}_{1-6}\text{alkyl}$, $\text{C}_{1-3}\text{haloalkyl}$, halogen, $\text{SO}_2\text{C}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{OC}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{C}_{3-6}\text{cycloalkyl}$, $(\text{CHR})_n\text{C}_{6-10}\text{aryl}$, $(\text{CHR})_n\text{C}_{5-10}\text{heteroaryl}$, said aryl and heteroaryl optionally substituted with 1 to 3 groups of R^a ;

R^3 and R^4 are independently selected from the group consisting of $\text{C}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{C}_{1-3}\text{haloalkyl}$, $(\text{CR}_2)_n\text{C}_{3-6}\text{cycloalkyl}$, $(\text{CH}_2)_n\text{C}_{6-10}\text{aryl}$, $(\text{CH}_2)_n\text{C}_{5-10}\text{heterocyclyl}$; said alkyl, aryl, and heterocyclyl optionally substituted with 1 to 3 groups of R^d ;

R^5 is selected from the group consisting of hydrogen, $\text{C}_{1-6}\text{alkyl}$, $\text{C}(\text{O})\text{OR}$, $\text{C}_{3-6}\text{cycloalkyl}$, SO_2R , $\text{O}(\text{CH}_2)_n\text{C}_{6-10}\text{aryl}$, and $(\text{CH}_2)_n\text{C}_{6-10}\text{aryl}$;

R^a is selected from the group consisting of $(\text{CH}_2)_n\text{C}_{5-11}\text{heterocyclyl}$, $\text{C}_{1-6}\text{alkyl}$, $\text{C}_{2-6}\text{alkenyl}$, $\text{C}(\text{O})\text{C}_{1-6}\text{alkyl}$, $\text{OC}_{1-6}\text{alkyl}$, $=\text{O}$, $\text{C}_{1-3}\text{haloalkyl}$, $\text{OC}_{1-3}\text{haloalkyl}$, $\text{C}_{3-6}\text{cycloalkyl}$, $\text{C}(\text{O})\text{C}_{3-6}\text{cycloalkyl}$, halogen, CN, $\text{SC}_{1-6}\text{alkyl}$, $\text{SO}_2\text{C}_{1-6}\text{alkyl}$, $(\text{CH}_2)_n\text{C}_{6-12}\text{aryl}$, $\text{OC}_{6-12}\text{aryl}$, $\text{C}(\text{O})\text{C}_{5-12}\text{heterocyclyl}$, $\text{C}(\text{O})\text{OR}$, $\text{C}(\text{O})\text{OC}_{2-6}\text{alkenyl}$, $\text{C}(\text{O})\text{NR}_2$, NR_2 , $\text{NHC}(\text{O})\text{OR}$, $-\text{NHC}_{3-6}\text{cycloalkyl}$, $-\text{NR}(\text{CH}_2)\text{C}_{3-6}\text{cycloalkyl}$, $\text{NHC}_{5-10}\text{heterocyclyl}$, $\text{NHC}_{6-10}\text{aryl}$, $(\text{CH}_2)_n\text{NHC}(\text{O})\text{R}$, said alkyl, alkenyl, aryl, and heterocyclyl optionally substituted with 1 to 3 groups of R^b ;

R^b is selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkylOR, OR, =O, C(O)R, SOC_{1-6} alkyl, SO_2C_{1-6} alkyl, $SO_2N(R)_2$, NRR^5 , C_{1-3} haloalkyl, OC_{1-3} haloalkyl, C_{3-6} cycloalkyl, halogen, $(CH_2)_nCN$, $(CH_2)_nC_{6-12}$ aryl, and $(CH_2)_nC_{5-10}$ heteroaryl, said alkyl, aryl and heteroaryl optionally substituted with 1 to 3 groups of R^c ;

R^c is selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkylOR, OR, and halogen;

R^d is selected from the group consisting of C_{1-6} alkyl, C_{1-3} haloalkyl, CN, C(O)NR₂, C_{5-10} heteroaryl, C_{6-10} aryl, and halogen, said heteroaryl, alkyl and aryl optionally substituted with 1 to 3 groups of halogen and CN;

n is 0, 1, 2, 3, or 4;

p is 0 or 1; and

q is 0 or 1.

2. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein A is $(CHR^2)_pC_{6-10}$ aryl.

3. The compound according to any one of claims 1 and 2, or a pharmaceutically acceptable salt thereof, wherein the aryl of A is selected from the group consisting of phenyl, tetrahydronaphthalenyl, dihydroindenyl, and tetrahydrobenzoannulenyl.

4. The compound according to claim 1, or a pharmaceutically acceptable salt thereof wherein A is $(CHR^2)_pC_{5-11}$ heteroaryl said heteroaryl selected from the group consisting of pyridyl, thiazolyl, thiophenyl, dihydrochromenyl, and dihydrothiochromenyl.

5. The compound according to anyone of claims 1 to 4, or a pharmaceutically acceptable salt thereof, wherein R^1 is $(CH_2)_nC_{4-11}$ heterocyclyl, said heterocyclyl unsubstituted or substituted with 1 to 3 groups of R^a .

6. The compound according to claim 5, or a pharmaceutically acceptable salt thereof, wherein R^1 is unsubstituted or substituted $(CH_2)_nC_{4-11}$ heterocyclyl wherein the heterocyclyl is selected from the group consisting of dihydropyrrolopyrazinyl, dihydropyrrolopyrimidinyl, dihydrotriazolopyrazinyl, piperazinyl, piperazinonyl, piperidinyl, hexahydrooxazolopyrazinonyl, tetrahydropyrazinoindolyl, tetrahydrobenzapylinyl,

dihydropyrrolooxazolyl, tetrahydropyrrolopyrrolidione, pyrrolidinyl, hexahydroisoxazolyl,
 tetrahydropyrazolopyridyl, azetindinyl, tetrahydropyrrolotriazoloxazinyl,
 tetrahydropyrroloisoxazolyl, tetrahydrofuropyridinyl, dihydroisoindolyl,
 dihydropyrrolopyrazolyl, tetrahydropyrrolothiazolyl, tetrahydroimidazopyrazinyl,
 5 dihydropyrrolopyridinyl, dihydropyrroloimidazolyl, dihydroisoindolopyridinyl,
 dihydroisoquinolinyl, dihydronaphthyridinyl, and dihydroimidazopyrazinyl.

7. The compound according to claim 6, or a pharmaceutically acceptable salt thereof, wherein R^1 is unsubstituted or substituted $(CH_2)_n C_{4-11}$ heterocyclyl wherein the
 10 heterocyclyl is selected from the group consisting of dihydropyrrolopyrazinyl, piperazinyl, piperazinonyl, pyrrolidinyl, dihydropyrrolopyrimidinyl, dihydrotriazolopyrazinyl, and dihydroisoindolyl.

8. The compound according to any one of claims 1, 6, and 7, or a
 15 pharmaceutically acceptable salt thereof, wherein R^1 is substituted with 1 to 3 groups of R^a selected from the group consisting of C_1 -6alkyl, OC_1 -6alkyl, C_1 -3haloalkyl, OC_1 -3haloalkyl, halogen, CN, SC_1 -6alkyl, $SO_2 C_1$ -6alkyl, $(CH_2)_n C_{6-12}$ aryl, $(CH_2)_n C_{5-12}$ heterocyclyl, $C(O)OR$, $C(O)NR_2$, NR_2 , $NHC(O)OR$, $C(O)C_{5-12}$ heterocyclyl, $-NHC_3$ -6cycloalkyl, $-NR(CH_2)C_3$ -6cycloalkyl, NHC_5 -10heterocyclyl, and NHC_6 -10aryl, said alkyl, heterocyclyl,
 20 cycloalkyl and aryl unsubstituted or substituted with 1 to 3 groups of R^b .

9. The compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, wherein R^1 is substituted with 0 to 1, 1 to 2, or 1 to 3 groups of R^a selected from the group consisting of CH_3 , CH_2CH_3 , OCH_3 , CF_3 , OCF_2 ,
 25 CH_2CF_3 , fluoro, chloro, bromo, $C(O)CH_3$, SCH_3 , SO_2CH_3 , CN, $COOCH_3$, $COOCH_2CH_3$, $NHC(O)OCH_3$, $NHC(O)CH_3$, $CON(CH_3)_2$, $CONHCH_3$, $CONHCH(CH_3)_2$, NH_2 , $NHCH_3$, $N(CH_3)_2$, and $NHCH(CH_3)_2$, $(CH_2)_n C_{6-12}$ phenyl, $(CH_2)_n C_{5-12}$ heterocyclyl, $C(O)C_{5-12}$ heterocyclyl, $-NHC_3$ -6cycloalkyl, $-NR(CH_2)C_3$ -6cycloalkyl, NHC_5 -10heterocyclyl, and NHC_6 -10phenyl, said heterocyclyl, cycloalkyl and aryl unsubstituted or substituted with 1 to 3
 30 groups of R^b .

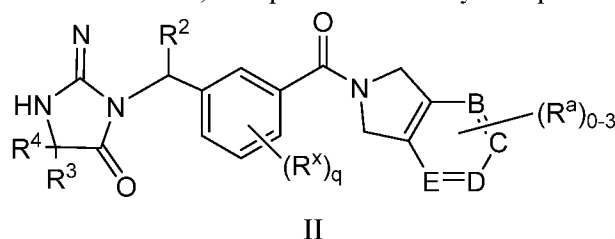
10. The compound according to claim 9, or a pharmaceutically acceptable salt thereof, wherein the heterocyclyl of R^a is selected from the group consisting of unsubstituted or substituted pyrazolyl, pyridinyl, indazolyl, pyrrolyl, triazolyl, indolyl, pyrimidinyl, thiophenyl,
 35 tetrahydropyrazolopyridinyl, triazolopyridinyl, dihydropyrrolopyrazolyl, dihydropyrrolooxazinyl, isoquinolyl, isoxazolyl, dihydropyrrolyl, benzisoxazolyl, thiomorpholinyl, oxadiazolyl, pyrrolodiny, oxazolyl, oxophenylimidazolidinyl, dihydroimidazopyridinone, furanyl, dihydrobenzimidazolone, and benzoxazolone.

11. The compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, wherein R^2 is hydrogen.

12. The compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, wherein R^2 is selected from the group consisting of CH_3 , CH_2CH_3 , $(CH_2)_nCH(CH_3)_2$, and $(CH_2)_nOCH(CH_3)_2$, cyclopropyl, cyclobutyl, cyclopentyl, $(CHR)_nC_{6-10}phenyl$, and $(CHR)_nC_{5-10}heteroaryl$.

13. The compound according to any one of claims 1 to 12, or a pharmaceutically acceptable salt thereof, wherein R^3 and R^4 are independently selected from the group consisting of isobutyl, isopentyl, $(CH_2)_nCF_3$, $(CH_2)_ncyclopropyl$, phenyl, pyridyl, pyranyl, $(CH_2)_ntetrahydropyranyl$, and $(CH_2)_ntetrahydrofuranyl$, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranyl, tetrahydropyranyl, and tetrahydrofuranyl optionally substituted with 1 to 3 groups of R^d .

14. The compound according to claim 1 wherein the compounds of formula I are represented by structural formula II, or a pharmaceutically acceptable salts thereof,



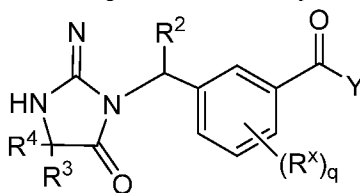
wherein R^2 , R^3 , R^4 , R^a and R^x are as defined in claim 1 and B, C, D, E, respectively, are selected from:

- a) $N=C-C=N$;
- b) $C=N-C=N$;
- c) $C=C-C=C$;
- d) $N=C-C=C$;
- e) $C=C-N=C$; and
- f) $C=C-C=N$.

15. The compound according to claim 14, or a pharmaceutically acceptable salt thereof, wherein one R^a is present and is selected from the group consisting of CH_3 , CH_2CH_3 , OCH_3 , CF_3 , OCF_2 , CH_2CF_3 , fluoro, chloro, bromo, $C(O)CH_3$, SCH_3 , SO_2CH_3 , CN , $COOCH_3$, $COOCH_2CH_3$, $NHC(O)OCH_3$, $NHC(O)CH_3$, $CON(CH_3)_2$, $CONHCH_3$, $CONHCH(CH_3)_2$, NH_2 , $NHCH_3$, $N(CH_3)_2$, $NHCH(CH_3)_2$, unsubstituted or substituted

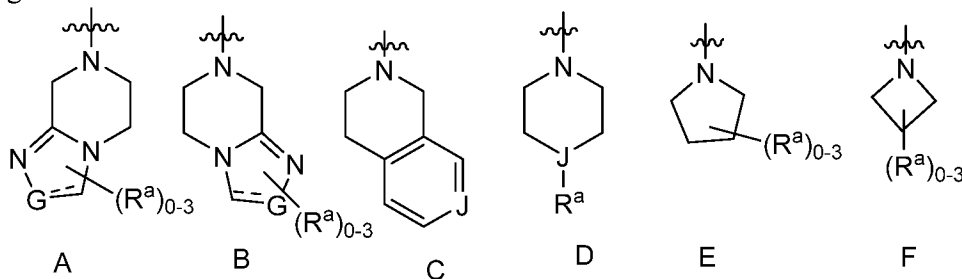
(CH₂)_nC₆₋₁₂phenyl, NHC₆₋₁₀phenyl, and unsubstituted or substituted (CH₂)_nC₅₋₁₂heterocyclyl, NHC₅₋₁₀heterocyclyl, or C(O)C₅₋₁₂heterocyclyl, wherein the heterocyclyl is selected from the group consisting of optionally substituted pyrazolyl, pyridinyl, pyrimidinyl, dihydropyrrolopyrazolyl, pyrrolodiny, dihydroimidazopyridinone, and dihydrobenzimidazolone, and R³ and R⁴ are independently selected from the group consisting of isobutyl, isopentyl, (CH₂)_nCF₃, (CH₂)_ncyclopropyl, phenyl, pyridyl, pyranyl, (CH₂)_ntetrahydropyranyl, and (CH₂)_ntetrahydrofuranyl, said isobutyl, isopentyl, cyclopropyl, phenyl, pyridyl, pyranyl, tetrahydropyranyl, and tetrahydrofuranyl optionally substituted with 1 to 3 groups of R^d.

16. The compound according to claim 1 wherein the compound of formula I is represented by structural formula III, or a pharmaceutically acceptable salts thereof,:



III

wherein R², R³, and R⁴ are as defined in claim 1, and wherein Y is selected from the group consisting of:



wherein

R^a is as originally described,

G is N or CH when --- represents a double bond and is CH₂ when --- represents a single bond;

J is N or CH;

--- represents the point of attachment, and

--- represents a single or double bond.

17. The compound of claim 1 which is:

(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one, (5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-4-phenylbutyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,

(5R)-5-(2-cyclopropylethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-phenylpropyl}-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-phenylethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-phenylethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
(5R)-5-(2-cyclopropylethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-(2-oxopyrrolidin-1-yl)ethyl}-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-(1-methylethoxy)ethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-4-methylpentyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,
(5R)-3-{2-cyclopentyl-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-3-[3-({2-[1-(2-hydroxyethyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-ylmethyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(1-methyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-3-[3-({2-[6-(dimethylamino)pyridin-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-{1-[2-(methylsulfonyl)ethyl]-1H-pyrazol-4-yl}-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(1-propyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[1-(2-methoxyethyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(methylsulfinyl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[1H-indazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-2-imino-5-(3-methylbutyl)-3-{4-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl] carbonyl} phenyl]pentyl}-5-phenylimidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(methylsulfonyl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[5-(4-methylpiperazin-1-yl)pyridin-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-4-(trifluoromethyl)benzyl]-5-(3-fluorophenyl)-2-iminoimidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(3-methyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5S)-5-(4-fluorophenyl)-2-imino-3-{3-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl] carbonyl} phenyl]butyl}-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,

(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,

(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-yl carbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-[1,2,4]triazolo[1,5-a]pyridin-6-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl] carbonyl)-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[1-(2-morpholin-4-ylethyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-3-[3-({2-(5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl} carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-3-{3-[(2-chloro-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[(3R)-tetrahydrofuran-3-ylamino]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(2-cyclopropylethyl)-5-(4-fluorophenyl)-2-imino-3-{3-phenyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]propyl}imidazolidin-4-one,

(5R)-5-(2-cyclopropylethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-2-(1-methylethoxy)ethyl}-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1H-pyrrolo[2,3-b]pyridin-5-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(1H-pyrazol-5-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1-methyl-1H-indol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazine-7(8H)-yl]carbonyl}phenyl]butyl}-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(1-methyl-1H-indazol-5-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

N-[3-(6-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)phenyl]methanesulfonamide,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(4-methyl-3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-7-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[1-(2-methylpropyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyridin-3-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyrimidin-5-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[3-(methylsulfanyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-[(1-methylethyl)amino]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(2-methoxypyridin-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyridin-4-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{2-phenyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]ethyl}imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-isoquinolin-6-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{4-phenyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}phenyl]butyl}imidazolidin-4-one,
(5R)-3-{3-[(2-amino-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
6-{5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-carbonitrile,
(5R)-3-[3-{[2-(3-acetylphenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-methylisoxazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
[5-(6-{5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)pyridin-2-yl]acetonitrile,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-pyrrolidin-1-ylphenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-thiophen-3-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-(3-methyl-1,2-benzisoxazol-5-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
(5R)-3-{3-[(3-ethyl-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
3-(6-{5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)-

N,N-dimethylbenzenesulfonamide,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[3-(thiomorpholin-4-ylcarbonyl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(methylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[2-(1H-pyrazol-1-yl)pyrimidin-5-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-phenyl-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,

(5R)-3-[3-({2-(cyclopentylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-(1-phenyl-1H-pyrazol-4-yl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)-3-[4-(trifluoromethyl)-3-({2-(trifluoromethyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)benzyl]imidazolidin-4-one,

(5R)-3-[3-({2-[(cyclopropylmethyl)amino]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-[3-(trifluoromethyl)phenyl]imidazolidin-4-one,

5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(4-pyridin-3-ylphenyl)imidazolidin-4-one,

(6S)-6-(cyclopropylmethyl)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-2-imino-6-phenyltetrahydropyrimidin-4(1H)-one,

5-(2-cyclopropylethyl)-5-(3-fluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-({3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl}carbonyl)benzyl]imidazolidin-4-one,

3-(6-{[5-({[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)benzonitrile,

(5R)-3-[3-({2-(dimethylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

methyl [6-({(8R)-8-[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]-5,6,7,8-tetrahydronaphthalen-2-yl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl]carbamate,

5-(2-cyclopropylethyl)-5-(3,5-difluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-({3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl}carbonyl)benzyl]imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-morpholin-4-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-

6-yl)carbonyl]-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[4-(4-methyl-1,2,5-oxadiazol-3-yl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-3-[3-{[2-(cyclobutylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-3-[3-{[2-(3-fluorophenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 7-{[5-{[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}hexahydro[1,3]oxazolo[3,4-a]pyrazin-3-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-pyrimidin-5-yl)piperazin-1-yl]carbonyl]-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-[6-(1H-pyrazol-1-yl)pyridin-3-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-3-[3-{[4-(2-hydroxy-2-methylpropanoyl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 5-(2-cyclopropylethyl)-5-(3,5-difluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[4-(methylsulfonyl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(2-cyclopropylethyl)-3-[(5R)-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-6,7,8,9-tetrahydro-5H-benzo[7]annulen-5-yl]-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-phenyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-[3-{[2-[3-(2H-1,2,3-triazol-2-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 methyl [6-({(3R)-3-[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]-2,3-dihydro-1H-inden-5-yl]carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl]carbamate,
 (5R)-5-(4-fluorophenyl)-3-[3-{[2-(4-fluorophenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-3-[3-(5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl]-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl]-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one,

(5R)-3-{cyclopentyl[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]methyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one, prop-2-en-1-yl 4-{{5-[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}piperazine-1-carboxylate,

(5R)-5-(2-cyclopropylethyl)-5-(3-fluorophenyl)-2-imino-3-[4-(trifluoromethyl)-3-{3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{2-(3-methylphenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one, 2-imino-5-phenyl-5-[(3R)-tetrahydrofuran-3-ylmethyl]-3-[4-(trifluoromethyl)-3-{3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(2-pyrrolidin-1-yl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(2-cyclopropylethyl)-3-[(4R)-6-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-3,4-dihydro-2H-chromen-4-yl]-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-pyridin-3-yl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-3-{3-[(4-acetylpiperazin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,

(5R)-3-[(4R)-6-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,1-dioxido-3,4-dihydro-2H-thiochromen-4-yl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-{4-(methoxyacetyl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-3-[3-({2-[2-(4-fluorophenyl)-1,3-oxazol-5-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

5-(2-cyclopropylethyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-[3-(trifluoromethyl)phenyl]imidazolidin-4-one,

4-{{5-[(4R)-4-(4-fluorophenyl)-2-imino-5-oxo-4-(4,4,4-trifluorobutyl)imidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-N-methylpiperazine-1-carboxamide,

(5R)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-5-(4-fluorophenyl)-2-imino-3-[3-({2-[4-(2H-1,2,3-triazol-2-yl)phenyl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,

(5R)-3-{2-cyclopentyl-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl}-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-{3-[(4-phenylpiperazin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]-3-methylbutyl}-5-(4-fluorophenyl)-2-imino-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,
 (5R)-3-[3-{[2-(3,5-difluorophenyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-3-{3-[(2-cyclopropyl-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-{3-methyl-1-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl]phenyl]butyl}-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,
 (5R)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 5-(2-cyclopropylethyl)-2-imino-5-[4-(trifluoromethyl)phenyl]-3-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one,
 (5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(methylsulfonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)-3-[3-{[4-(2,2,2-trifluoroethyl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl]imidazolidin-4-one,
 (5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-phenyl-5-[(3R)-tetrahydrofuran-3-ylmethyl]imidazolidin-4-one,
 (5R)-5-(2-cyclopropylethyl)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 (5R)-3-[(1R)-7-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-1,2,3,4-tetrahydronaphthalen-1-yl]-5-(4-fluorophenyl)-2-imino-5-(tetrahydro-2H-pyran-4-yl)imidazolidin-4-one,
 5-(2-cyclopropylethyl)-2-imino-5-[4-(trifluoromethyl)phenyl]-3-[4-(trifluoromethyl)-3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl]imidazolidin-4-one,
 (5R)-5-(4-fluorophenyl)-2-imino-3-[3-(3,4,10,10a-tetrahydropyrazino[1,2-a]indol-2(1H)-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 (5R)-3-[3-({2-[1-(3-chlorophenyl)-1H-pyrazol-4-yl]-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl}carbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(4,4,4-trifluorobutyl)imidazolidin-4-one,
 6-{[5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,

methyl (6-{{5-{{(1R)-1-[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}}-2-(trifluoromethyl)phenyl}carbonyl}-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)carbamate,
 6-{{5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 methyl (6-{{5-{{2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl}methyl}}-2-(trifluoromethyl)phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl)carbamate,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 6-{{5-{{2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl}methyl}}-2-(trifluoromethyl)phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 (5R)-3-{{(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl}}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
 (5R)-3-{{(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)phenyl]ethyl}}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 6-{{5-{{2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl}methyl}}-2-(trifluoromethyl)phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 (5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 4-{{5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}carbonyl)-1-methylpiperazin-2-one,

2-imino-3-{3-[(7-methoxy-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 1-(1-{[5-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}piperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one,
 4-{[5-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-1-methylpiperazin-2-one,
 4-{[5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-1-methylpiperazin-2-one,
 5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{3-[(2-phenyl-4,6-dihydro-5H-pyrrolo[3,4-d][1,3]oxazol-5-yl)carbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one,
 (3aR,6aR)-5-{[5-{[4-(4-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl]methyl}-2-(trifluoromethyl)phenyl]carbonyl}-3a-phenyltetrahydropyrrolo[3,4-c]pyrrole-1,3(2H,3aH)-dione,
 4-({5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}carbonyl)piperazin-2-one,
 methyl 4-({5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]-2-(trifluoromethyl)phenyl}carbonyl)piperazine-1-carboxylate,
 3-{3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-[3-{[(3aS,7aR)-7a-phenylhexahydroisoxazolo[4,3-c]pyridin-5(3H)-yl]carbonyl}-4-(trifluoromethyl)benzyl]imidazolidin-4-one,
 5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{3-[(1-phenyl-1,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl)carbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one,
 5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(7-methoxy-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)carbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one,
 3-[3-(azetidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
 5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-{3-[(5aR,8aS)-5a,6,8,8a-tetrahydro-4H,7H-pyrrolo[3,4-b][1,2,3]triazolo[1,5-d][1,4]oxazin-7-ylcarbonyl]-4-(trifluoromethyl)benzyl}imidazolidin-4-one,
 2-imino-5-(3-methylbutyl)-5-phenyl-3-[3-(pyrrolidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]imidazolidin-4-one,
 2-imino-5,5-diphenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}-4-(trifluoromethyl)benzyl}imidazolidin-4-one,
 3-{3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-5,5-bis(4-fluorophenyl)-2-

iminoimidazolidin-4-one,
 3-[3-(azetidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]-5,5-bis(4-fluorophenyl)-2-
 iminoimidazolidin-4-one,
 (5S)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-
 5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)-3-[3-{{(3aS,6aR)-3-(phenylamino)-3a,4,6,6a-
 tetrahydro-5H-pyrrolo[3,4-d]isoxazol-5-yl}carbonyl}-4-(trifluoromethyl)benzyl]imidazolidin-4-one,
 3-{3-[(3,3-dimethylpyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-2-imino-5-(3-methylbutyl)-
 5-phenylimidazolidin-4-one,
 3-{3-[(3,3-difluoropyrrolidin-1-yl)carbonyl]-4-(trifluoromethyl)benzyl}-2-imino-5-(2-
 methylpropyl)-5-phenylimidazolidin-4-one,
 N-[(5-{[5-{[4-(4-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl]methyl}-2-
 (trifluoromethyl)phenyl]carbonyl}-4,5,6,7-tetrahydrofuro[3,2-c]pyridin-2-yl)methyl]acetamide,
 3-[3-(azetidin-1-ylcarbonyl)-4-(trifluoromethyl)benzyl]-2-imino-5-(2-methylpropyl)-5-
 phenylimidazolidin-4-one,
 5,5-bis(4-fluorophenyl)-2-imino-3-[3-(pyrrolidin-1-ylcarbonyl)-4-
 (trifluoromethyl)benzyl]imidazolidin-4-one,
 6-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-
 dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 methyl {6-[(3-{[(4R)-2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-
 yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate,
 2-imino-5,5-diphenyl-3-(3-{[3-(trifluoromethyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-
 yl]carbonyl}benzyl)imidazolidin-4-one,
 3-{(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-
 diphenylimidazolidin-4-one,
 3-{(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl}-5,5-bis(4-
 fluorophenyl)-2-iminoimidazolidin-4-one,
 3-{(1R)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-
 diphenylimidazolidin-4-one,
 methyl {6-[(3-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-
 yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate,
 methyl {6-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]-
 6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate,
 methyl {6-[(3-{[2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-
 dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl} carbamate,
 6-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-
 dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 5-biphenyl-4-yl-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-

phenylimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
3-{3-[(4-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-{3-[(4-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-{3-[(5,6-difluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
6-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(4'-fluorobiphenyl-4-yl)-2-imino-5-phenylimidazolidin-4-one,
5,5-bis(4-fluorophenyl)-2-imino-3-[(1R)-1-{3-[(1-methyl-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl)carbonyl]phenyl}ethyl]imidazolidin-4-one,
3-{3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(2-methoxy-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}imidazolidin-4-one,
5-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-2-methyl-3,4,5,6-tetrahydropyrrolo[3,4-d][1,3]thiazol-1-ium,
(5R)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-5-fluorobenzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
ethyl 3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylate,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(2-methylpropyl)imidazolidin-4-one,
5,5-bis(4-fluorophenyl)-2-imino-3-(3-{[2-(trifluoromethyl)-5,7-dihydro-6H-pyrrolo[3,4-

d]pyrimidin-6-yl]carbonyl} benzyl)imidazolidin-4-one,
 5-(3-bromophenyl)-5-(4-fluorophenyl)-2-imino-3-(3-{[3-(trifluoromethyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl]carbonyl} benzyl)imidazolidin-4-one,
 5-(4-bromophenyl)-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-phenylimidazolidin-4-one,
 2-imino-3-{3-[(6-methyl-1,3-dihydro-2H-pyrrolo[3,4-c]pyridin-2-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 3-(3-{[4-(dimethylamino)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl} benzyl)-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 methyl 4-[(3-{(1R)-1-[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl} phenyl)carbonyl]piperazine-1-carboxylate,
 6-[(3-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl} phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 3-{[5-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)cyclohexa-1,5-dien-1-yl]methyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]propyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(6-methyl-1,3-dihydro-2H-pyrrolo[3,4-c]pyridin-2-yl)carbonyl]benzyl}imidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
 2-imino-5,5-diphenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one,

3-((1R)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl)-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-{3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[5-(trifluoromethyl)-1,3-dihydro-2H-isoindol-2-yl]carbonyl}benzyl)imidazolidin-4-one,
methyl 4-[(3-{[4-(3'-cyanobiphenyl-3-yl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-phenyl-5-propylimidazolidin-4-one,
3-((1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl)-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
3-(3-{[4-(2-chlorophenyl)piperazin-1-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one,
methyl 4-[(3-{[4-(3-bromophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
2-imino-3-{3-[(1-methyl-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl]carbonyl}benzyl)imidazolidin-4-one,
6-({3-[(2-imino-5-oxo-4-phenyl-4-propylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
2-imino-5-(3-methylbutyl)-5-phenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one,
ethyl 7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylate,
2-imino-3-(3-{[3-(methylsulfonyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-5,5-diphenylimidazolidin-4-one,
ethyl 3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylate,
5-(4-fluorophenyl)-2-imino-5-pyridin-2-yl-3-(3-{[3-(trifluoromethyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-5(1H)-yl]carbonyl}benzyl)imidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
3-(3-{[2-(cyclopropylcarbonyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one,
3-chloro-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N-methyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxamide,

3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(2-methyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}imidazolidin-4-one,
methyl 4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-pyridin-2-ylimidazolidin-4-one,
2-imino-5-(3-methylbutyl)-3-{3-[(2-methyl-4,6-dihydropyrrolo[3,4-d]imidazol-5(1H)-yl)carbonyl]benzyl}-5-phenylimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-5-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
benzyl 4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazine-1-carboxylate,
3-[(1R)-1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]ethyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}benzonitrile,
3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)phenyl]propyl}-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(2-methylpropyl)imidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,
3-{1-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)phenyl]ethyl}-2-imino-5,5-diphenylimidazolidin-4-one,
5,5-bis(4-fluorophenyl)-3-(3-{[4-(furan-2-ylcarbonyl)piperazin-1-yl]carbonyl}benzyl)-2-iminoimidazolidin-4-one,
3-(3-{[4-(furan-2-ylcarbonyl)piperazin-1-yl]carbonyl}benzyl)-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
3-{3-[(7-fluoro-3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(3-fluorophenyl)-2-imino-5-(3-methylbutyl)imidazolidin-4-one,

3-{3-[(5,6-dichloro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
4-[(3-{(1R)-1-[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)carbonyl]-1-methylpiperazin-2-one,
5-biphenyl-4-yl-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-phenylimidazolidin-4-one,
2-imino-3-{3-[(2-methyl-4,6-dihydropyrrolo[3,4-d]imidazol-5(1H)-yl)carbonyl]benzyl}-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
5,5-bis(4-fluorophenyl)-2-imino-3-{3-[[2-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl]carbonyl]benzyl}imidazolidin-4-one,
3-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-5-fluoro-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-phenyl-5-propylimidazolidin-4-one,
methyl 4-[(3-{(1R)-1-[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)carbonyl]piperazine-1-carboxylate,
5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl]benzyl}imidazolidin-4-one,
3-{3-[(5-chloro-3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
2-imino-3-{3-[[5-methyl-3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one,
4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-1-methylpiperazin-2-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-imino-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one,
5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl]benzyl}imidazolidin-4-one,
3-{3-[(5,6-dichloro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
methyl 2-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-2,3-dihydro-1H-isoindole-1-carboxylate,
methyl 4-[(3-{[4-(4-fluorophenyl)-2-imino-4-(2-methylpropyl)-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
5-(3-bromophenyl)-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5-(4-fluorophenyl)-2-iminoimidazolidin-4-one,

2-imino-3-{3-[(2-methyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one,
3'-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}biphenyl-3-carbonitrile,
3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}benzamide,
3-[3-(7,8-dihydropyrido[3,4-b]pyrazin-6(5H)-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)-5-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-(3-{[3-ethenyl-2-(trifluoromethyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one,
methyl 4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazine-1-carboxylate,
2-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethyl-2,3-dihydro-1H-isoindole-1-carboxamide,
3-(3-{[5,5-dimethyl-3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-phenyl-5-propylimidazolidin-4-one,
2-imino-5-(2-methylpropyl)-5-phenyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one,
methyl 4-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
3-{1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-4-yl}-N,N-dimethylbenzamide,
2-imino-5,5-diphenyl-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one,
3-{3-[(6-fluoro-3,4-dihydroisoquinolin-2(1H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethylpiperazine-1-carboxamide,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5,5-

diphenylimidazolidin-4-one,
 5,5-bis(4-fluorophenyl)-2-imino-3-{3-[(1-methyl-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}imidazolidin-4-one,
 3-[3-(2,3-dihydro-1H-indol-1-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 3-[3-(3,4-dihydro-2,7-naphthyridin-2(1H)-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 1-{1-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one,
 3-(3-{[4-(2-chlorophenyl)piperazin-1-yl]carbonyl}benzyl)-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 1-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-5-fluoro-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one,
 5,5-bis(4-fluorophenyl)-2-imino-3-(3-{[5-(trifluoromethyl)-1,3-dihydro-2H-isoindol-2-yl]carbonyl}benzyl)imidazolidin-4-one,
 3-[3-(3,4-dihydroisoquinolin-2(1H)-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 3-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-benzoxazol-2(3H)-one,
 2-imino-5-phenyl-5-propyl-3-{3-[(4-pyrimidin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one,
 2-imino-5-(2-methylpropyl)-5-phenyl-3-{3-[(4-pyridin-2-yl)piperazin-1-yl]carbonyl}benzyl}imidazolidin-4-one,
 3-{3-[(5,6-dichloro-1-methyl-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 3-{3-[(4-acetylpiperazin-1-yl)carbonyl]-5-fluorobenzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 2-imino-5,5-diphenyl-3-{3-[(4-phenylpiperazin-1-yl)carbonyl]benzyl}imidazolidin-4-one,
 3-[(1S)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 4-[(3-{[2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-N-(1-methylethyl)piperazine-1-carboxamide,
 3-chloro-7-[(3-{[2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-N,N-dimethyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxamide,
 4-[(3-{(1R)-1-[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]ethyl}phenyl)carbonyl]-1-methylpiperazin-2-one,
 2-imino-5,5-diphenyl-3-(3-{[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one,
 methyl 4-[(3-{[2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,

3-((1S)-1-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)phenyl]ethyl)-2-imino-5,5-diphenylimidazolidin-4-one,
1-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one,
2-imino-3-{3-[(4-phenoxy-piperidin-1-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[7-(trifluoromethyl)-3,4-dihydroisoquinolin-2(1H)-yl]carbonyl}benzyl)imidazolidin-4-one,
3-{3-[(4-acetyl-piperazin-1-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[6-(trifluoromethyl)-3,4-dihydroisoquinolin-2(1H)-yl]carbonyl}benzyl)imidazolidin-4-one,
3-{3-[(3-benzyl-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
1-{1-[(3-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one,
3-[3-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
2-imino-3-{3-[(3-methoxy-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)carbonyl]benzyl}-5,5-diphenylimidazolidin-4-one,
3-(3-fluoro-5-{3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one,
2-imino-5-(2-methylpropyl)-5-phenyl-3-{3-[(4-phenylpiperazin-1-yl)carbonyl]benzyl}imidazolidin-4-one,
2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[1,5-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one,
ethyl 1-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperidin-4-yl]carbamate,
2-imino-3-{3-[(2-methyl-5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-yl)carbonyl]benzyl}-5-phenyl-5-propylimidazolidin-4-one,
5-fluoro-1-{1-[(3-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-imidazo[4,5-b]pyridin-2-one,
methyl 4-[(3-{[4-(3-carbamoylphenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
methyl 4-[(3-{[4-(3-fluorophenyl)-2-imino-4-(3-methylbutyl)-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
2-imino-5,5-diphenyl-3-(3-{[2-(trifluoromethyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]carbonyl}benzyl)imidazolidin-4-one,
methyl 4-[(3-{[4-(3-cyanophenyl)-4-(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,

4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]piperazin-2-one,
 3-{3-[(4-acetylpiperazin-1-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 4-({3-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-1-methylpiperazin-2-one,
 methyl 4-[(3-{[2-imino-4-(3-methylbutyl)-5-oxo-4-(3-pyridin-3-ylphenyl)imidazolidin-1-yl]methyl}phenyl)carbonyl]piperazine-1-carboxylate,
 ethyl [1-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperidin-4-yl]carbamate,
 3-{3-[(3-ethyl-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)carbonyl]benzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-N,N-dimethylpiperazine-1-carboxamide,
 4-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-1-methylpiperazin-2-one,
 3-(3-{[4-(4-fluorophenyl)piperazin-1-yl]carbonyl}benzyl)-2-imino-5,5-diphenylimidazolidin-4-one,
 3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(3-methylbutyl)-5-(3-pyridin-3-ylphenyl)imidazolidin-4-one,
 N-tert-butyl-7-({3-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-5,6,7,8-tetrahydro[1,2,4]triazolo[4,3-a]pyrazine-3-carboxamide,
 3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 6-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-chlorophenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 6-[(2-chloro-5-{[2-imino-4-(2-methylpropyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}phenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 6-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
 5,5-bis(4-chlorophenyl)-3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-methoxybenzyl]-2-iminoimidazolidin-4-one,
 methyl {6-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-fluorophenyl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidin-2-yl}carbamate,

3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)-4-methoxybenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-{3-[(4-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]-4-methoxybenzyl}-2-imino-5,5-diphenylimidazolidin-4-one,
3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-[4-chloro-3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-[4-chloro-3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)benzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
3-{4-chloro-3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)carbonyl]benzyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
4-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-1-methylpiperazin-2-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
4-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperazin-2-one,
methyl 4-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}-2-chlorophenyl)carbonyl]piperazine-1-carboxylate,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-4-fluorobenzyl]-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
1-[1-({2-chloro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)piperidin-4-yl]-1,3-dihydro-2H-benzimidazol-2-one,
6-({2-fluoro-5-[(2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl)methyl]phenyl}carbonyl)-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-ylcarbonyl)-4-fluorobenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
3-[3-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)-4-methoxybenzyl]-2-imino-5,5-diphenylimidazolidin-4-one,
5,5-bis(4-chlorophenyl)-3-[3-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)-4-methoxybenzyl]-2-iminoimidazolidin-4-one,
(5R)-3-{[5-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-6-(trifluoromethyl)pyridin-3-yl]methyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,

2-(benzyloxy)ethyl 4-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]piperazine-1-carboxylate,
 1-{1-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one,
 6-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 3-{[5-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)pyridin-3-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 benzyl 4-[(5-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-3-yl)carbonyl]piperazine-1-carboxylate,
 3-{[4-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 6-[(2-{[2-imino-5-oxo-4,4-diphenylimidazolidin-1-yl]methyl}pyridin-4-yl)carbonyl]-6,7-dihydro-5H-pyrrolo[3,4-d]pyrimidine-2-carbonitrile,
 3-{[4-(1,3-dihydro-2H-isoindol-2-ylcarbonyl)pyridin-2-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5-(3-methylbutyl)-5-phenylimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)pyridin-2-yl]methyl}-2-imino-5-(2-methylpropyl)-5-phenylimidazolidin-4-one,
 methyl 4-[(2-{[4,4-bis(4-fluorophenyl)-2-imino-5-oxoimidazolidin-1-yl]methyl}pyridin-4-yl)carbonyl]piperazine-1-carboxylate,
 methyl 4-[(2-{[2-imino-4-(3-methylbutyl)-5-oxo-4-phenylimidazolidin-1-yl]methyl}pyridin-4-yl)carbonyl]piperazine-1-carboxylate,
 3-{[2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)-6-methylpyridin-4-yl]methyl}-5,5-bis(4-fluorophenyl)-2-iminoimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-b]pyrazin-6-ylcarbonyl)thiophen-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 3-{[4-(5,7-dihydro-6H-pyrrolo[3,4-d]pyrimidin-6-ylcarbonyl)thiophen-2-yl]methyl}-2-imino-5,5-diphenylimidazolidin-4-one,
 or a pharmaceutically acceptable salt thereof.

18. A pharmaceutical composition comprising an effective amount of a compound according to any one of claims 1 to 17, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

5 19. A method for the treatment or prophylaxis of infection by HIV or for the treatment, prophylaxis, or delay in the onset of AIDS in a subject in need thereof, which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 17, or a pharmaceutically acceptable salt thereof.

10 20. A compound of any one of claims 1 to 17, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for the inhibition of HIV protease, for the treatment or prophylaxis of infection by HIV, or for the treatment, prophylaxis, or delay in the onset of AIDS in a subject in need thereof.

15 21. A compound of any one of claims 1 to 17, for use in therapy.

22. A pharmaceutical composition comprising an effective amount of a compound of any one of claims 1 to 17, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier and further comprising an effective amount of an anti-HIV
20 agent selected from the group consisting of HIV antiviral agents, immunomodulators, and anti-infective agents.

23. The pharmaceutical composition of Claim 22, wherein the anti-HIV agent is an antiviral selected from the group consisting of HIV protease inhibitors, HIV reverse
25 transcriptase inhibitors, HIV integrase inhibitors, HIV fusion inhibitors, HIV entry inhibitors, and HIV maturation inhibitors.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 17/67163

A. CLASSIFICATION OF SUBJECT MATTER

IPC(8) - A61K 31/4178, C07D 403/06, C07D 493/04 (2018.01)

CPC - C07D 417/06, A61K 31/195, C07D 405/10, C07D 233/32, A61K 31/65, C07D 417/14, A61K 31/18, C07D 307/20, C07D 213/78

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

See Search History Document

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

See Search History Document

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

See Search History Document

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2008/103351 A2 (Schering Corporation) 28 August 2008 (28.08.2008); Title, p4, p17, p357, p359, p467	1-4, 14-17
A	US 8,722,708 B2 (Stamford et al.) 13 May 2014 (13.05.2014); entire document	1-4, 14-17
A	US 2009/0111832 A1 (Barrow et al.) 30 April 2009 (30.04.2009); entire document	1-4, 14-17
A	US 2014/0296532 A1 (Guangzhou Institutes of Biomedicine and Health, Chinese Academy of Sciences) 02 October 2014 (02.10.2014); entire document	1-4, 14-17

☐ Further documents are listed in the continuation of Box C.☐ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

12 February 2018

Date of mailing of the international search report

06 MAR 2018

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US, Commissioner for Patents
P.O. Box 1450, Alexandria, Virginia 22313-1450

Facsimile No. 571-273-8300

Authorized officer:

Lee W. Young

PCT Helpdesk: 571-272-4300
PCT OSP: 571-272-7774

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 17/67163

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☒ Claims Nos.: 5-13, 18-23
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of additional fees.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.